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spectra
NEWS 4 MAR 31 CA/CAplus and CASREACT patent number format for U.S.
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NEWS 6 MAR 31 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
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NEWS 8 APR 15 WPIDS, WPINDEX, and WPIX enhanced with new
predefined hit display formats
NEWS 9 APR 28 EMBASE Controlled Term thesaurus enhanced
NEWS 10 APR 28 IMSRESEARCH reloaded with enhancements
NEWS 11 MAY 30 INPAFAMDB now available on STN for patent family
searching
NEWS 12 MAY 30 DGENE, PCTGEN, and USGENE enhanced with new homology
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NEWS 23 JUL 28 EPFULL enhanced with additional legal status
information from the epoline Register
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NEWS 25 JUL 28 STN Viewer performance improved
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NEWS 27 AUG 13 CA/CAplus enhanced with printed Chemical Abstracts

page images from 1967-1998

NEWS 28 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 29 AUG 15 CAplus currency for Korean patents enhanced
NEWS 30 AUG 25 CA/CAplus, CASREACT, and IFI and USPAT databases
enhanced for more flexible patent number searching

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

| | |
|------------|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
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FILE 'REGISTRY' ENTERED AT 13:37:29 ON 27 AUG 2008
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STRUCTURE FILE UPDATES: 26 AUG 2008 HIGHEST RN 1043895-06-2
DICTIONARY FILE UPDATES: 26 AUG 2008 HIGHEST RN 1043895-06-2

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

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\Rightarrow

10551502.trn

Uploading C:\Program Files\Stnexp\Queries\10551502.str

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> l1

SAMPLE SEARCH INITIATED 13:38:24 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 14737 TO ITERATE

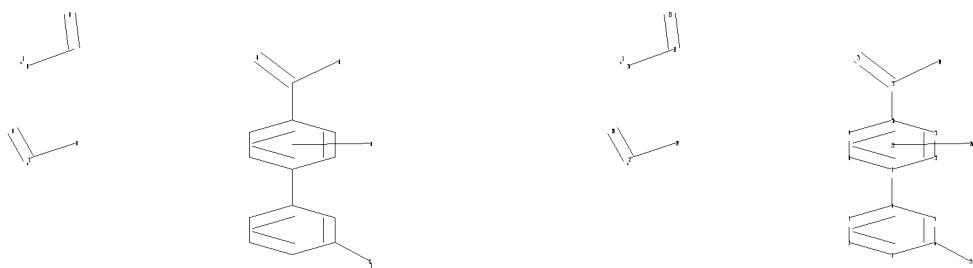
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INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 287468 TO 302012
PROJECTED ANSWERS: 22225 TO 26407

L2 50 SEA SSS SAM L1

=>

Uploading C:\Program Files\Stnexp\Queries\10551502\Struc 2.str



chain nodes :
13 14 15 16 17 18 19 20 21 25 26
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :
4-7 6-25 10-13 13-14 13-15 16-17 17-21 18-19 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12
exact/norm bonds :
6-25 13-14 13-15 16-17 17-21 18-19 18-20
exact bonds :
4-7 10-13

Page 5

normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

G1:[*1], [*2]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS 20:CLASS 21:CLASS 25:CLASS 26:CLASS 27:Atom

L3 STRUCTURE UPLOADED

=> d
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 13:41:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 532 TO ITERATE

100.0% PROCESSED 532 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 9257 TO 12023
PROJECTED ANSWERS: 44 TO 476

L4 13 SEA SSS SAM L3

=> 13 full
FULL SEARCH INITIATED 13:41:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 9653 TO ITERATE

100.0% PROCESSED 9653 ITERATIONS 264 ANSWERS
SEARCH TIME: 00.00.01

L5 264 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
 ENTRY SESSION
FULL ESTIMATED COST 181.12 181.33

FILE 'CAPLUS' ENTERED AT 13:41:35 ON 27 AUG 2008
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10551502.trn

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FILE COVERS 1907 - 27 Aug 2008 VOL 149 ISS 9
FILE LAST UPDATED: 26 Aug 2008 (20080826/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

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=> 15
L6 23 L5

=> d ibib abs hitstr 1-23

L6 ANSWER 1 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:733338 CAPLUS
DOCUMENT NUMBER: 149:79406
TITLE: Preparation of bicyclic acyltryptophanols as effective FSH antagonists
INVENTOR(S): Wortmann, Lars; Menzenbach, Bernd; Koppitz, Marcus;
Kosemund, Dirk; Muhn, Hans-Peter; Schrey, Anna;
Kuehne, Ronald; Frenzel, Thomas; Liesener, Florian Peter
PATENT ASSIGNEE(S): Bayer Schering Pharma Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 217pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2008071455 | A1 | 20080619 | WO 2007-EP11222 | 20071215 |
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CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, | | | | |

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM
EP 1956016 A1 20080813 EP 2006-77263 20061215
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, RS
PRIORITY APPLN. INFO.: EP 2006-77263 A 20061215
US 2006-874962P P 20061215
OTHER SOURCE(S): MARPAT 149:79406
GI

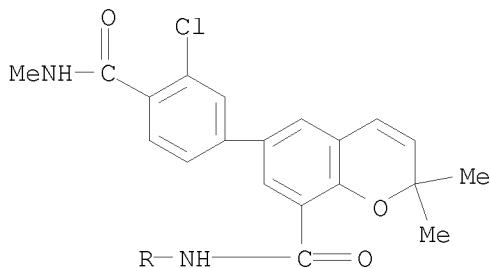
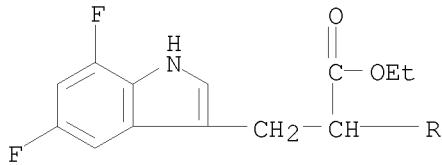
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to acyltryptophanols I [Q = monocyclic aryl or heteroaryl; V = cycloalkylene, cycloalkenylene, heterocycloalkylene, heterocycloalkenylene; X = bond, C1-4-alkylene, C2-6-alkenylene, C2-4-alkynylene; W = aryl, heteroaryl; R1 = H, (un)substituted C1-6-alkyl, C3-6-alkenyl, C3-6-alkynyl, C3-7-cycloalkyl, C1-6-alkoxy-(C1-6-alkylene), C3-7cycloalkoxy-(C1-6-alkylene), etc.; R2= H, halogen, CN, SO₂Me, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C1-6-alkoxy, OCH₂Ph (with the hydrocarbons optionally fluorinated one or more times); R3 = H, OH, halogen, NO₂, NH₂, CN, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-7-cycloalkyl, hydroxy-C1-6-alkylene, hydroxy-C2-6-alkenylene, hydroxy-C1-6-alkynylene, C1-6-alkoxy, etc; R4, R5, R6, = H, OH, halogen, NO₂, NH₂, CN, Ph, C1-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-7-cycloalkyl, C3-7-cycloalkyl-(C1-6-alkylene), C3-7heterocycloalkyl (wherein the hydrocarbons may be substituted by F, CN, pyrrolidino, morpholino, thiamorpholino, etc.); R7, R8 = H, Me, Et (whereby Me and Et are optionally fluorinated one or more times)]. One process comprises coupling of tryptophanol derivative II with carboxylic acids III via: (a) conversion of said carboxylic acid into an intermediate active ester or carbonyl chloride with a suitable peptide-coupling reagent, or SOCl₂, ClC(:O)C(:O)Cl, phosgene or a derivative thereof, where appropriate in the presence of a base; and (b) reacting the active intermediate with tryptophanol. Thus, 6-[3-chloro-4-(methylcarbamoyl)phenyl]-2H-chromene-8-carboxylic acid [(R)-2-hydroxy-1-(1H-indol-3-ylmethyl)ethyl]amide (IV) was prepared from Me 6-iodo-2H-chromene-8-carboxylate via saponification with KOH in MeOH, amidation with D-tryptophanol in DMF containing EDC and HOEt, and coupling reaction with [3-chloro-4-(methylcarbamoyl)phenyl]boronic acid in EtOH containing aqueous Na₂CO₃ and catalytic Pd(PPh₃)₄. The compds. according to the invention are effective FSH antagonists and can be used for example for fertility control in men or in women, or for the prevention and/or treatment of osteoporosis. The physiol. activity of IV was determined [IC₅₀ = 130nm FSH-antagonistic effect in HTRF assay].

IT 1033765-82-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and borohydride reduction of; preparation of bicyclic acyltryptophanols
as effective FSH antagonists)

RN 1033765-82-0 CAPLUS

CN Tryptophan, N-[6-[3-chloro-4-[(methylamino)carbonyl]phenyl]-2,2-dimethyl-2H-1-benzopyran-8-yl]carbonyl]-5,7-difluoro-, ethyl ester (CA INDEX NAME)

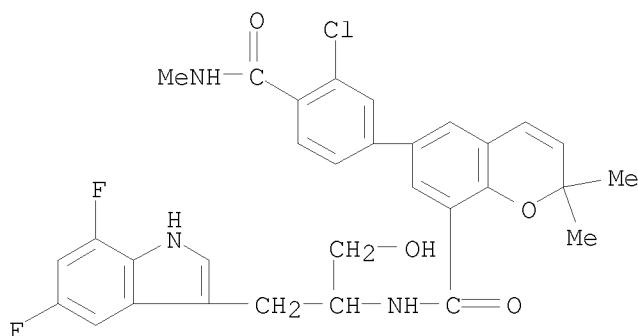


IT 1033765-83-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrogenation of; preparation of bicyclic acyltryptophanols as effective FSH antagonists)

RN 1033765-83-1 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



IT 1033763-44-8P 1033763-48-2P 1033763-49-3P

1033763-56-2P 1033763-61-9P 1033763-69-7P

1033763-70-0P 1033763-72-2P 1033763-73-3P

1033764-38-3P 1033764-39-4P 1033764-40-7P

1033764-70-3P 1033765-20-6P 1033765-21-7P

1033765-22-8P 1033765-23-9P 1033765-24-0P

1033765-25-1P 1033765-39-7P 1033765-40-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

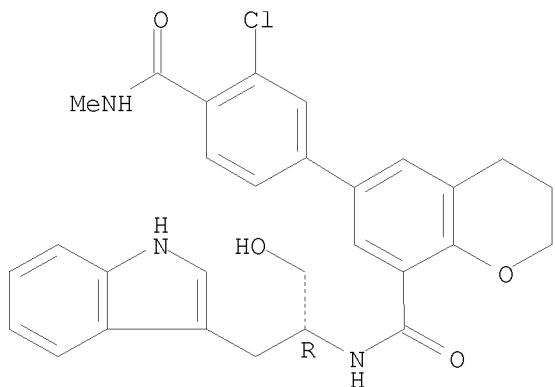
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(preparation of bicyclic acyltryptophanols as effective FSH antagonists)

RN 1033763-44-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

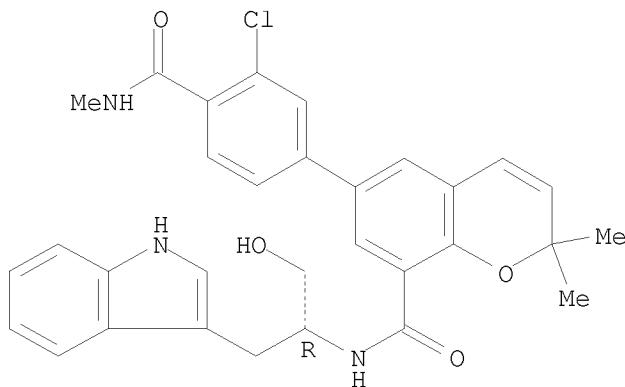
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CN INDEX NAME NOT YET ASSIGNED

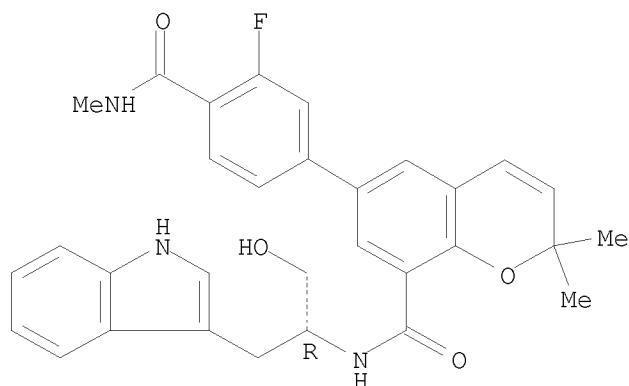
Absolute stereochemistry.



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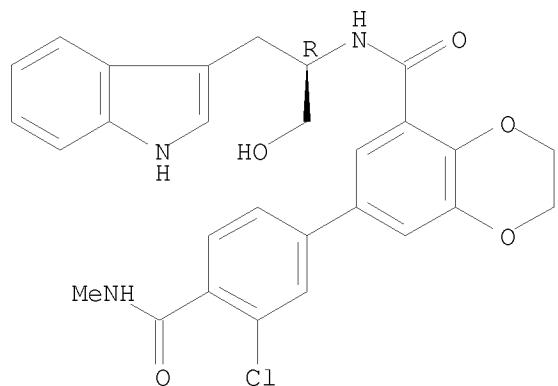
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Absolute stereochemistry.

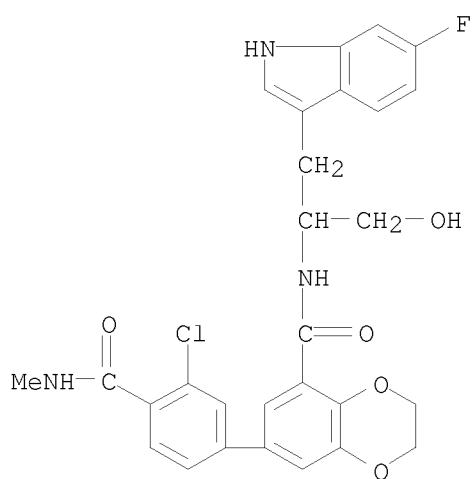


RN 1033763-56-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

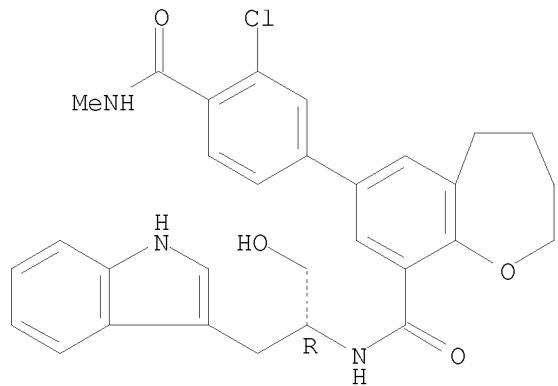


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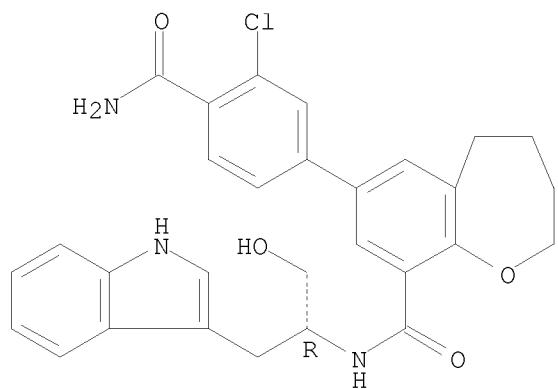
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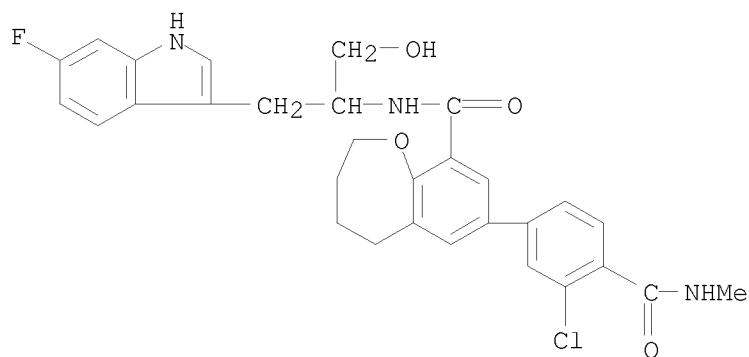


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CN INDEX NAME NOT YET ASSIGNED

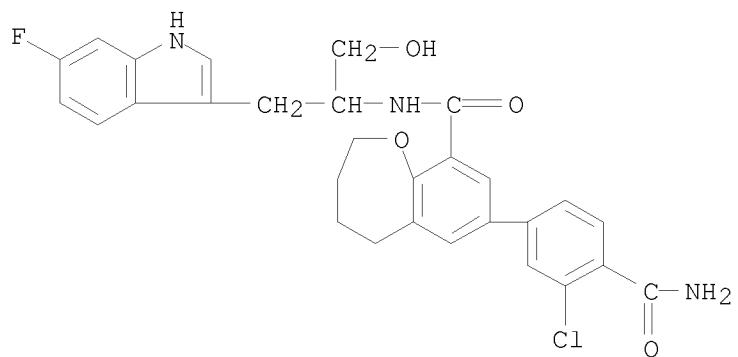
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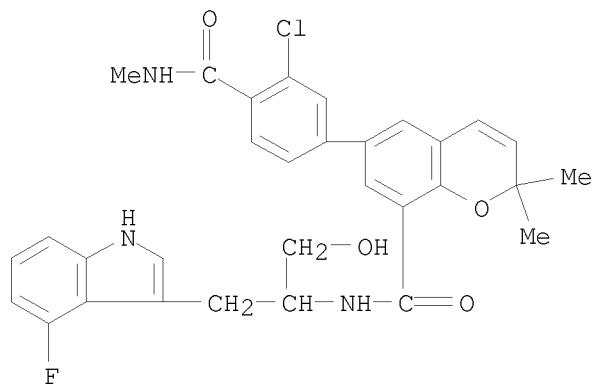
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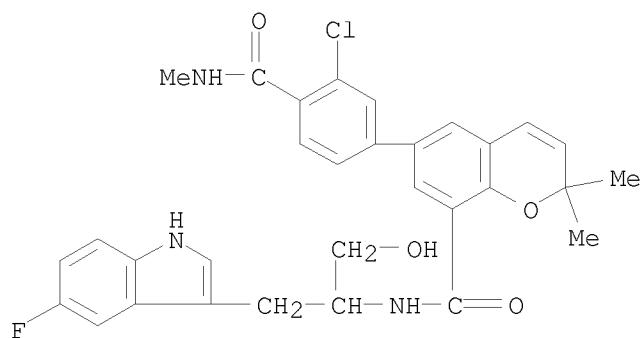
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CN INDEX NAME NOT YET ASSIGNED



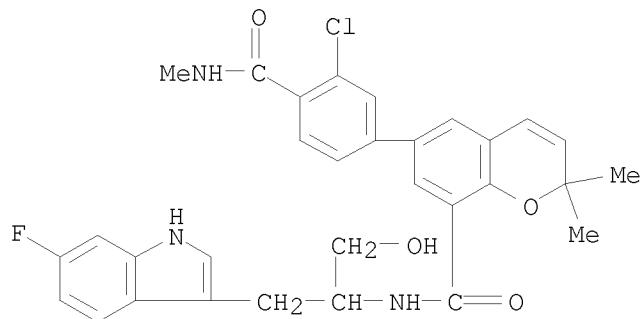
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RN 1033764-39-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

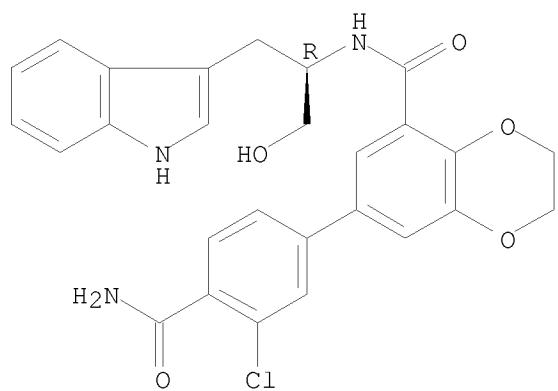


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CN INDEX NAME NOT YET ASSIGNED



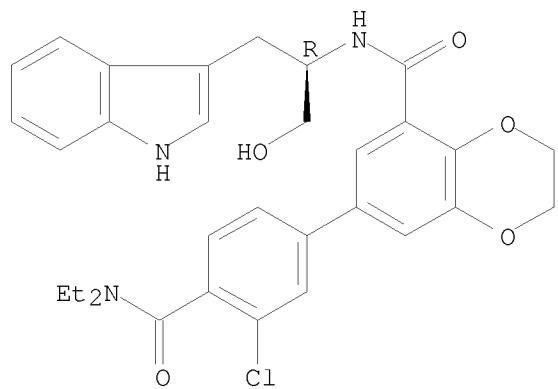
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



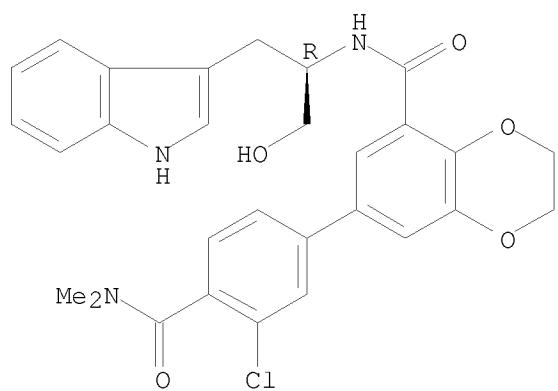
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



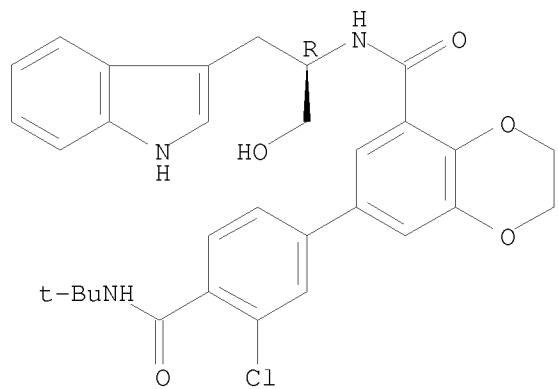
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



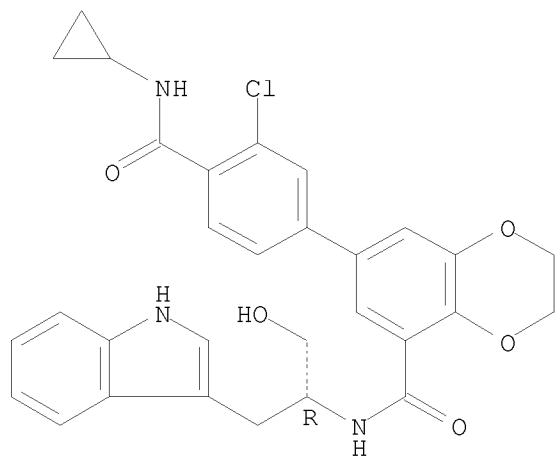
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Absolute stereochemistry.



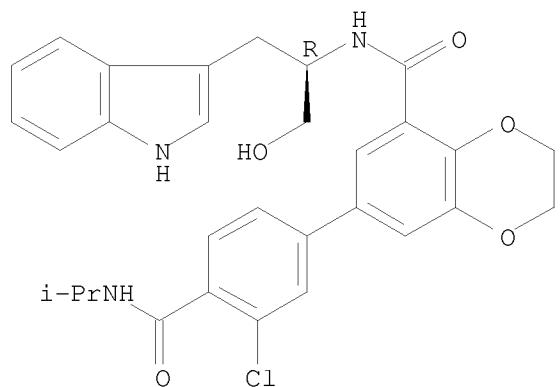
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Absolute stereochemistry.



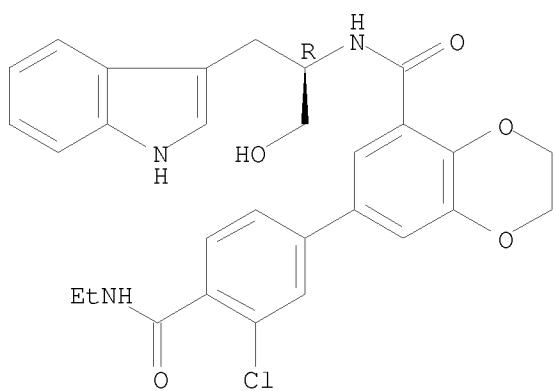
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CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

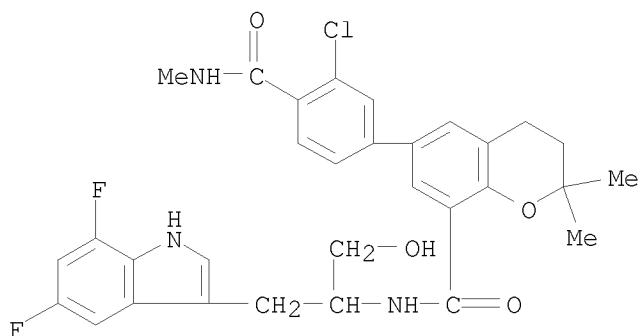


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CN INDEX NAME NOT YET ASSIGNED

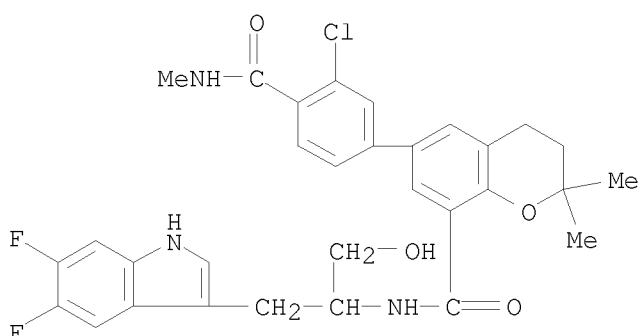
Absolute stereochemistry.



RN 1033765-39-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1033765-40-0 CAPLUS
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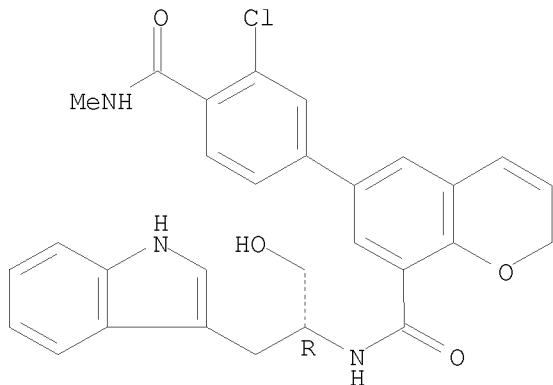


IT 1033763-43-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation, FSH antagonistic activity and hydrogenation of; preparation of bicyclic acyltryptophanols as effective FSH antagonists)

RN 1033763-43-7 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



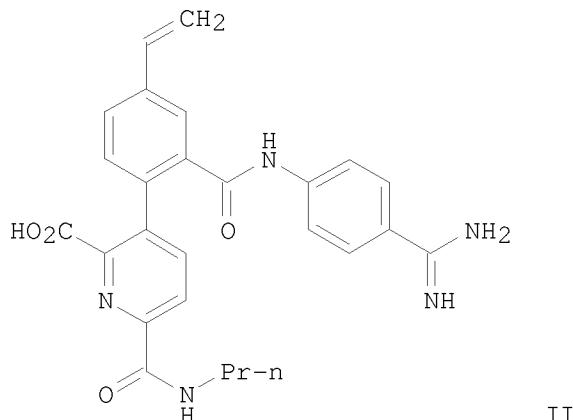
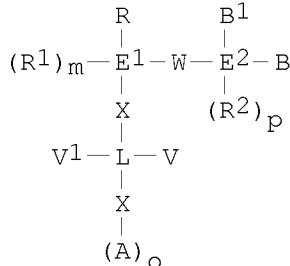
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:493007 CAPLUS
 DOCUMENT NUMBER: 148:517400
 TITLE: Biaryl compounds as serine protease inhibitors and their preparation, and use in the treatment of clotting disorders
 INVENTOR(S): Babu, Yarlagadda S.; Rowland, R. Scott; Chand, Pooran; Kotian, Pravin L.; El-Kattan, Yahya; Niwas, Shri
 PATENT ASSIGNEE(S): Biocryst Pharmaceuticals, Inc., USA
 SOURCE: U.S., 166pp., Cont.-in-part of Appl. No. PCT/US2001/32582.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 6699994 | B1 | 20040302 | US 2002-127460 | 20020423 |
| WO 2002034711 | A1 | 20020502 | WO 2001-US32582 | 20011022 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20040162281 | A1 | 20040819 | US 2003-738027 | 20031218 |

| | | | | |
|------------------------|----|----------|-----------------|-------------|
| US 6936719 | B2 | 20050830 | US 2001-281735P | P 20010406 |
| PRIORITY APPLN. INFO.: | | | WO 2001-US32582 | A2 20011022 |
| | | | US 2000-241848P | P 20001020 |
| | | | US 2002-127460 | A3 20020423 |

OTHER SOURCE(S): MARPAT 148:517400
GI

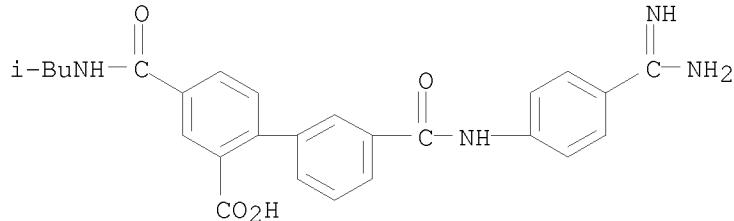


AB Compds. of formula I are useful as inhibitors of trypsin like serin protease enzymes such as thrombin, factor VIIa, factor Xa, TF/FVIIa, and trypsin. These compds. could be useful to treat and/or prevent clotting disorders, and as anticoagulating agents. Compds. of formula I E1 and L are independently 5- to 7-membered (un)saturated carbocycle, (un)saturated bicyclic ring, (un)substituted (un)saturated 1-8 hydrocarbon chain; R is CH=CH-R2-. C.tpbond.C-R2, CR2=CH2., etc.; R1 and A are independently H, NO2, CN, halo, N2, C1-8 alkyl, etc.; m is 1 except E1 is a cyclic ring of more than 5 atoms, then m is 1 or higher; R2 is H, halo, (halo)alkyl, (CH2)0-4phenyl, etc.; W is a bond, CHR2, CH=CHR2, OCH2, CCR2=CR2, etc.; E2 is 5- to 7-membered (un)saturated (hetero)cyclic ring, C1-8 alkyl, C2-8 alkenyl, etc.; each X is independently a bond, (un)substituted C1-4 methylene chain, O, S, NH and derivs., etc.; B and B1 are independently H, halo, CN, NH2, (un)substituted C1-8 alkyl, etc.; o is 1 except when L is a cyclic ring of more than 5 atoms, then o is 1 and higher; V and V1 are independently R1, N-alkyl substituted carboxamidyl, etc.; p is 1 except when E2 is a cyclic ring of more than 5 atoms, then p is 1 and higher; and their pharmaceutically acceptable salts and prodrugs thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their serine protease inhibitory activity (some data given).

IT 1021429-82-2P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate and intermediate; preparation of biaryl compds. as serine protease inhibitors useful in the treatment of clotting disorders)

RN 1021429-82-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3'-[[(4-(aminoiminomethyl)phenyl]amino]carbonyl]-4-[(2-methylpropyl)amino]carbonyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:124784 CAPLUS
 DOCUMENT NUMBER: 148:183378
 TITLE: Assay for differentiating compounds that modulate the extrinsic and/or intrinsic coagulation pathways
 INVENTOR(S): Wang, Xinkang; Hsu, Mei-Yin; Wong, Pancras C.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S. Pat. Appl. Publ., 13pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

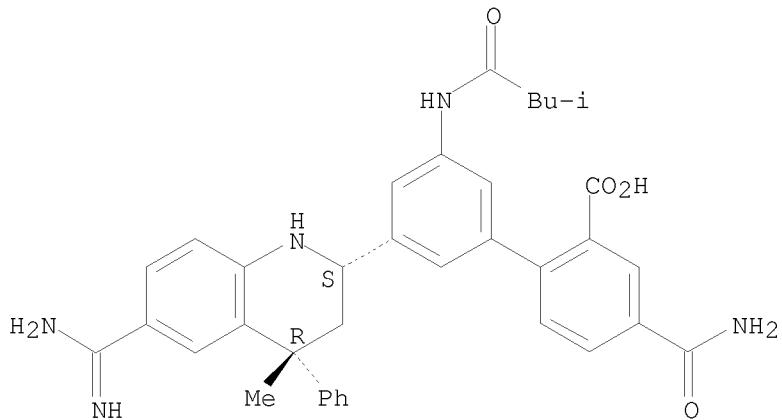
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|------------|
| US 20080026474 | A1 | 20080131 | US 2007-782660 | 20070725 |
| PRIORITY APPLN. INFO.: | | | US 2006-833674P | P 20060727 |

AB Methods for differentiating compds. that modulate the extrinsic and/or intrinsic coagulation pathways are provided. Also provided are methods for identifying a compound that modulates the extrinsic coagulation pathway. In addition, methods for determining an effective dosage of an anticoagulant in a patient are provided.

IT 1004551-41-0
 RL: PAC (Pharmacological activity); BIOL (Biological study)
 (assay for differentiating compds. that modulate extrinsic and/or intrinsic coagulation pathways)

RN 1004551-41-0 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(2S,4R)-6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(3-methyl-1-oxobutyl)amino]- (CA INDEX NAME)

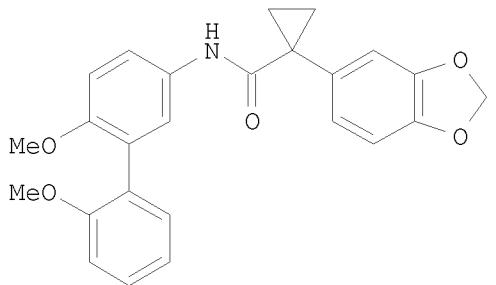
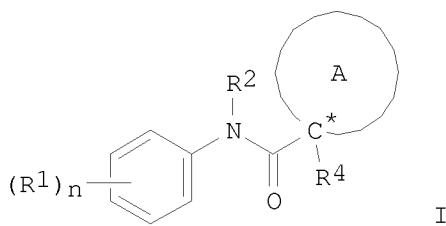
Absolute stereochemistry.



L6 ANSWER 4 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:43636 CAPLUS
 DOCUMENT NUMBER: 148:121398
 TITLE: Cycloalkylcarboxamides and related compounds as modulators of ATP-binding cassette transporters and their preparation, pharmaceutical compositions and use in the treatment of diseases
 INVENTOR(S): Hadida Ruah, Sara S.; Miller, Mark T.; Bear, Brian; McCartney, Jason; Grootenhuis, Peter D. J.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 422pp., Cont.-in-part of U.S. Ser. No. 647,092.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 20080009524 | A1 | 20080110 | US 2007-824606 | 20070629 |
| US 20080044355 | A1 | 20080221 | US 2006-647092 | 20061228 |
| PRIORITY APPLN. INFO.: | | | US 2005-754558P | P 20051228 |
| | | | US 2006-802580P | P 20060522 |
| | | | US 2006-647092 | A2 20061228 |

OTHER SOURCE(S): MARPAT 148:121398
 GI



AB Compds. of formula I and pharmaceutically acceptable compns. thereof, are useful as modulators of ATP -Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The invention also relates to methods of treating ABC transporter mediated diseases using compds. of formula I. Compds. of formula I wherein each R1 is independently (un)substituted C1-6 aliphatic, (un)substituted (hetero)aryl, (un)substituted C3-10 cycloaliph. and (un)substituted 4- to 10-membered heterocycloaliph., carboxy, amido, amino, halo and OH provided that at least one of R1 is (un)substituted (hetero)aryl attached to the 3- or 4-position of the Ph ring; R2 is H, (un)substituted C1-6 aliphatic, (un)substituted C3-6 cycloaliph., (un)substituted Ph, and (un)substituted heteroaryl; Ring A is (un)substituted cycloaliph., and (un)substituted heterocycloaliph. where the atoms of ring A adjacent to C* are carbon atoms; R4 is (un)substituted (hetero)aryl; n is 1, 2, 3, 4, and 5; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their ATP-binding cassette transporter modulatory activity (some data given).

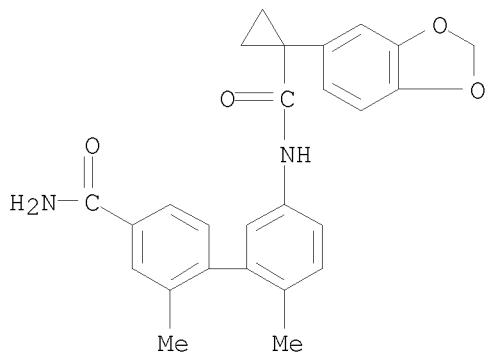
IT 945238-88-0P 945241-55-4P 945244-57-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of cycloalkylcarboxamides and related compds. as modulators of ATP-binding cassette transporters)

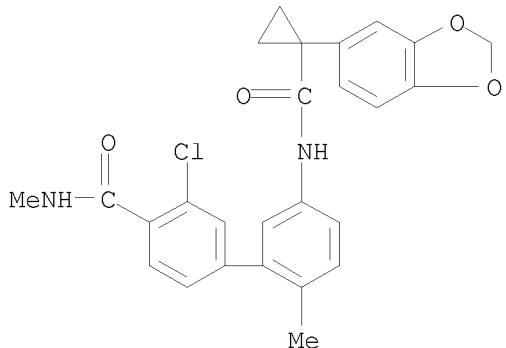
RN 945238-88-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 5'-[[[1-(1,3-benzodioxol-5-yl)cyclopropyl]carbonyl]amino]-2,2'-dimethyl- (CA INDEX NAME)



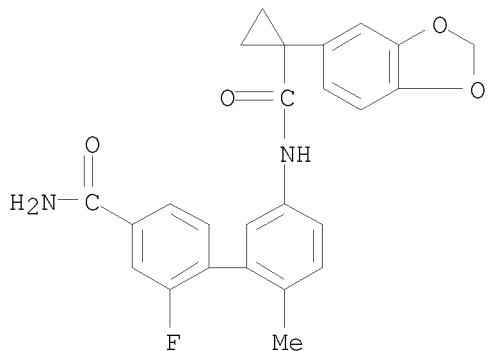
RN 945241-55-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 5'-[[[1-(1,3-benzodioxol-5-yl)cyclopropyl]carbonyl]amino]-3-chloro-N,2'-dimethyl- (CA INDEX NAME)



RN 945244-57-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 5'-[[[1-(1,3-benzodioxol-5-yl)cyclopropyl]carbonyl]amino]-2-fluoro-2'-methyl- (CA INDEX NAME)



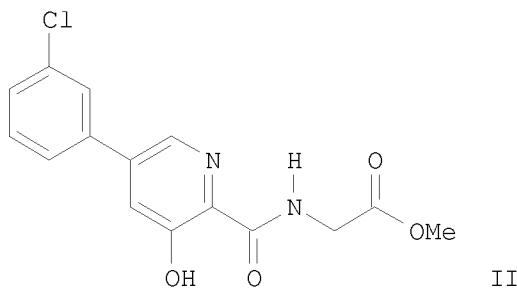
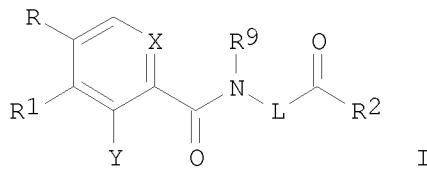
L6 ANSWER 5 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1476060 CAPLUS

DOCUMENT NUMBER: 148:100510

TITLE: Preparation of pyridinylcarboxamide and phenylcarboxamide derivatives as prolyl hydroxylase inhibitors and methods of use
INVENTOR(S): Kawamoto, Richard Masaru
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: U.S. Pat. Appl. Publ., 53pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| US 20070299086 | A1 | 20071227 | US 2007-821936 | 20070626 |
| WO 2008002576 | A2 | 20080103 | WO 2007-US14832 | 20070626 |
| WO 2008002576 | A3 | 20080703 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA,
CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| PRIORITY APPLN. INFO.: | | | US 2006-816522P | P 20060626 |
| OTHER SOURCE(S): | | MARPAT 148:100510 | | |
| GI | | | | |



AB Title compds. I [R and R1 independently = H, (un)substituted H, Ph, or heteroaryl; X = N or CH; Y = H, OH, OMe, or OEt; R9 = H or Me; R2 = OR6 or NR7R8; R6 = H, alkyl, or cycloalkyl; R7 and R8 independently = H, alkyl, cycloalkyl, or taken together form a ring; L = linking 1-3 carbon unit optionally substituted with Me or Et], and their pharmaceutically acceptable salts, are prepared and disclosed as prolyl hydroxylase inhibitors. Thus, e.g., II was prepared by sulfonylation of [(3,5-dihydroxypyridine-2-carbonyl)amino]acetic acid Me ester (preparation given) with trifluoromethanesulfonic acid followed by substitution with 3-chlorophenylboronic acid. I were evaluated in EGLIN 1 assays; e.g., II demonstrated an IC50 value of 2.8 μ M. The present disclosure relates to HIF-1 α prolyl hydroxylase inhibitors, compns. which comprise the HIF-1 α prolyl hydroxylase inhibitors described herein and to methods for controlling, inter alia, Peripheral Vascular Disease (PWD), Coronary Artery Disease (CAD), heart failure, ischemia, and anemia.

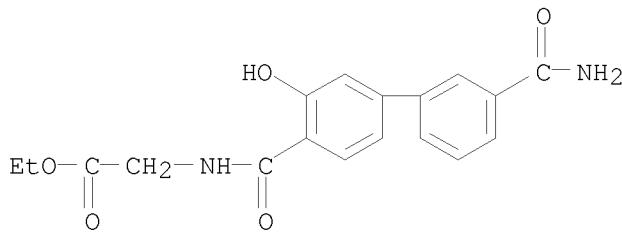
IT 1007378-37-1P 1007378-58-6P 1007378-62-2P
1007378-65-5P 1007378-92-8P 1007379-14-7P
1007379-17-0P 1007379-20-5P

RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

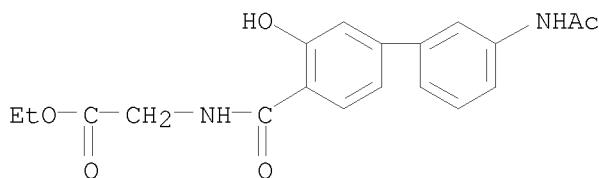
(propehtic drug candidate; preparation of pyridinylcarboxamide and phenylcarboxamide derivs. as prolyl hydroxylase inhibitors and methods of use)

RN 1007378-37-1 CAPLUS

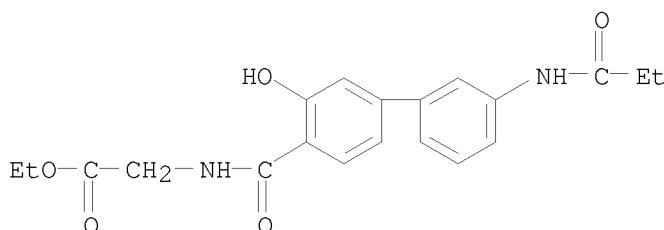
CN Glycine, N-[3'-(aminocarbonyl)-3-hydroxy[1,1'-biphenyl]-4-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



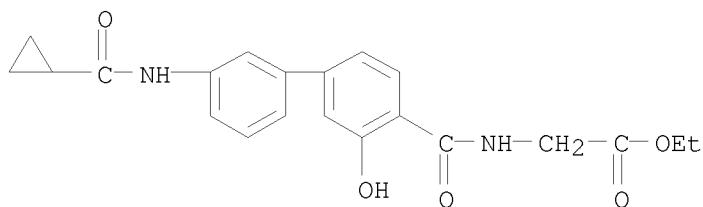
RN 1007378-58-6 CAPLUS
CN Glycine, N-[3'-(acetylamino)-3-hydroxy[1,1'-biphenyl]-4-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



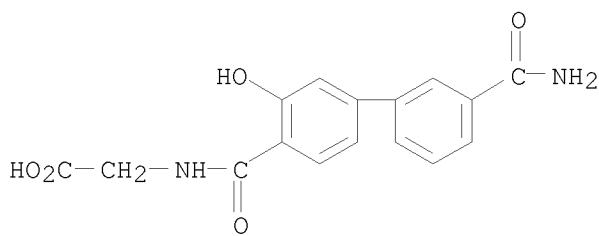
RN 1007378-62-2 CAPLUS
CN Glycine, N-[3-hydroxy-3'-(1-oxopropyl)amino][1,1'-biphenyl]-4-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



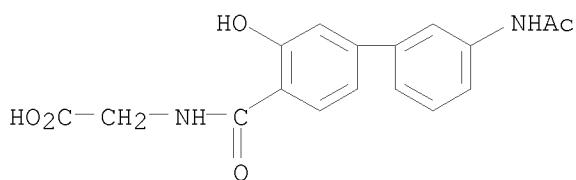
RN 1007378-65-5 CAPLUS
CN Glycine, N-[3'-(cyclopropylcarbonyl)amino]-3-hydroxy[1,1'-biphenyl]-4-yl]carbonyl]-, ethyl ester (CA INDEX NAME)



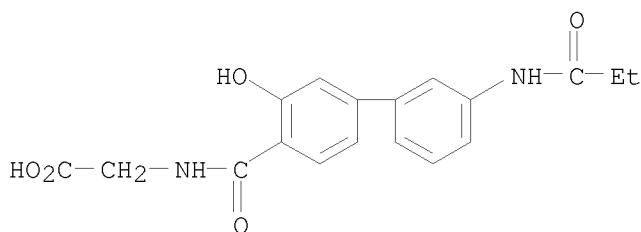
RN 1007378-92-8 CAPLUS
CN Glycine, N-[3'-(aminocarbonyl)-3-hydroxy[1,1'-biphenyl]-4-yl]carbonyl]- (CA INDEX NAME)



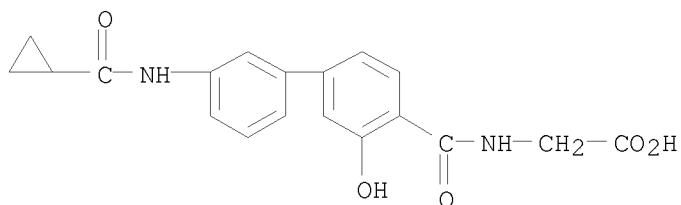
RN 1007379-14-7 CAPLUS
CN Glycine, N-[3'-(acetylamino)-3-hydroxy[1,1'-biphenyl]-4-yl]carbonyl-
(CA INDEX NAME)



RN 1007379-17-0 CAPLUS
CN Glycine, N-[3-hydroxy-3'-(1-oxopropyl)amino][1,1'-biphenyl]-4-
yl]carbonyl- (CA INDEX NAME)



RN 1007379-20-5 CAPLUS
CN Glycine, N-[3'-(cyclopropylcarbonyl)amino]-3-hydroxy[1,1'-biphenyl]-4-
yl]carbonyl- (CA INDEX NAME)



L6 ANSWER 6 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:846121 CAPLUS
DOCUMENT NUMBER: 147:211534

TITLE: Cycloalkylcarboxamides and related compounds as modulators of ATP-binding cassette transporters and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Ruah, Sara S. Hadida; Miller, Mark T.; Bear, Brian; McCartney, Jason; Grootenhuis, Peter D. J.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 249pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

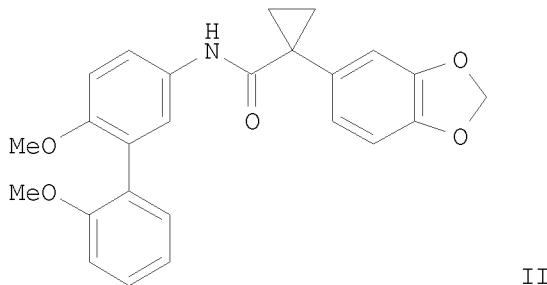
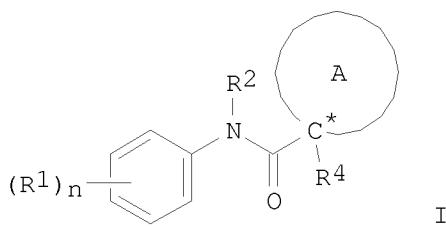
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2007087066 | A2 | 20070802 | WO 2006-US49412 | 20061228 |
| WO 2007087066 | A3 | 20071025 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,
KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
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KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| AU 2006336504 | A1 | 20070802 | AU 2006-336504 | 20061228 |
| PRIORITY APPLN. INFO.: | | | US 2005-754558P | P 20051228 |
| | | | US 2006-802580P | P 20060522 |
| | | | WO 2006-US49412 | W 20061228 |

OTHER SOURCE(S): MARPAT 147:211534

GI



AB Compds. of formula I and pharmaceutically acceptable compns. thereof, are useful as modulators of ATP -Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Conductance Regulator ("CFTR"). The invention also relates to methods of treating ABC transporter mediated diseases using compds. of formula I. Compds. of formula I wherein each R1 is independently (un)substituted C1-6 aliphatic, (un)substituted (hetero)aryl, (un)substituted C3-10 cycloaliph. and (un)substituted 4- to 10-membered heterocycloaliph., carboxy, amido, amino, halo and OH provided that at least one of R1 is (un)substituted (hetero)aryl attached to the 3- or 4-position of the Ph ring; R2 is H, (un)substituted C1-6 aliphatic, (un)substituted C3-6 cycloaliph., (un)substituted Ph, and (un)substituted heteroaryl; Ring A is (un)substituted cycloaliph., and (un)substituted heterocycloaliph. where the atoms of ring A adjacent to C* are carbon atoms; R4 is (un)substituted (hetero)aryl; n is 1, 2, 3, 4, and 5; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their ATP-binding cassette transporter modulatory activity (some data given).

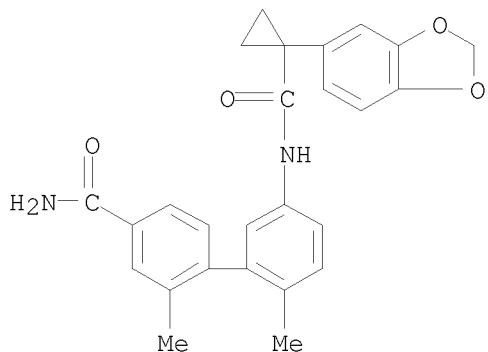
IT 945238-88-0P 945241-55-4P 945244-57-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of cycloalkylcarboxamides and related compds. as modulators of ATP-binding cassette transporters)

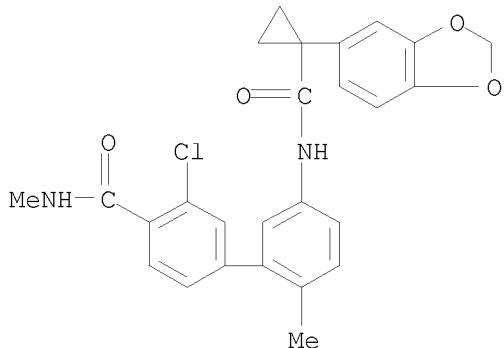
RN 945238-88-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 5'-[[[1-(1,3-benzodioxol-5-yl)cyclopropyl]carbonyl]amino]-2,2'-dimethyl- (CA INDEX NAME)



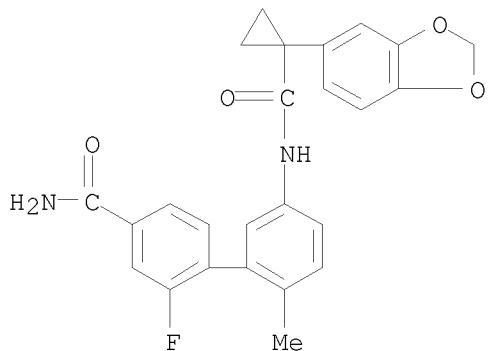
RN 945241-55-4 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 5'-[[[1-(1,3-benzodioxol-5-yl)cyclopropyl]carbonyl]amino]-3-chloro-N,2'-dimethyl- (CA INDEX NAME)



RN 945244-57-5 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 5'-[[[1-(1,3-benzodioxol-5-yl)cyclopropyl]carbonyl]amino]-2-fluoro-2'-methyl- (CA INDEX NAME)



L6 ANSWER 7 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

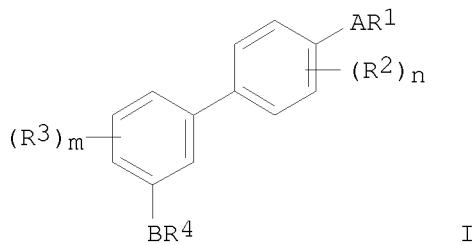
ACCESSION NUMBER: 2007:327723 CAPLUS

DOCUMENT NUMBER: 146:358864

TITLE: Preparation of heterocyclyl biphenylcarboxamides for treatment of hepatitis C virus (HCV) infection.
 INVENTOR(S): Wheelhouse, Christopher James; Thomas, Alexander James Floyd; Bushnell, David John; Lumley, James; Salter, James Iain; Carter, Malcolm Clive; Mathews, Neil; Pilkington, Christopher John; Angell, Richard Martyn
 PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
 SOURCE: PCT Int. Appl., 170pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------|-----------------|------------|
| WO 2007031791 | A1 | 20070322 | WO 2006-GB3469 | 20060918 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| AU 2006290442 | A1 | 20070322 | AU 2006-290442 | 20060918 |
| CA 2621364 | A1 | 20070322 | CA 2006-2621364 | 20060918 |
| EP 1940786 | A1 | 20080709 | EP 2006-779478 | 20060918 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| WO 2007138242 | A1 | 20071206 | WO 2007-GB1024 | 20070321 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| MX 200803269 | A | 20080409 | MX 2008-3269 | 20080307 |
| KR 2008050490 | A | 20080605 | KR 2008-708964 | 20080415 |
| PRIORITY APPLN. INFO.: | | | GB 2005-18971 | A 20050916 |
| | | | GB 2006-10663 | A 20060530 |
| | | | GB 2006-10664 | A 20060530 |
| | | | WO 2006-GB3469 | W 20060918 |

OTHER SOURCE(S): MARPAT 146:358864
 GI



AB Title compds. [I; R1 = alkyl, A1, L1A1, A1A11, L1A1A11, A1L1A11, A1Y1A11, A1Het1A11, L1A1Y1A11, L1A1Het1A11, L1Het1A1, L1Y1A1, L1Y1Het1A1, L1Het1Y1A1, L1Y1Het1L11, A1Y1Het1A11, A1Het1Y1A11, A1Het1L1A11, A1L1Het1A11, L1Het1L11; A, B = bond, CONR', NR'CO, NR'CO2, CO, NR'CONR'', NR'SO2, SO2, NR', NR'COCO, CO2, alkylene-NR', hydroxyalkylene-NR'; R', R'' = H, alkyl; R2, R3 = alkyl, alkoxy, haloalkyl, haloalkoxy, halo; m, n = 0, 1; R4 = alkyl, A4, L4A4, A4A41, L4A4A41, A4L4A41, A4Y4A41, A4Het4A41, L4A4Y4A41, L4A4Het4A41, L4Het4A4, L4Y4A4, L4Y4Het4A4, L4Het4Y4A4, L4Y4Het4L41, A4Het4A41, A4Het4Y4A41, A4Het4L4A41, A4L4HetA41, L4Het4L41; A1, A4, A11, A41 = Ph, 5-10 membered heteroaryl, heterocyclyl, carbocyclyl; L1, L4 = alkylene, hydroxyalkylene; Y1, Y4 = CO, SO, SO2; L11, L41 = H, alkyl; Het1, Het4 = O, S, NR'; the Ph, heteroaryl, heterocyclyl and carbocyclyl moieties in R1, R4 being optionally substituted and/or fused to Ph, 5-10 membered heteroaryl, heterocyclyl], were prepared. Thus, 6-methylbiphenyl-3,,4'-dicarboxylic acid 4'-(4-isoxazol-5-ylphenyl)amide] 3-[(4-morpholin-4-ylphenyl)amide] (preparation outlined) inhibited HCV replication with IC50 <1 μM.

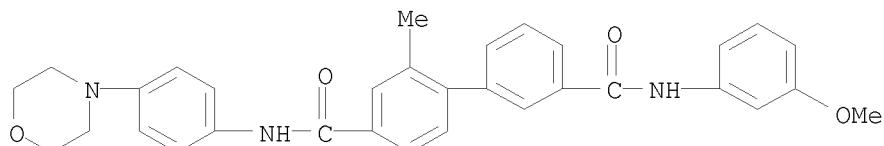
IT 929892-30-8 929892-31-9 929892-32-0
929892-33-1 929892-34-2 929893-13-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of heterocyclyl biphenylcarboxamides for treatment of hepatitis C virus infection)

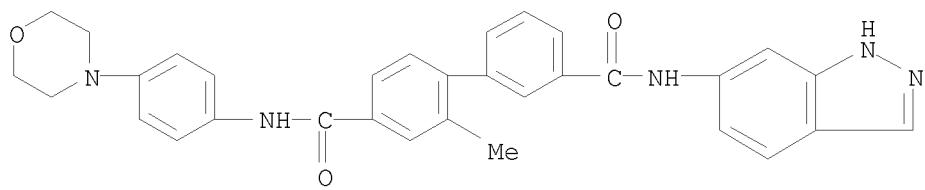
RN 929892-30-8 CAPPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-(3-methoxyphenyl)-2'-methyl-N4'-(4-(4-morpholinyl)phenyl)- (CA INDEX NAME)



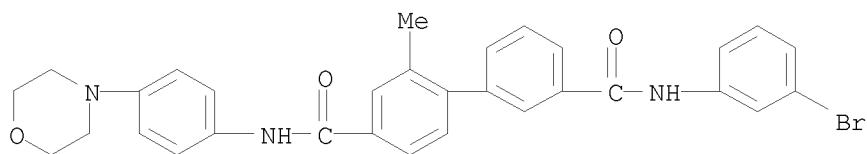
RN 929892-31-9 CAPPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-1H-indazol-6-yl-2'-methyl-N4'-(4-(4-morpholinyl)phenyl)- (CA INDEX NAME)



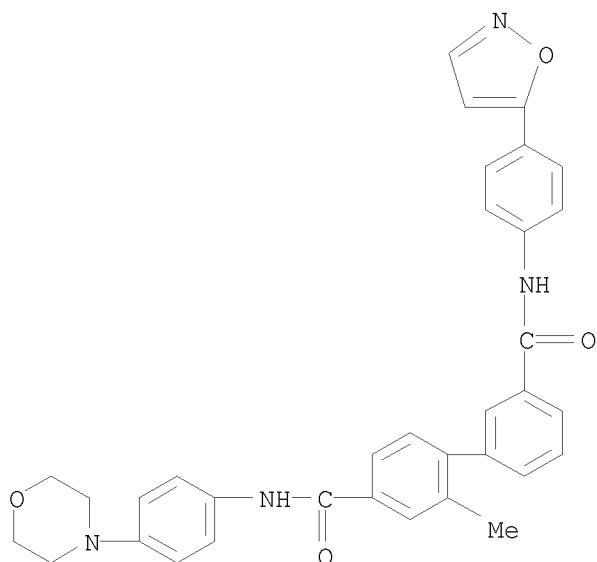
RN 929892-32-0 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-(3-bromophenyl)-2'-methyl-N4'-(4-(4-morpholinyl)phenyl)- (CA INDEX NAME)



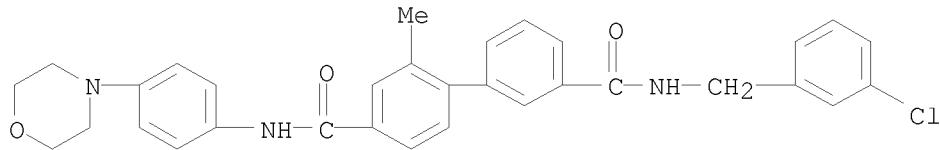
RN 929892-33-1 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-[4-(5-isoxazolyl)phenyl]-2'-methyl-N4'-(4-(4-morpholinyl)phenyl)- (CA INDEX NAME)



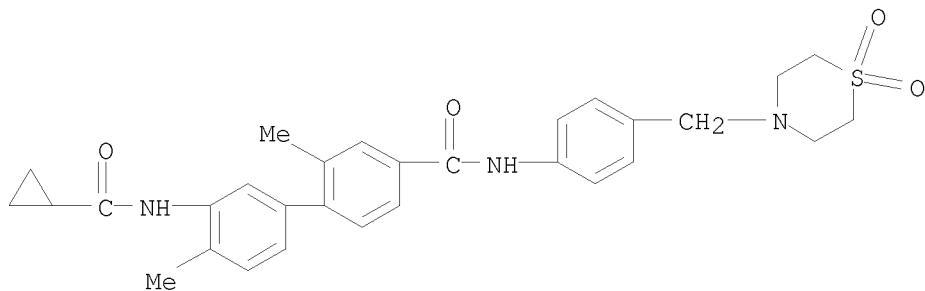
RN 929892-34-2 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-[4-(3-chlorophenyl)methyl]-2'-methyl-N4'-(4-(4-morpholinyl)phenyl)- (CA INDEX NAME)



RN 929893-13-0 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 3'-(cyclopropylcarbonyl)amino]-N-[4-[(1,1-dioxido-4-thiomorpholinyl)methyl]phenyl]-2,4'-dimethyl- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:175569 CAPLUS

DOCUMENT NUMBER: 146:251733

TITLE: Preparation of acyltryptophanols as FSH antagonists

INVENTOR(S): Wortmann, Lars; Cleve, Arwed; Muhn, Hans-Peter; Langer, Gernot; Schrey, Anna; Kuehne, Ronald; Menzenbach, Bernd; Koppitz, Marcus; Kosemund, Dirk

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 404pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2007017289 | A2 | 20070215 | WO 2006-EP7949 | 20060808 |
| WO 2007017289 | A3 | 20070531 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, | | | |

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KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
DE 102005038632 A1 20070215 DE 2005-102005038632 20050810
DE 102005038632 B4 20080327
CA 2618888 A1 20070215 CA 2006-2618888 20060808
EP 1912970 A2 20080423 EP 2006-776768 20060808
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
US 20070060573 A1 20070315 US 2006-501228 20060809
PRIORITY APPLN. INFO.: DE 2005-102005038632A 20050810
US 2005-706743P P 20050810
WO 2006-EP7949 W 20060808

OTHER SOURCE(S): MARPAT 146:251733

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. I [R1 = H, alkyl, cycloalkyl, etc.; R2 = H, halo, CN, etc.; R3 = H, OH, halo, etc.; R4-R6 = H, OH, halo, etc.; or R5 and R6 may together form heterocycloalkyl, cycloalkyl; R7, R8 = H, Me, Et (Me and Et may be fluorinated); Q, W = (hetero)aryl; X = a bond, alkylene, alkenylene, etc.; Y = a bond, alkylene] which are effective FSH antagonists and can be used for example for fertility control in men or in women, or for the prevention and/or treatment of osteoporosis, were prepared E.g., a multi-step synthesis of II, starting from 5-bromo-DL-tryptophan, was given. II showed IC₅₀ of 7 μM when tested for FSH-antagonistic effect in the HTRF assay. Pharmaceutical composition comprising the compound I is disclosed.

IT 925937-80-0P 925937-83-3P 925937-85-5P

925939-41-9P 925939-55-5P 925939-68-0P

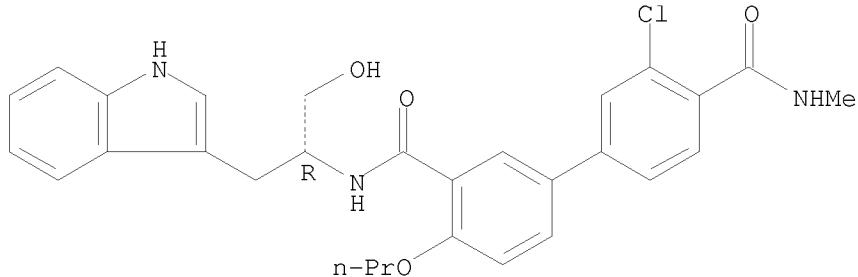
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acyltryptophanols as FSH antagonists)

RN 925937-80-0 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 3'-chloro-N3-[{(1R)-2-hydroxy-1-(1H-indol-3-ylmethyl)ethyl}-N4'-methyl-4-propoxy- (CA INDEX NAME)

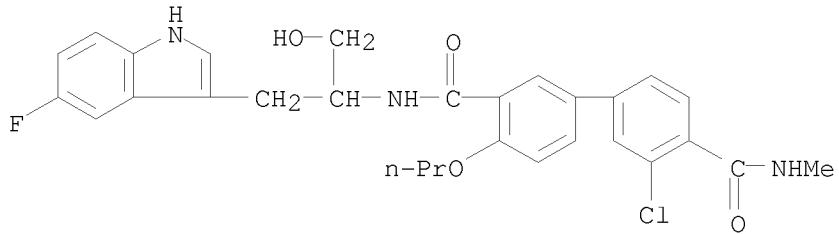
Absolute stereochemistry.



RN 925937-83-3 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 3'-chloro-N3-[2-(5-fluoro-1H-indol-3-

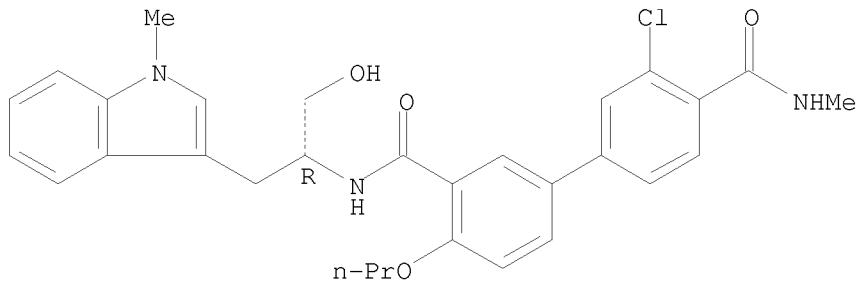
y1)-1-(hydroxymethyl)ethyl]-N4'-methyl-4-propoxy- (CA INDEX NAME)



RN 925937-85-5 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 3'-chloro-N3-[(1R)-2-hydroxy-1-[(1-methyl-1H-indol-3-yl)methyl]ethyl]-N4'-methyl-4-propoxy- (CA INDEX NAME)

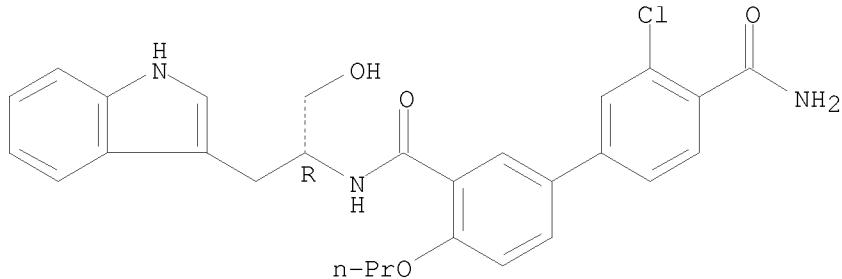
Absolute stereochemistry.



RN 925939-41-9 CAPLUS

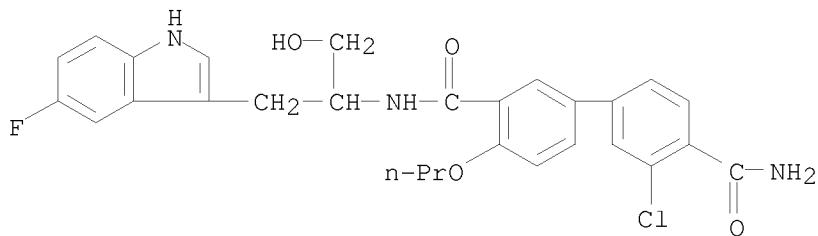
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 3'-chloro-N3-[(1R)-2-hydroxy-1-(1H-indol-3-ylmethyl)ethyl]-4-propoxy- (CA INDEX NAME)

Absolute stereochemistry.



RN 925939-55-5 CAPLUS

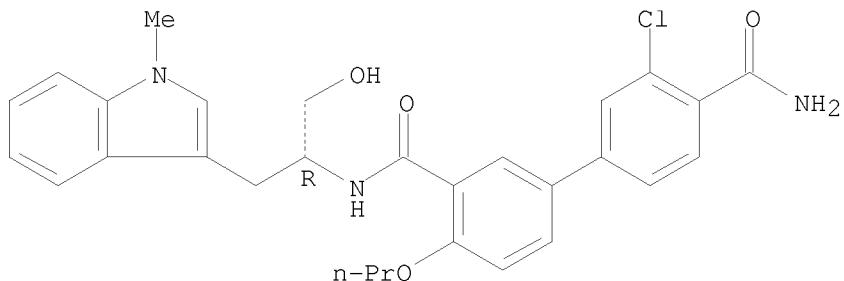
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 3'-chloro-N3-[2-(5-fluoro-1H-indol-3-yl)-1-(hydroxymethyl)ethyl]-4-propoxy- (CA INDEX NAME)



RN 925939-68-0 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 3'-chloro-N3-[(1R)-2-hydroxy-1-[(1-methyl-1H-indol-3-yl)methyl]ethyl]-4-propoxy- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1096119 CAPLUS

DOCUMENT NUMBER: 145:438417

TITLE: Substituted 3,4'-biphenyldicarboxamides as p38 kinase inhibitors, and their preparation, pharmaceutical compositions, and use

INVENTOR(S): Boehm, Jeffrey C.; Callahan, James Francis; Wan, Zehong; Yan, Hongxing

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 130pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006110173 | A2 | 20061019 | WO 2005-US35743 | 20051005 |
| WO 2006110173 | A3 | 20061123 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1805132 A2 20070711 EP 2005-857821 20051005
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 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR
 JP 2008515898 T 20080515 JP 2007-535764 20051005
 US 20080051416 A1 20080228 US 2007-576748 20070405
 PRIORITY APPLN. INFO.: US 2004-616065P P 20041005
 US 2005-719729P P 20050922
 WO 2005-US35743 W 20051005

OTHER SOURCE(S): MARPAT 145:438417
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

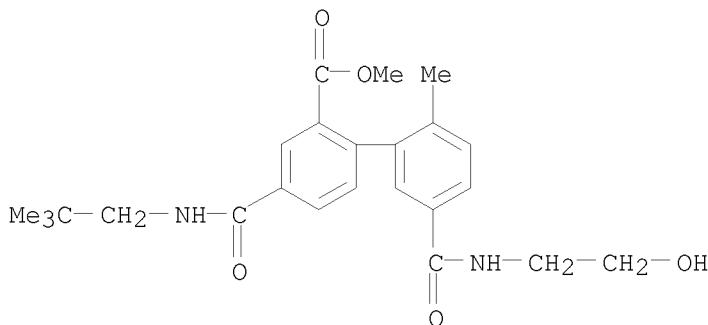
AB Compds. I, and their pharmaceutically acceptable derivs., and their use as pharmaceuticals, particularly as p38 kinase inhibitors, are disclosed [wherein R1 = H, (un)substituted cyclo/alkyl, hetero/aryl, heterocyclyl; R2 = H, (un)substituted cyclo/alkyl; or R2N(CH₂)_mR1 = 4- to 6-membered heterocyclyl; R3 = halo, Me; R8 = H, (un)substituted cyclo/alkyl, Ph, heteroaryl; X, Y = independently H, Me, halo; Z = (CH₂)_qCOOR₉, (CH₂)_qNR₉R₁₀; R9, R10 = independently H, (un)substituted alkyl, hetero/aryl, etc.; or R9NR10 = 5- to 6-membered ring; m, q = independently 0-4; n = 0-2; ; or pharmaceutically acceptable salts and derivs. thereof]. Thus, Pd-coupling of Me 2-bromo-5-[(2,2-dimethylpropyl)amino]carbonylbenzoate (preparation given) with 4-methyl-3-(4,4,5,5-tetramethyl-[1,3,2]dioxaborolan-2-yl)benzoic acid followed by amidation of the biphenylcarboxylic acid with 2-aminoethanol gave dicarboxamide II. In a fluorescence anisotropy kinase binding assay, II had a pIC₅₀ value of <4.8.

IT 913002-55-8P 913002-56-9P 913002-57-0P
 913002-63-8P 913002-68-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of biphenyldicarboxamides as p38 kinase inhibitors)

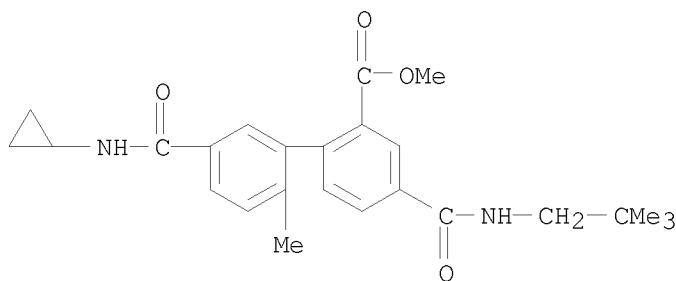
RN 913002-55-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-[(2,2-dimethylpropyl)amino]carbonyl]-5'-[(2-hydroxyethyl)amino]carbonyl]-2'-methyl-, methyl ester (CA INDEX NAME)



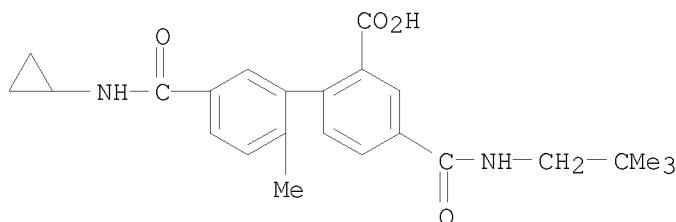
RN 913002-56-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 5'-[(cyclopropylamino)carbonyl]-4-
[[(2,2-dimethylpropyl)amino]carbonyl]-2'-methyl-, methyl ester (CA INDEX
NAME)



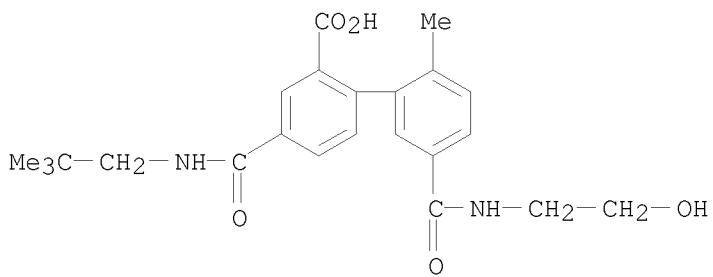
RN 913002-57-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 5'-[(cyclopropylamino)carbonyl]-4-
[[(2,2-dimethylpropyl)amino]carbonyl]-2'-methyl- (CA INDEX NAME)

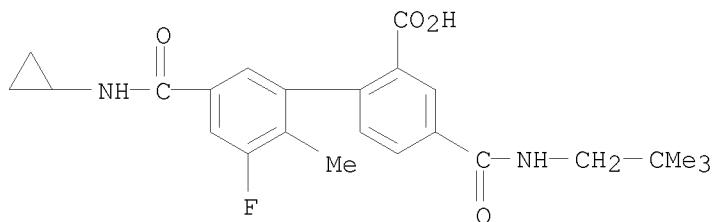


RN 913002-63-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-[(2,2-dimethylpropyl)amino]carbonyl-
5'-[(2-hydroxyethyl)amino]carbonyl]-2'-methyl- (CA INDEX NAME)



RN 913002-68-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 5'-[(cyclopropylamino)carbonyl]-4-
[[(2,2-dimethylpropyl)amino]carbonyl]-3'-fluoro-2'-methyl- (CA INDEX
NAME)

IT 913001-79-3P 913001-80-6P 913001-81-7P
 913001-82-8P 913001-83-9P 913001-84-0P
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 913001-88-4P 913001-89-5P 913001-90-8P
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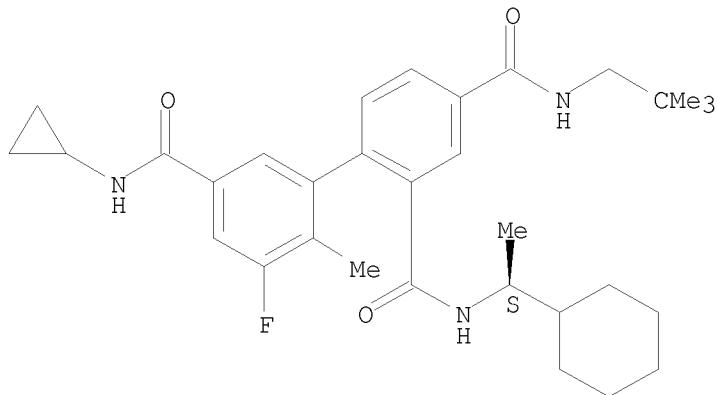
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of biphenyldicarboxamides as p38 kinase inhibitors)

RN 913001-79-3 CAPLUS

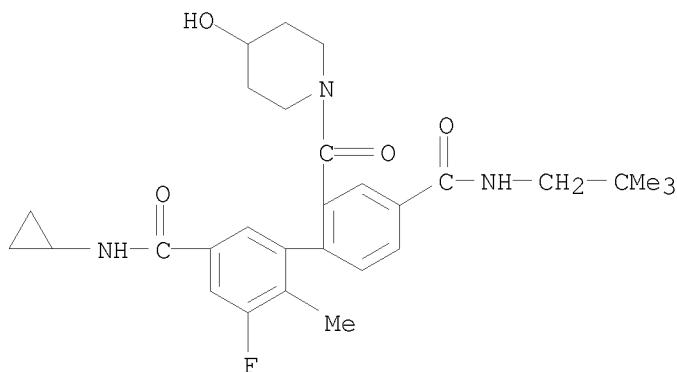
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N2-[(1S)-1-cyclohexylethyl]-N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)

Absolute stereochemistry.



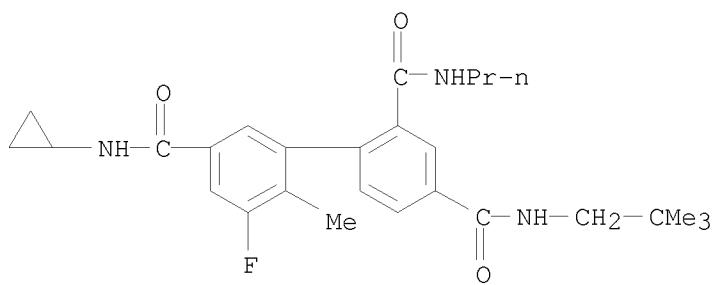
RN 913001-80-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-(4-hydroxy-1-piperidinyl)carbonyl]-6-methyl- (CA INDEX NAME)



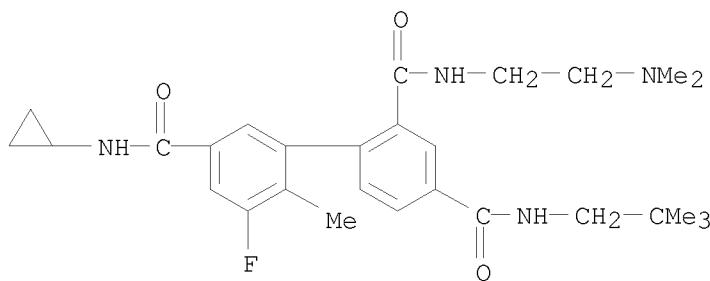
RN 913001-81-7 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-propyl- (CA INDEX NAME)



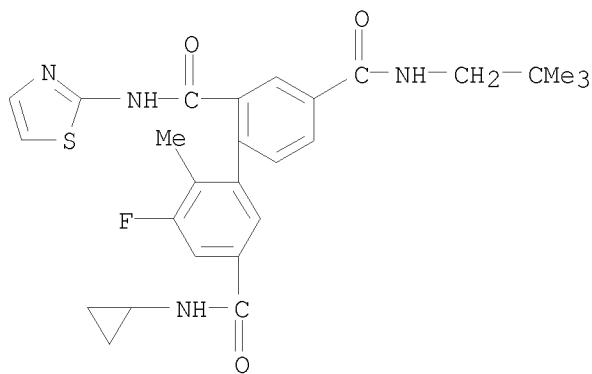
RN 913001-82-8 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N2-[2-(dimethylamino)ethyl]-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



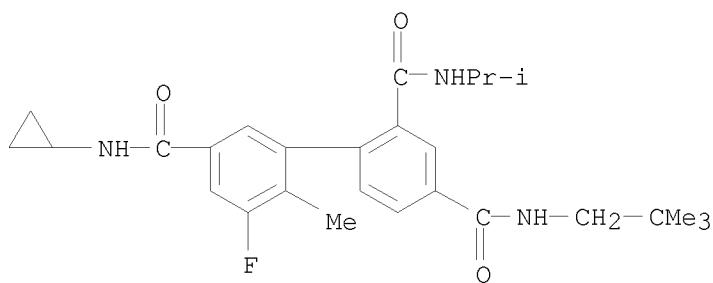
RN 913001-83-9 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



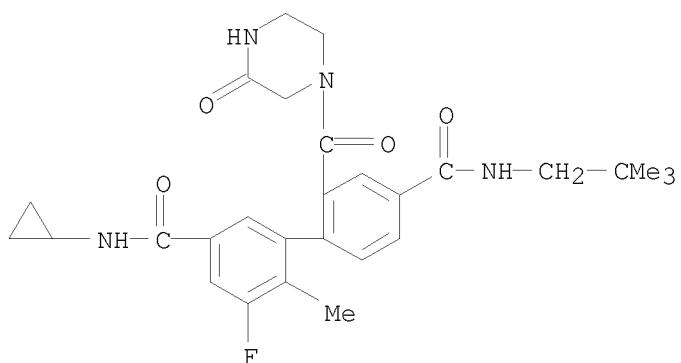
RN 913001-84-0 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-(1-methylethyl)- (CA INDEX NAME)



RN 913001-85-1 CAPLUS

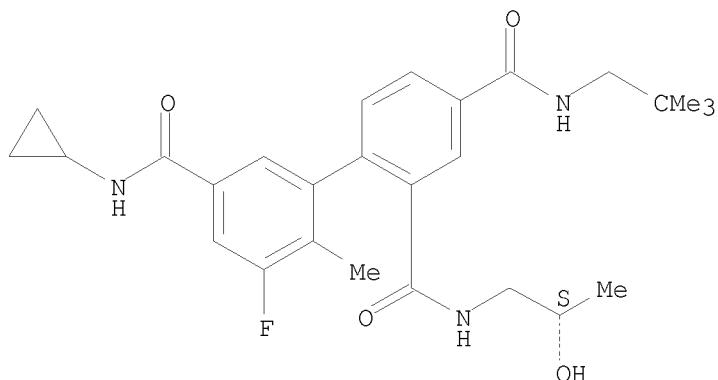
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-(3-oxo-1-piperazinyl)carbonyl- (CA INDEX NAME)



RN 913001-86-2 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-N2-[(2S)-2-hydroxypropyl]-6'-methyl- (CA INDEX NAME)

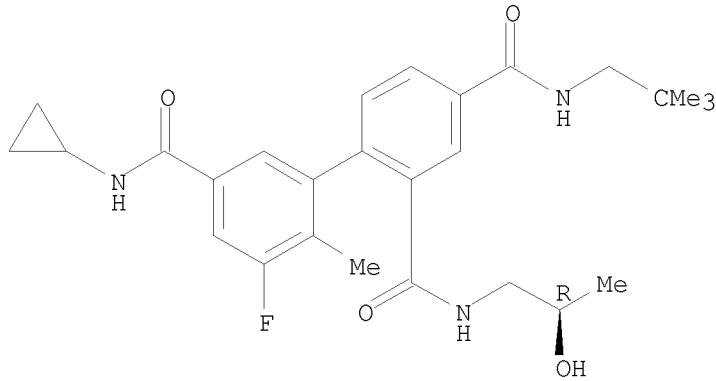
Absolute stereochemistry.



RN 913001-87-3 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-N2-[*(2R)*-2-hydroxypropyl]-6'-methyl- (CA INDEX NAME)

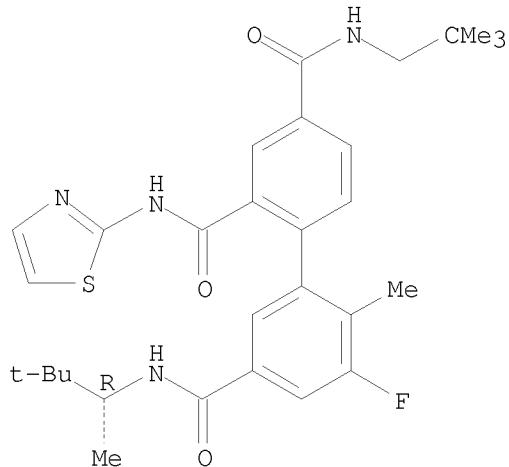
Absolute stereochemistry.



RN 913001-88-4 CAPLUS

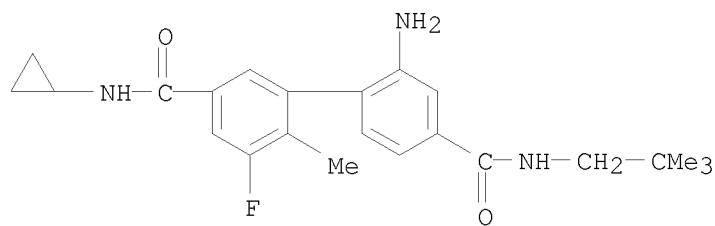
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl-N3'-(1*R*)-1,2,2-trimethylpropyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 913001-89-5 CAPLUS

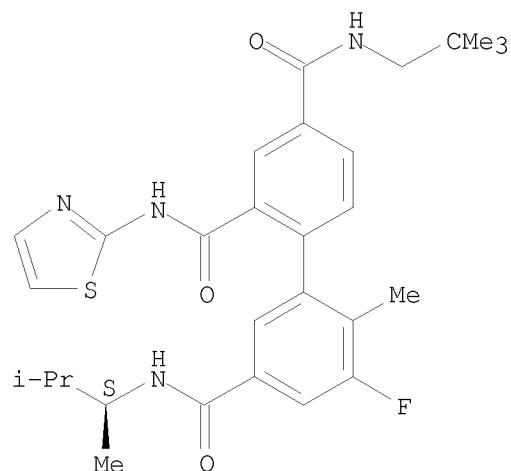
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 2'-amino-N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl- (CA INDEX NAME)



RN 913001-90-8 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-(1S)-1,2-dimethylpropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)

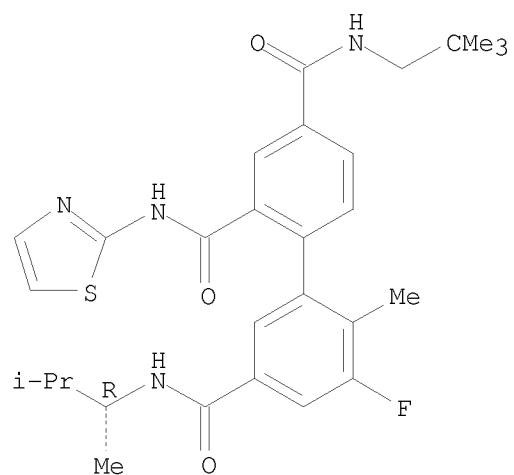
Absolute stereochemistry.



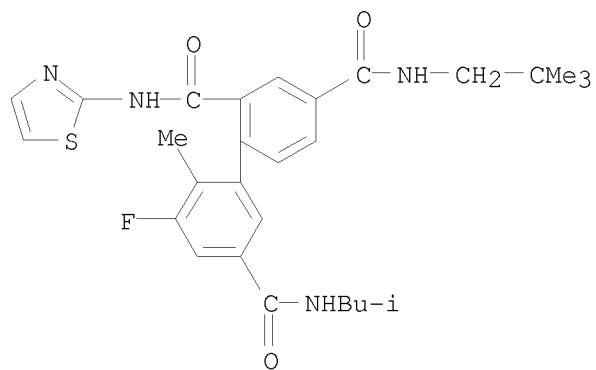
RN 913001-91-9 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-(1R)-1,2-dimethylpropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)

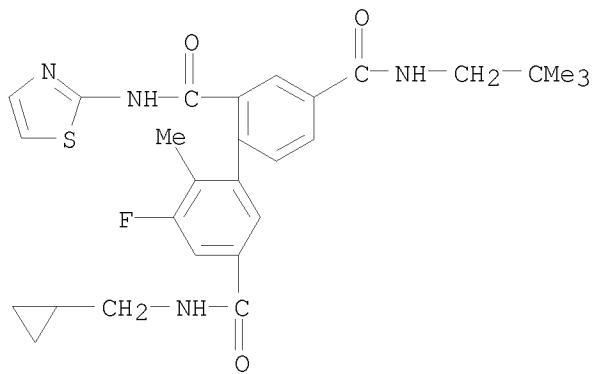
Absolute stereochemistry.



RN 913001-92-0 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N3'-(2-methylpropyl)-N2-2-thiazolyl- (CA INDEX NAME)

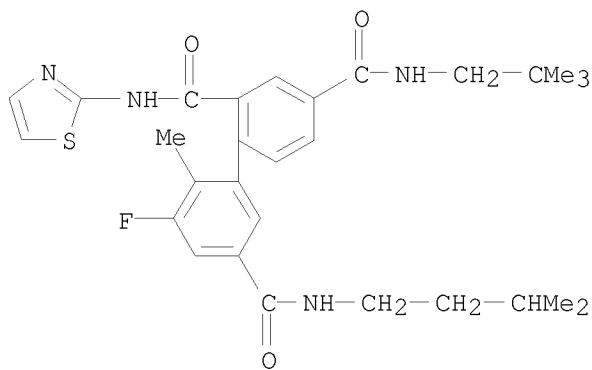


RN 913001-93-1 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-(cyclopropylmethyl)-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



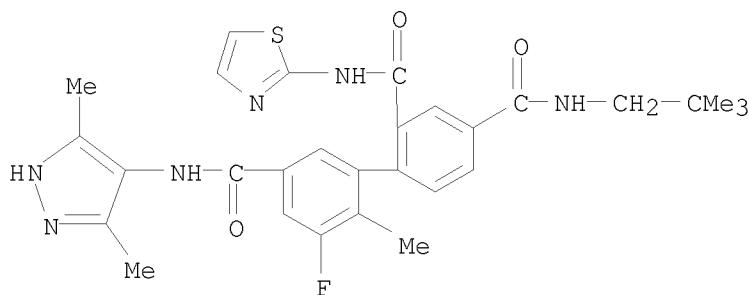
RN 913001-94-2 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N3'-(3-methylbutyl)-N2-2-thiazolyl- (CA INDEX NAME)



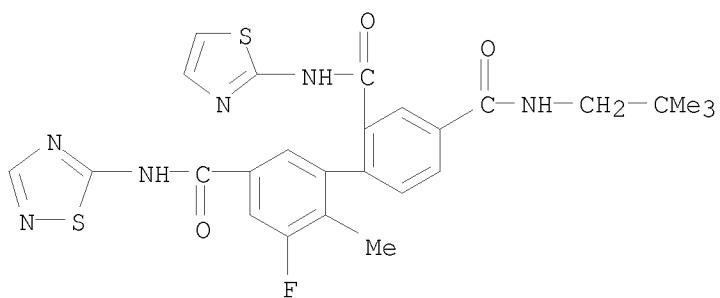
RN 913001-95-3 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-N3'-(3,5-dimethyl-1H-pyrazol-4-yl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



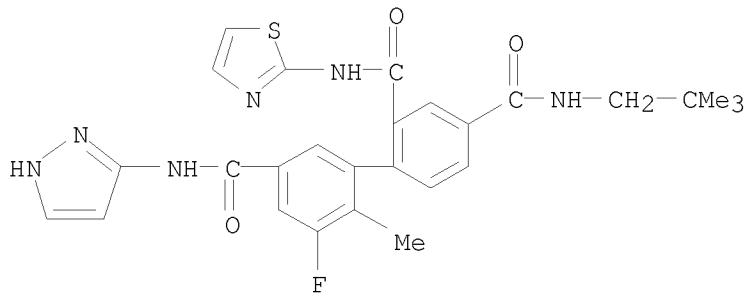
RN 913001-96-4 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N3'-(1,2,4-thiadiazol-5-yl)-N2-2-thiazolyl- (CA INDEX NAME)



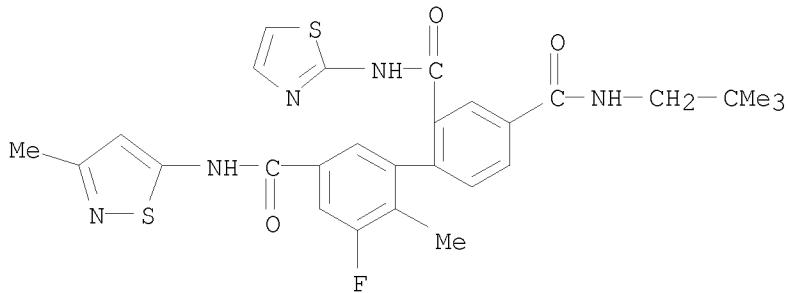
RN 913001-97-5 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N3'-1H-pyrazol-3-yl-N2-2-thiazolyl- (CA INDEX NAME)



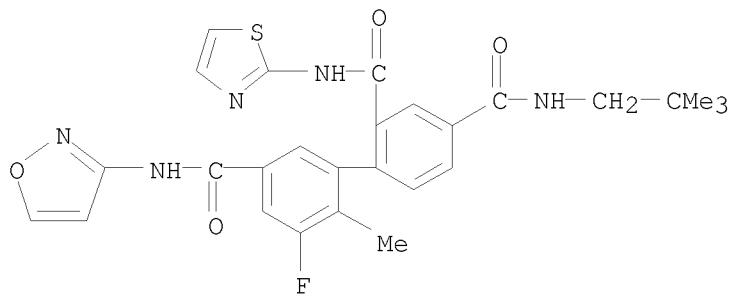
RN 913001-98-6 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N3'-(3-methyl-5-isothiazolyl)-N2-2-thiazolyl- (CA INDEX NAME)



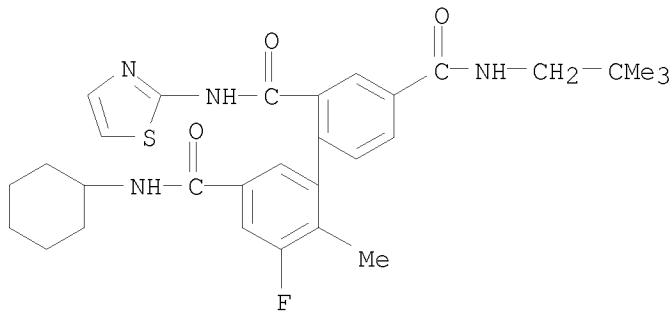
RN 913001-99-7 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-N3'-3-isoxazolyl-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



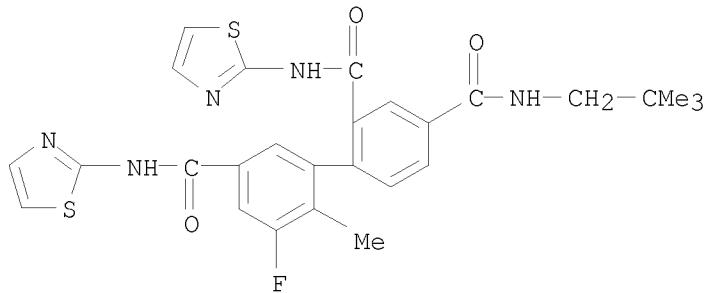
RN 913002-00-3 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclohexyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



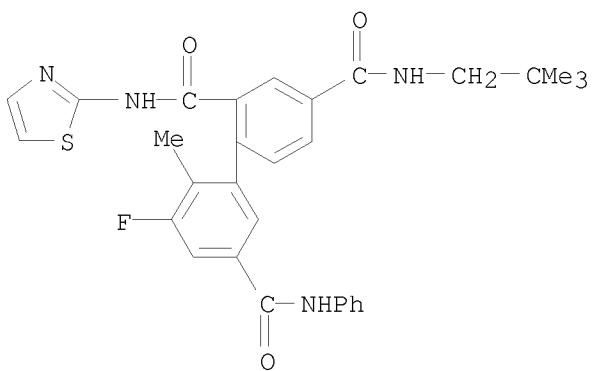
RN 913002-01-4 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2,N3'-bis(2-thiazolyl)- (CA INDEX NAME)



RN 913002-02-5 CAPLUS

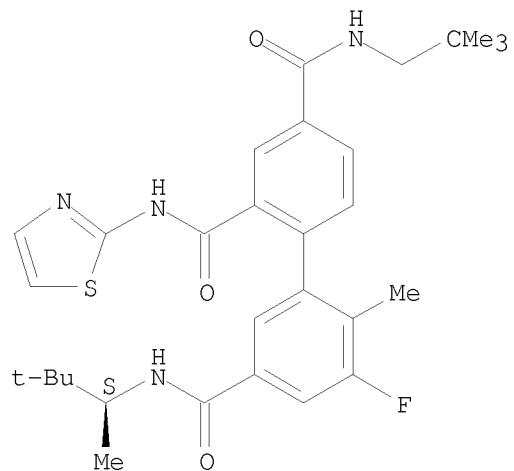
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N3'-phenyl-N2-2-thiazolyl- (CA INDEX NAME)



RN 913002-03-6 CAPLUS

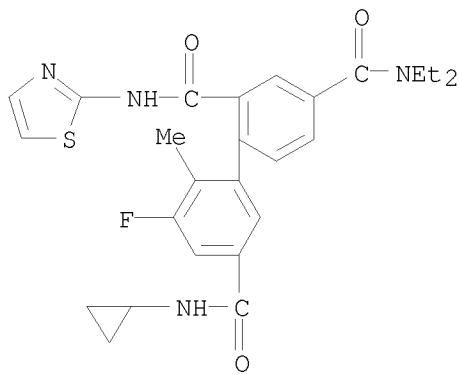
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl-N3'-(1S)-1,2,2-trimethylpropyl]-(CA INDEX NAME)

Absolute stereochemistry.



RN 913002-04-7 CAPLUS

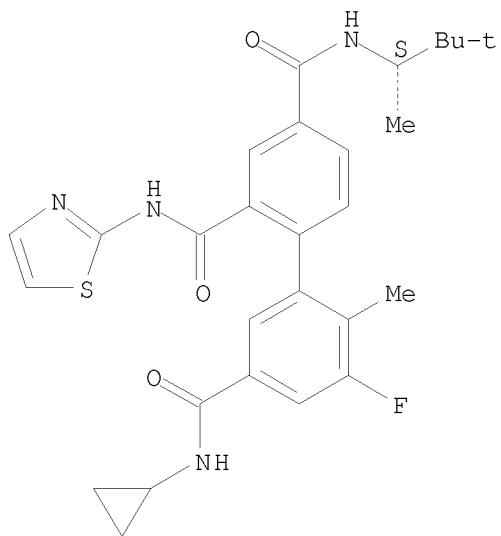
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4,N4-diethyl-5'-fluoro-6'-methyl-N2-2-thiazolyl-(CA INDEX NAME)



RN 913002-05-8 CAPLUS

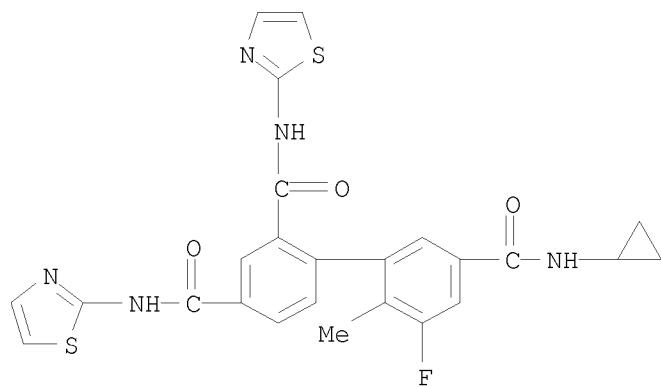
CN [1,1'-Biphenyl]-2',3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N2-2-thiazolyl-N4-[(1S)-1,2,2-trimethylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



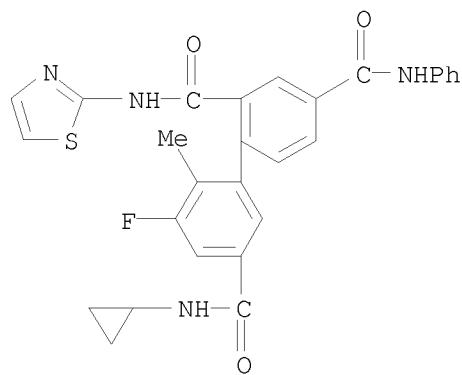
RN 913002-06-9 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N2,N4-bis(2-thiazolyl)- (CA INDEX NAME)



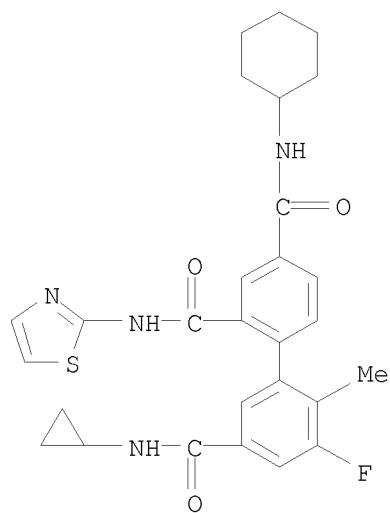
RN 913002-08-1 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-phenyl-N2-2-thiazolyl- (CA INDEX NAME)



RN 913002-09-2 CAPLUS

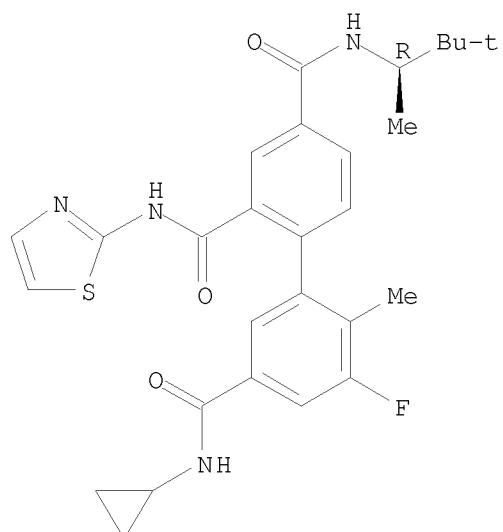
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-cyclohexyl-N3'-cyclopropyl-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



RN 913002-10-5 CAPLUS

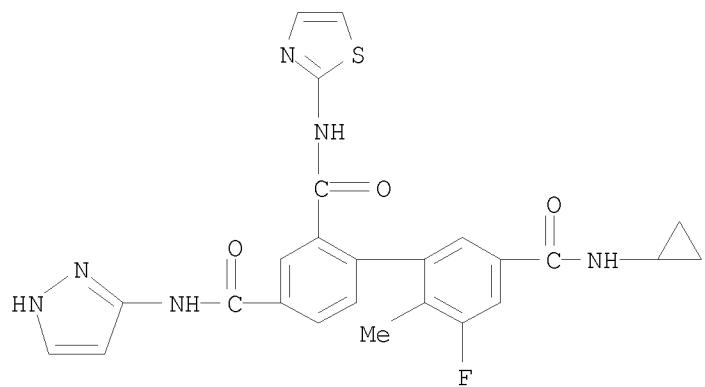
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N2-2-thiazolyl-N4-[(1R)-1,2,2-trimethylpropyl]- (CA INDEX NAME)

Absolute stereochemistry.



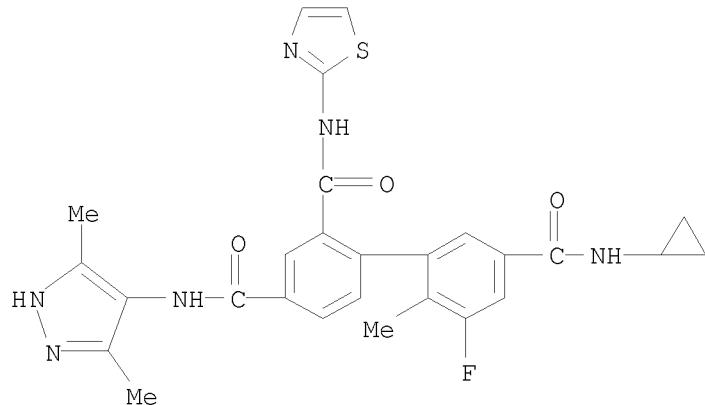
RN 913002-11-6 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-1H-pyrazol-3-yl-N2-2-thiazolyl- (CA INDEX NAME)



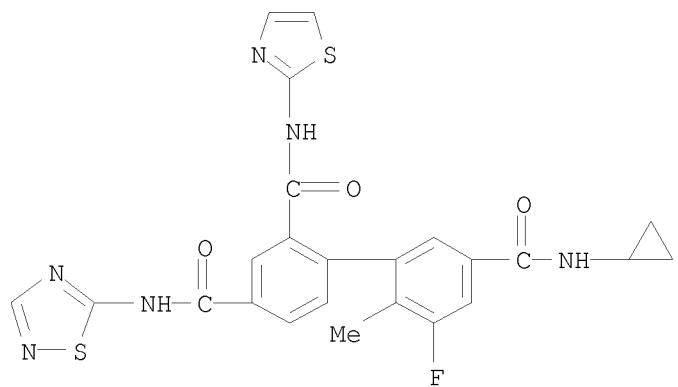
RN 913002-12-7 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(3,5-dimethyl-1H-pyrazol-4-yl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



RN 913002-13-8 CAPLUS

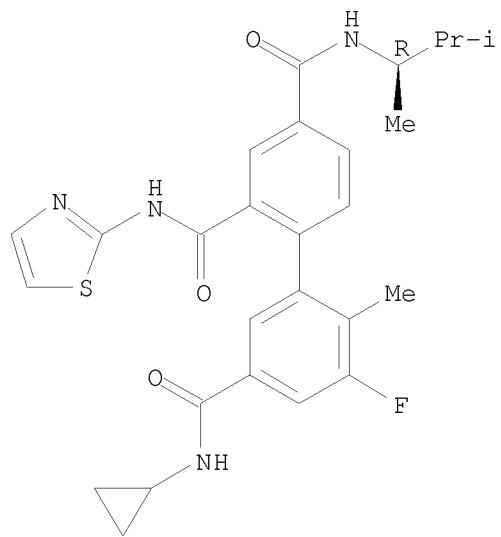
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-1,2,4-thiadiazol-5-yl-N2-2-thiazolyl- (CA INDEX NAME)



RN 913002-14-9 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-[(1R)-1,2-dimethylpropyl]-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)

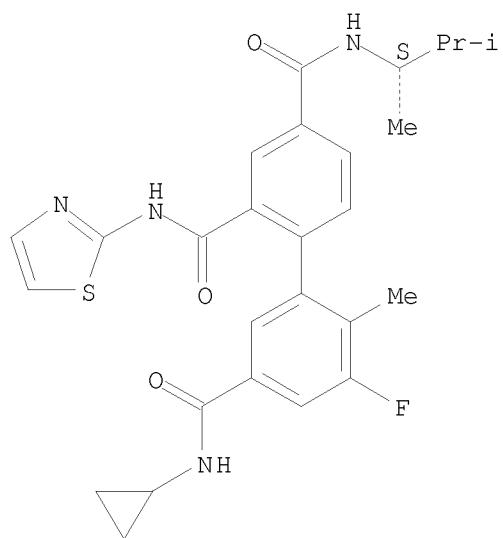
Absolute stereochemistry.



RN 913002-15-0 CAPLUS

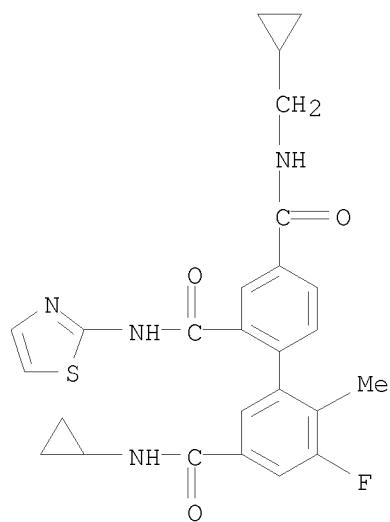
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-[(1S)-1,2-dimethylpropyl]-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)

Absolute stereochemistry.



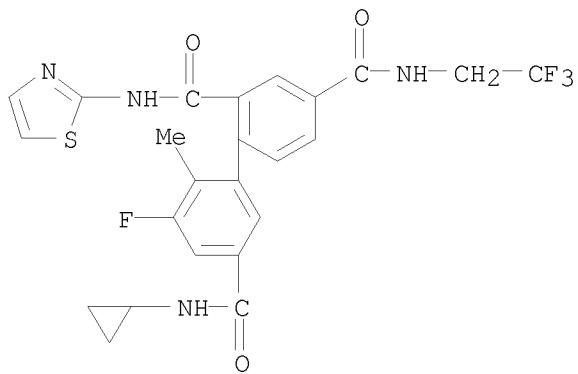
RN 913002-16-1 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(cyclopropylmethyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



RN 913002-17-2 CAPLUS

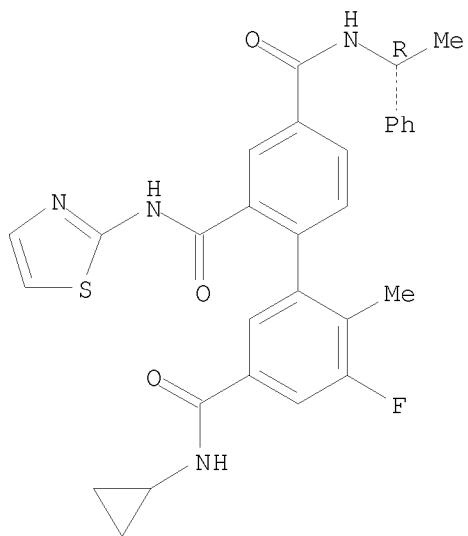
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N2-2-thiazolyl-N4-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



RN 913002-18-3 CAPLUS

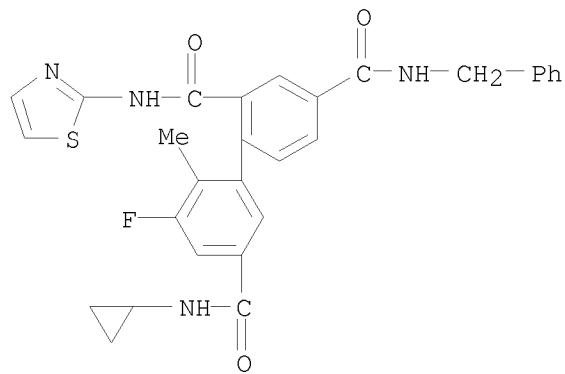
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-[(1R)-1-phenylethyl]-N2-2-thiazolyl- (CA INDEX NAME)

Absolute stereochemistry.



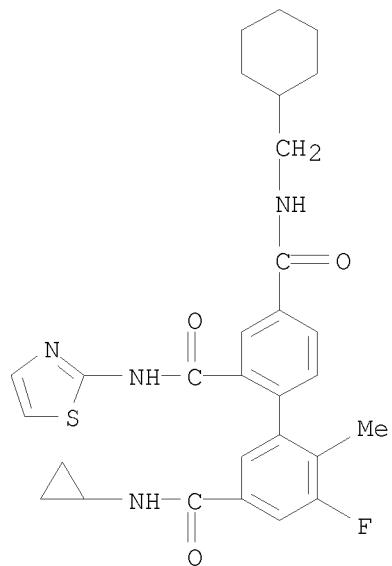
RN 913002-19-4 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-(phenylmethyl)-N2-2-thiazolyl- (CA INDEX NAME)



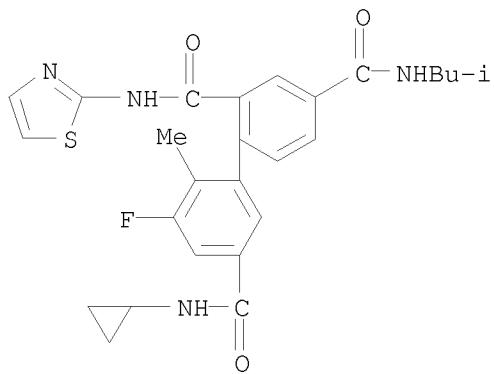
RN 913002-20-7 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(cyclohexylmethyl)-N3'-cyclopropyl-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



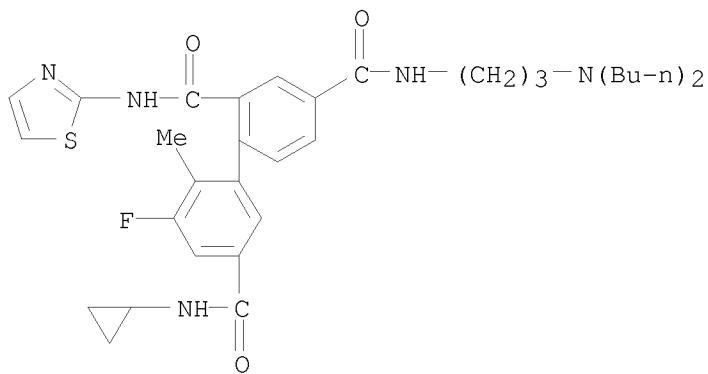
RN 913002-21-8 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-(2-methylpropyl)-N2-2-thiazolyl- (CA INDEX NAME)



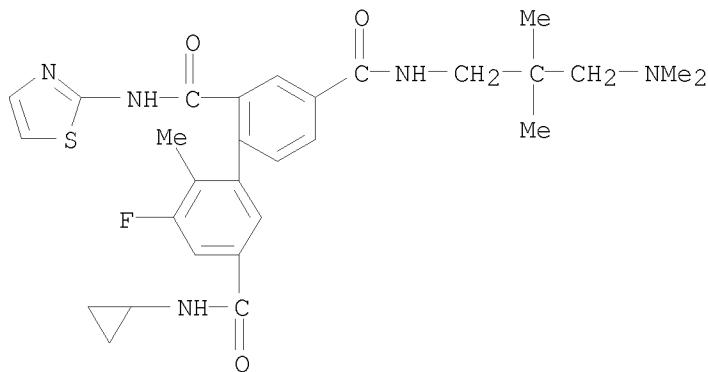
RN 913002-22-9 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-[3-(dibutylamino)propyl]-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



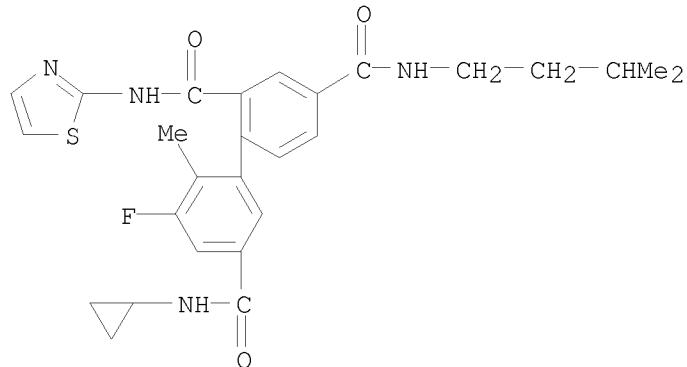
RN 913002-23-0 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-[3-(dimethylamino)-2,2-dimethylpropyl]-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



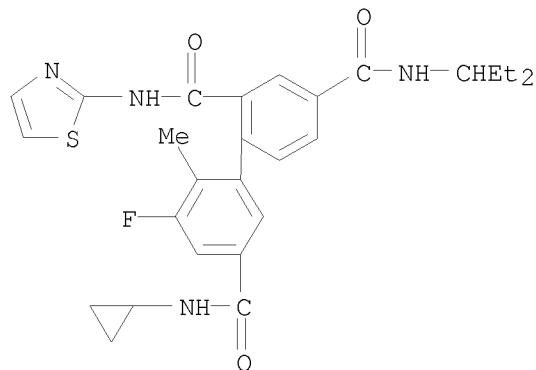
RN 913002-24-1 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-(3-methylbutyl)-N2-2-thiazolyl- (CA INDEX NAME)



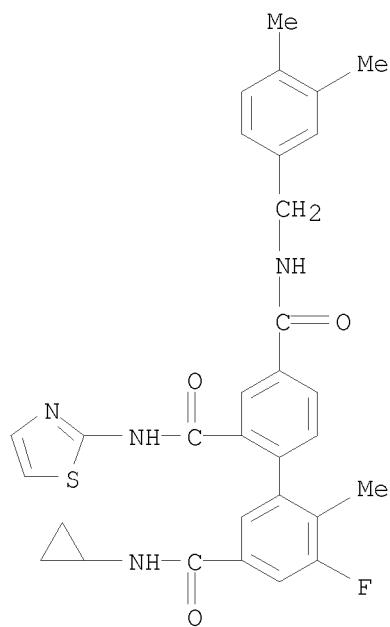
RN 913002-25-2 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(1-ethylpropyl)-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)

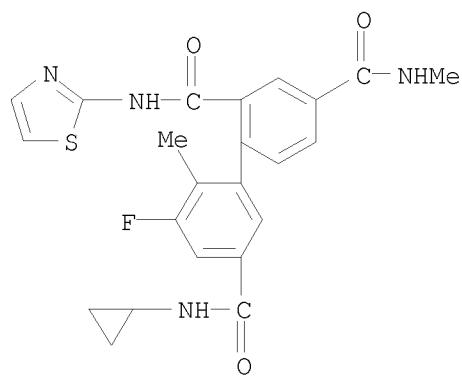


RN 913002-26-3 CAPLUS

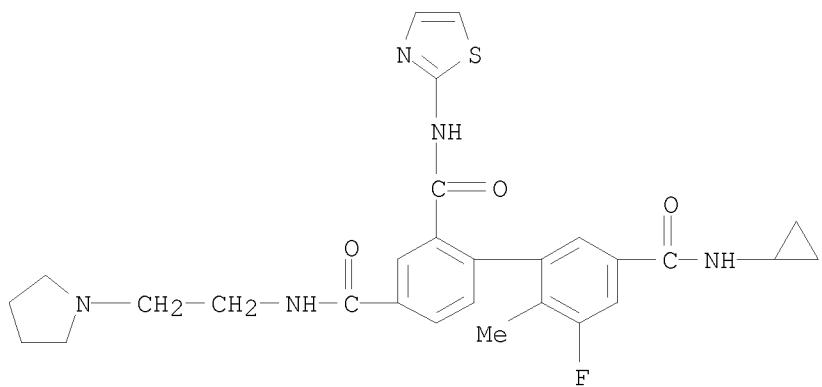
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-[(3,4-dimethylphenyl)methyl]-5'-fluoro-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



RN 913002-27-4 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-N4,6'-dimethyl-N2-2-thiazolyl- (CA INDEX NAME)

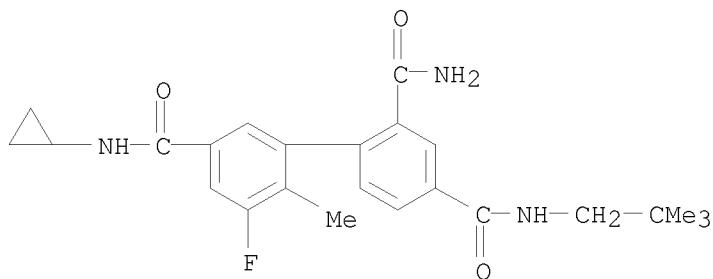


RN 913002-28-5 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-5'-fluoro-6'-methyl-N4-[2-(1-pyrrolidinyl)ethyl]-N2-2-thiazolyl- (CA INDEX NAME)



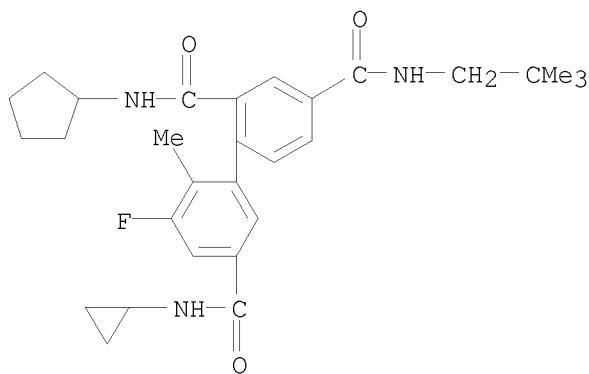
RN 913002-29-6 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



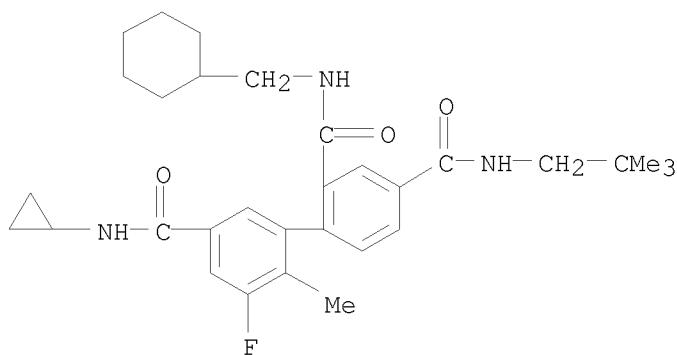
RN 913002-30-9 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N2-cyclopentyl-N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



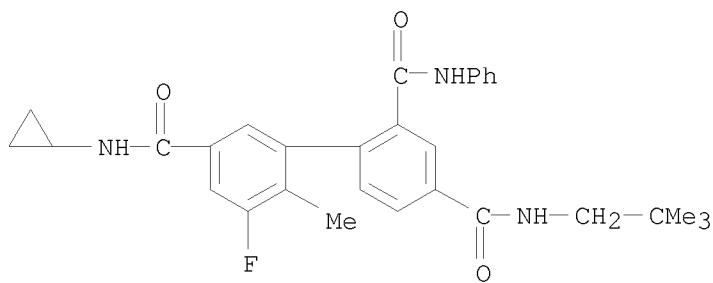
RN 913002-31-0 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N2-(cyclohexylmethyl)-N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



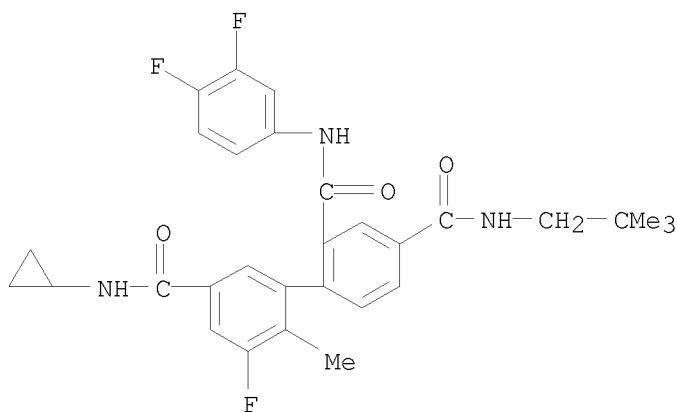
RN 913002-32-1 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-phenyl- (CA INDEX NAME)



RN 913002-33-2 CAPLUS

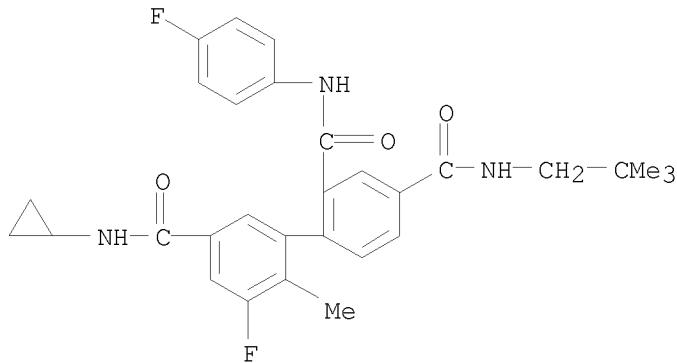
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N2-(3,4-difluorophenyl)-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



RN 913002-34-3 CAPLUS

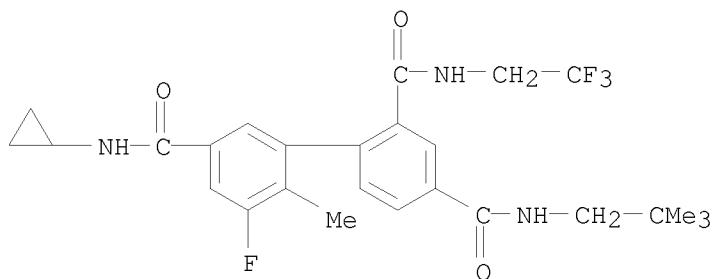
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-

dimethylpropyl)-5'-fluoro-N2-(4-fluorophenyl)-6'-methyl- (CA INDEX NAME)



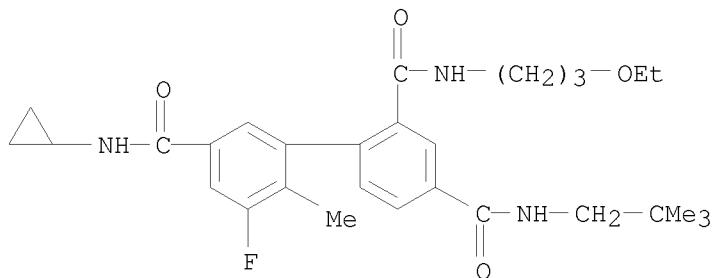
RN 913002-35-4 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-(2,2,2-trifluoroethyl)- (CA INDEX NAME)



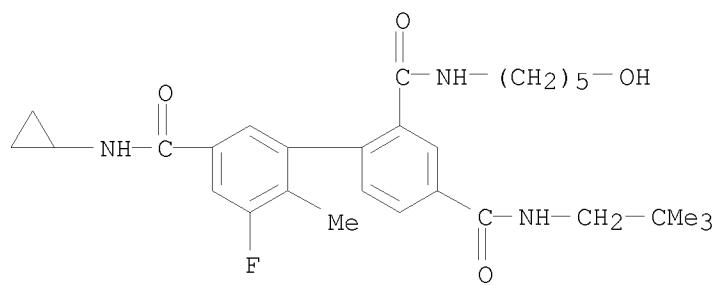
RN 913002-36-5 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-N2-(3-ethoxypropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



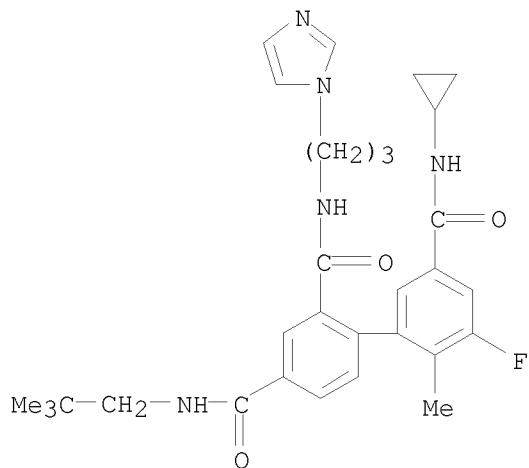
RN 913002-37-6 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-N2-(5-hydroxypentyl)-6'-methyl- (CA INDEX NAME)



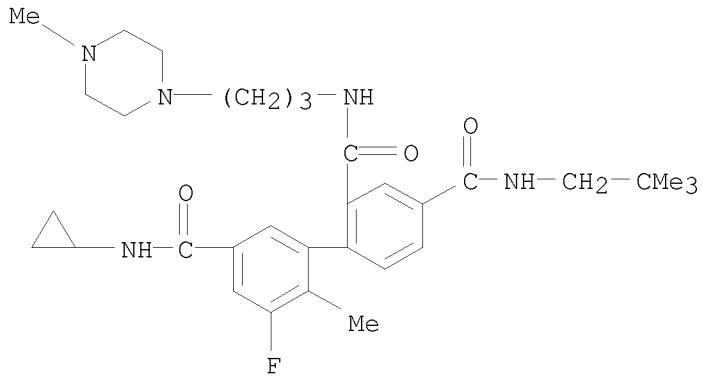
RN 913002-38-7 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-N2-[3-(1H-imidazol-1-yl)propyl]-6'-methyl- (CA INDEX NAME)



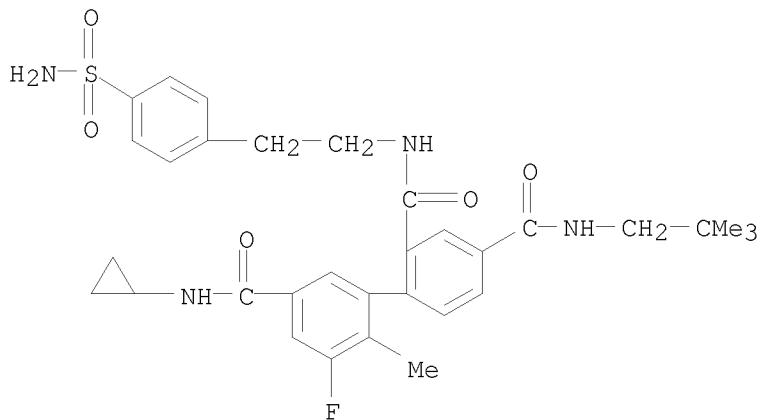
RN 913002-39-8 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-[3-(4-methyl-1-piperazinyl)propyl]- (CA INDEX NAME)



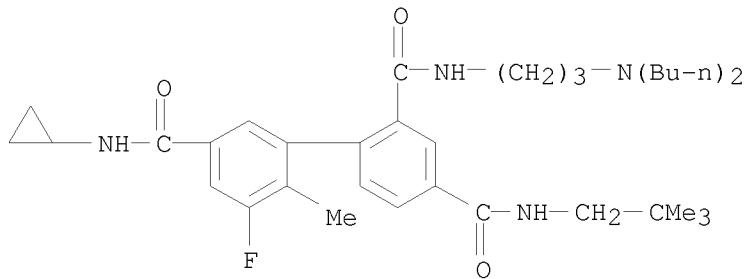
RN 913002-40-1 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N2-[2-[4-(aminosulfonyl)phenyl]ethyl]-N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



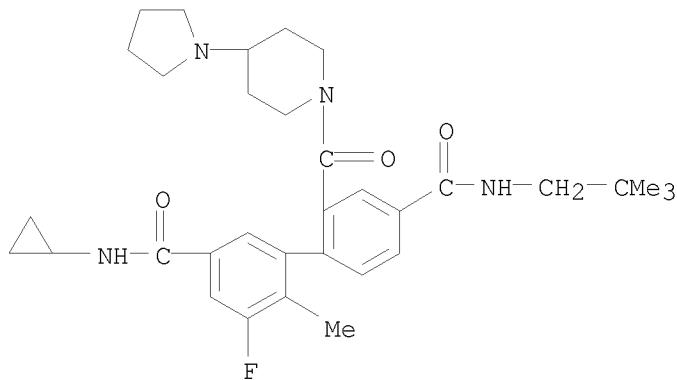
RN 913002-41-2 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N2-[3-(dibutylamino)propyl]-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



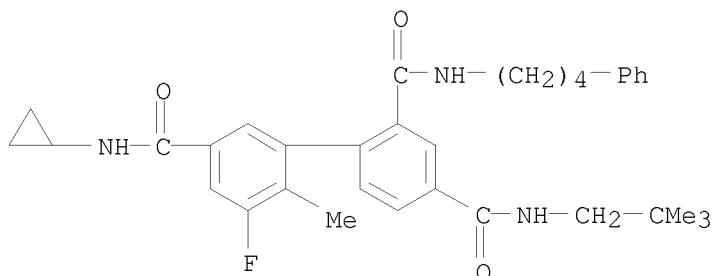
RN 913002-42-3 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[[4-(1-pyrrolidinyl)-1-piperidinyl]carbonyl]- (CA INDEX NAME)



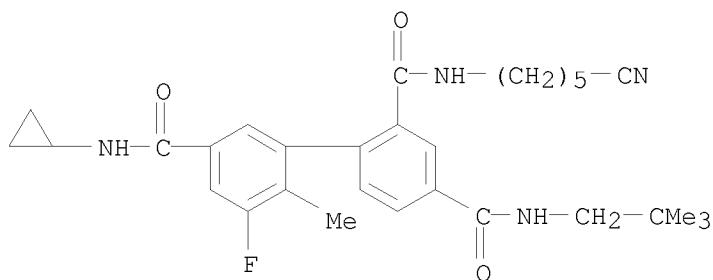
RN 913002-43-4 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-(4-phenylbutyl)- (CA INDEX NAME)



RN 913002-44-5 CAPLUS

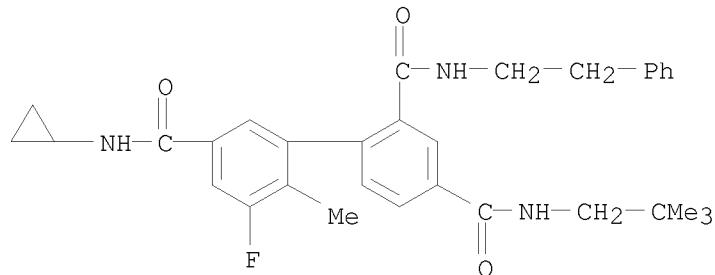
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N2-(5-cyanopentyl)-N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl- (CA INDEX NAME)



RN 913002-45-6 CAPLUS

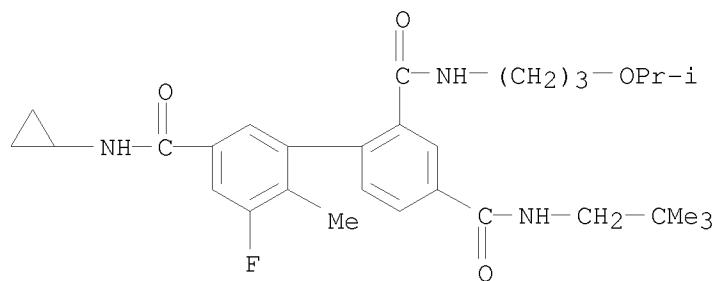
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-

dimethylpropyl)-5'-fluoro-6'-methyl-N2-(2-phenylethyl)- (CA INDEX NAME)



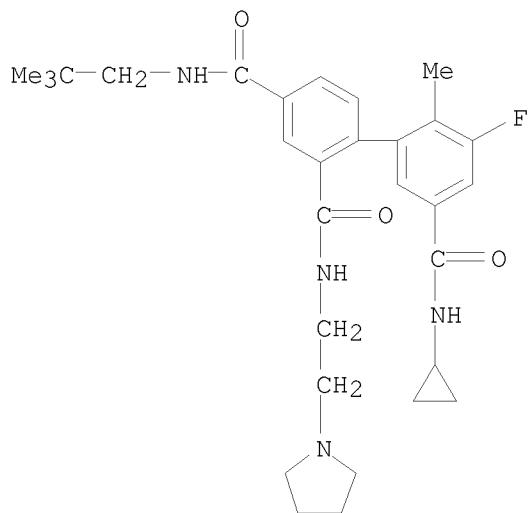
RN 913002-46-7 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-[3-(1-methylethoxy)propyl]- (CA INDEX NAME)



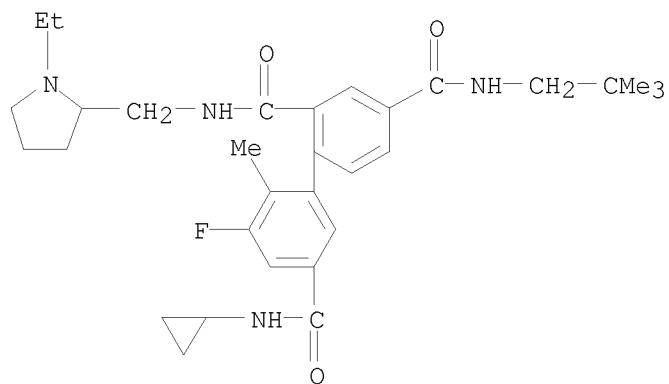
RN 913002-47-8 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N2-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



RN 913002-48-9 CAPLUS

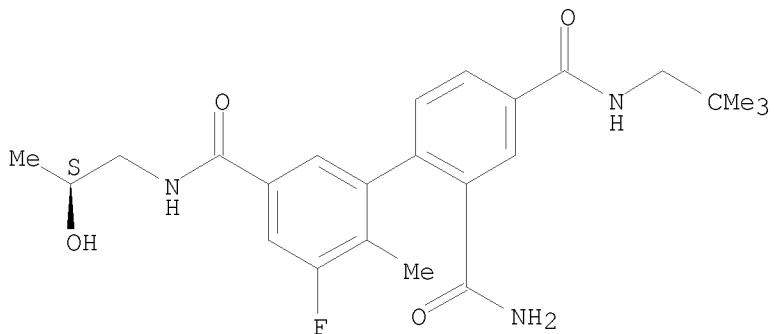
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-N2-[(1-ethyl-2-pyrrolidinyl)methyl]-5'-fluoro-6'-methyl- (CA INDEX NAME)



RN 913002-49-0 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-N3'-[(2S)-2-hydroxypropyl]-6'-methyl- (CA INDEX NAME)

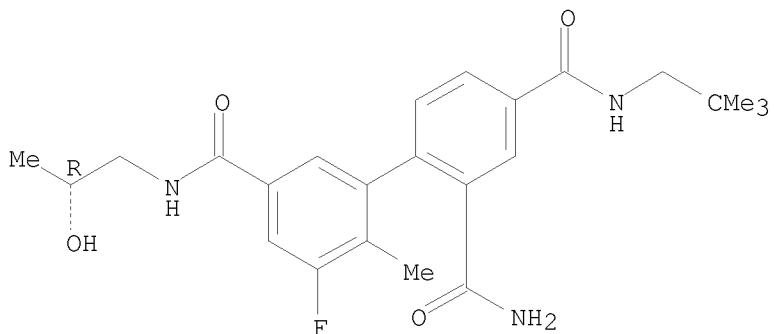
Absolute stereochemistry.



RN 913002-50-3 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-N3'-(2R)-2-hydroxypropyl]-6'-methyl- (CA INDEX NAME)

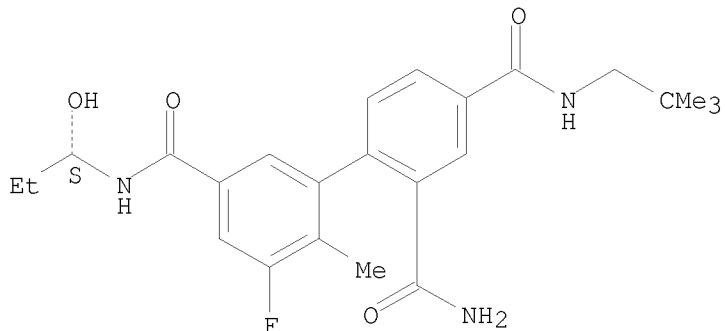
Absolute stereochemistry.



RN 913002-51-4 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-N3'-(1S)-1-hydroxypropyl]-6'-methyl- (CA INDEX NAME)

Absolute stereochemistry.

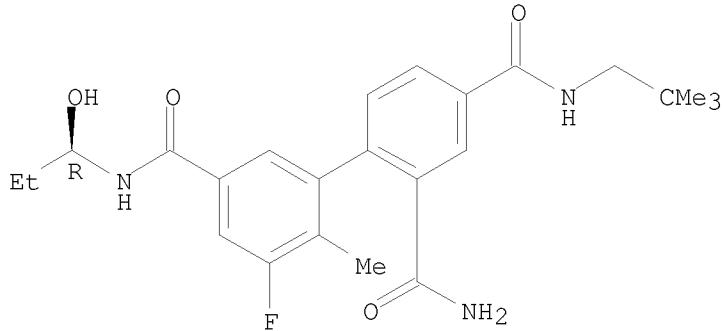


RN 913002-52-5 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-

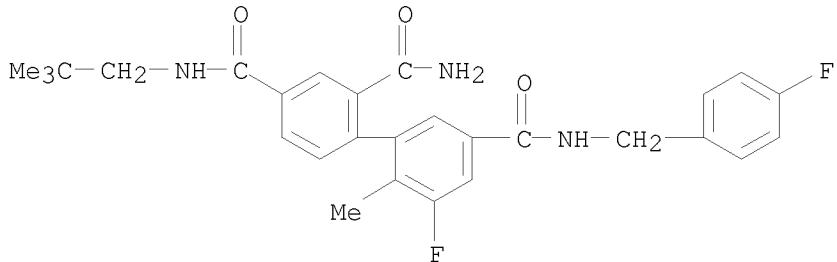
N3'-(1R)-1-hydroxypropyl]-6'-methyl- (CA INDEX NAME)

Absolute stereochemistry.



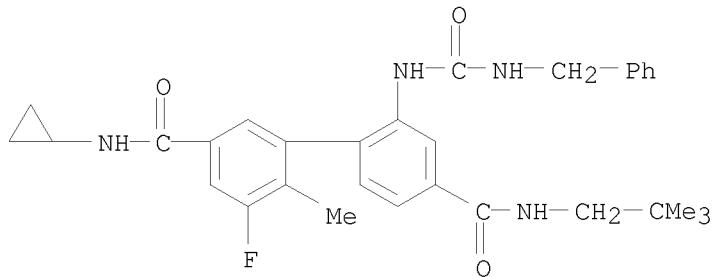
RN 913002-53-6 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-N3'-(4-fluorophenyl)methyl]-6'-methyl- (CA INDEX NAME)



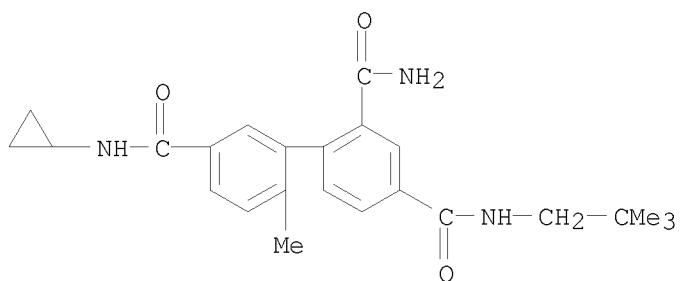
RN 913002-54-7 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[[[(phenylmethyl)amino]carbonyl]amino]- (CA INDEX NAME)



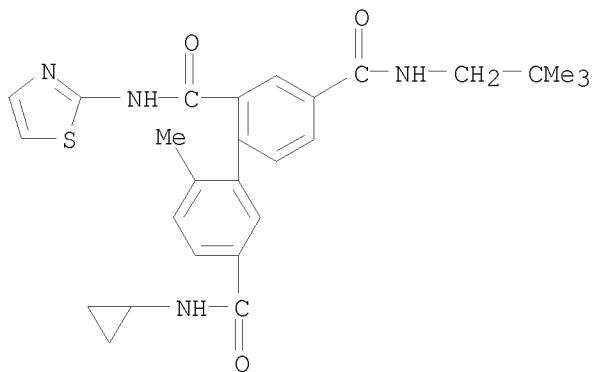
RN 913002-58-1 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-6'-methyl- (CA INDEX NAME)



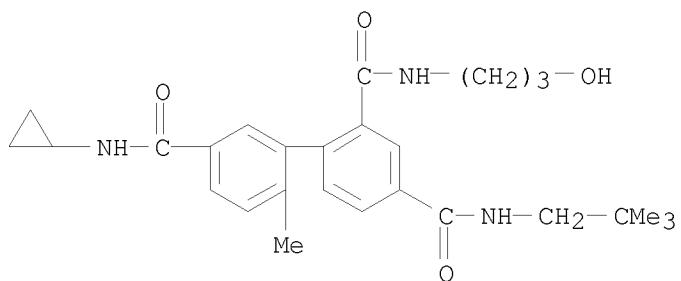
RN 913002-59-2 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-6'-methyl-N2-2-thiazolyl- (CA INDEX NAME)



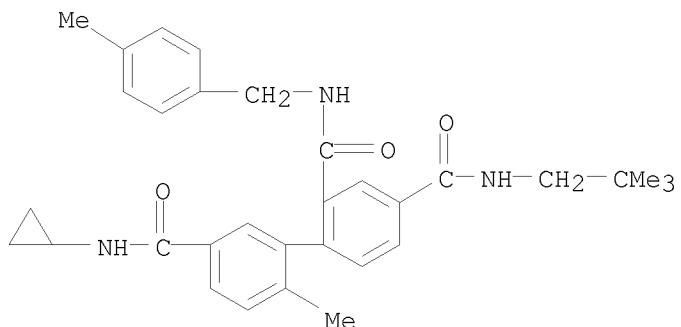
RN 913002-60-5 CAPLUS

CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-N2-(3-hydroxypropyl)-6'-methyl- (CA INDEX NAME)

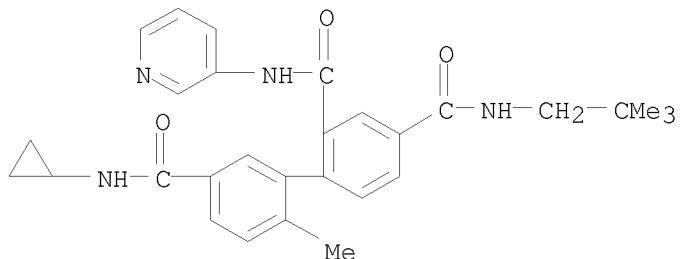


RN 913002-61-6 CAPLUS

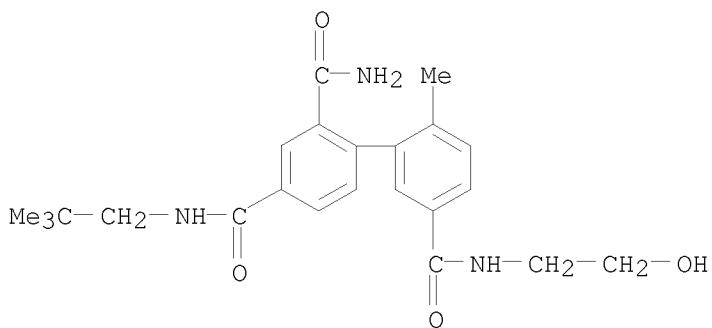
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-6'-methyl-N2-[4-methylphenyl]methyl- (CA INDEX NAME)



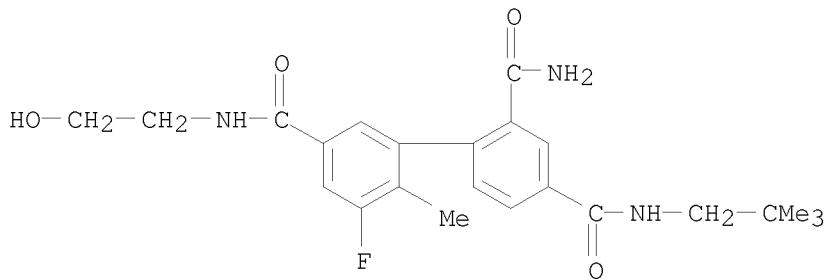
RN 913002-62-7 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N3'-cyclopropyl-N4-(2,2-dimethylpropyl)-6'-methyl-N2-3-pyridinyl- (CA INDEX NAME)



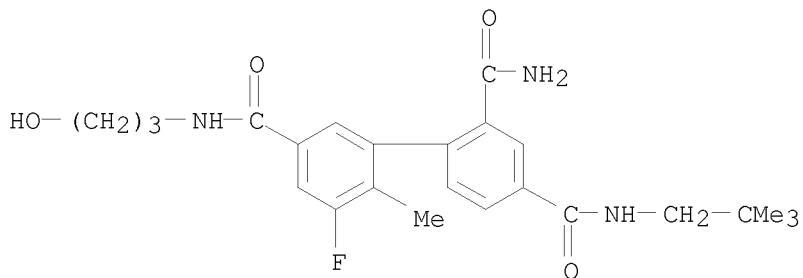
RN 913002-64-9 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-N3'-(2-hydroxyethyl)-6'-methyl- (CA INDEX NAME)



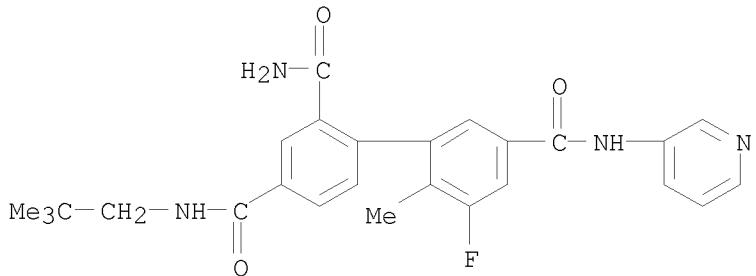
RN 913002-65-0 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-N3'-(2-hydroxyethyl)-6'-methyl- (CA INDEX NAME)



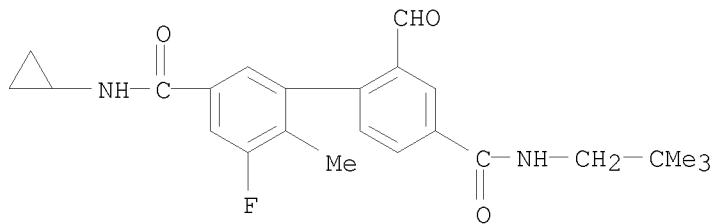
RN 913002-66-1 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-N3'-(3-hydroxypropyl)-6'-methyl- (CA INDEX NAME)



RN 913002-67-2 CAPLUS
CN [1,1'-Biphenyl]-2,3',4-tricarboxamide, N4-(2,2-dimethylpropyl)-5'-fluoro-6'-methyl-N3'-3-pyridinyl- (CA INDEX NAME)



IT 776315-27-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of biphenyldicarboxamides as p38 kinase inhibitors)
RN 776315-27-6 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-formyl-6-methyl- (CA INDEX NAME)



L6 ANSWER 10 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:927166 CAPLUS

DOCUMENT NUMBER: 141:395428

TITLE: Biaryl methyl indolines, indoles, and tetrahydroquinolines, useful as serine protease inhibitors, and particularly as anticoagulants, and their preparation, pharmaceutical compositions, and use.

INVENTOR(S): Smallheer, Joanne M.; Quan, Mimi L.; Wang, Shuaige; Bisacchi, Gregory S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

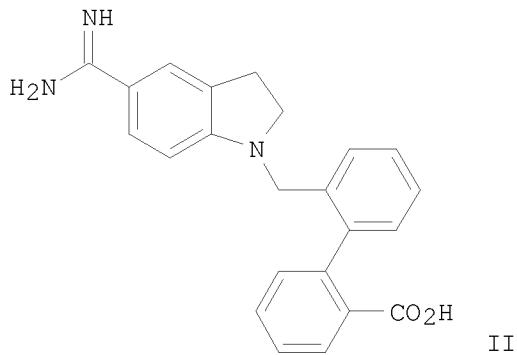
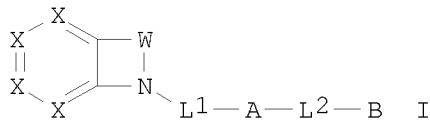
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004094372 | A2 | 20041104 | WO 2004-US11856 | 20040415 |
| WO 2004094372 | A3 | 20050602 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | | | |
| US 20040220206 | A1 | 20041104 | US 2004-824025 | 20040414 |
| US 7129264 | B2 | 20061031 | | |
| EP 1633716 | A2 | 20060315 | EP 2004-750251 | 20040415 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2006523716 | T | 20061019 | JP 2006-513080 | 20040415 |
| PRIORITY APPLN. INFO.: | | | US 2003-463452P | P 20030416 |
| | | | US 2004-824025 | A 20040414 |
| | | | WO 2004-US11856 | W 20040415 |

OTHER SOURCE(S): MARPAT 141:395428

GI



AB The invention provides compds. I or stereoisomers, pharmaceutically acceptable salts or hydrates, or prodrugs thereof [wherein: W = (un)substituted CH₂CH₂, CH:CH, CH:N, or CH₂CH₂CH₂; L1 = CH₂, CH₂CH₂, CH₂S(O)0-2, or CH₂C(O); L2 = bond, (un)substituted CH₂, CH₂CH₂, O, NH, C(O), S(O)0-2, CH₂C(O), C(O)CH₂, CH₂O, OCH₂, CH₂NH, NHCH₂, CH₂S(O)0-2, S(O)0-2CH₂, C(O)O, OC(O), C(O)NH, NHC(O), S(O)NH, S(O)2NH, NHS(O), or NHS(O)2; A = (un)substituted C₃-10 carbocycle or 5- to 12-membered heterocycle with 1-4 N/O/S(O)0-2 heteroatoms; B = (un)substituted alk(en/yn)yl, C₃-10 carbocycle, or 5- to 12-membered heterocycle with 1-4 N/O/S(O)0-2 heteroatoms; X = (independently) (un)substituted CH or N]. I are useful as selective inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system; for example thrombin, factor Xa, factor XIa, factor IXa, factor VIIa and/or plasma kallikrein. In particular, the invention relates to compds. that are selective factor XIa inhibitors. This invention also relates to pharmaceutical compns. comprising I, and methods of treating thromboembolic and/or inflammatory disorders using I. I had Ki values of ≤ 15 μM in assays for Factor XIa and plasma kallikrein, thereby confirming their utility as effective inhibitors of these entities. Approx. 115 compds. I and various intermediates were prepared. For instance, 5-cyanoindole was reduced to 5-cyanoindoline with NaBH₃CN (40%) or with Et₃SiH (77%). Then, Suzuki coupling of 2-IC₆H₄CO₂Me with 2-OCHC₆H₄B(OH)₂ gave 83% 2-OHC₆H₄-C₆H₄CO₂Me-2, which underwent reductive alkylation with 5-cyanoindoline (86%). The obtained 1-substituted 5-cyanoindoline was converted to the corresponding 5-amidoxime, which was reduced by Zn in AcOH to give the 5-amidine (18.5%). Alkaline saponification of the ester moiety gave invention compound II, isolated as the bis(trifluoroacetate) salt.

IT 787631-06-5P, 2'-(3-Benzyl-5-carbamimidoylindol-1-ylmethyl)-4-

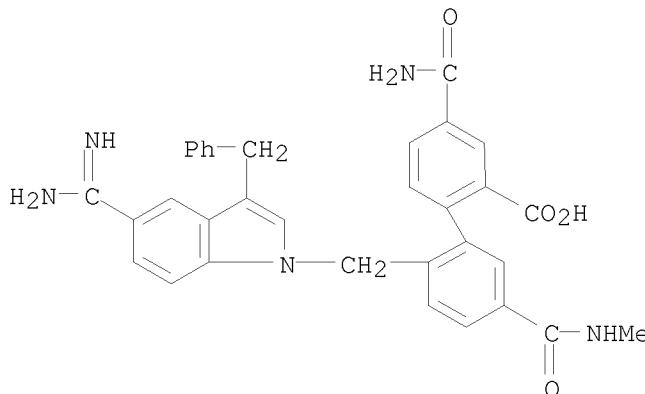
carbamoyl-5'-(methylcarbamoyl)biphenyl-2-carboxylic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of biaryl methyl indolines, indoles, and tetrahydroquinolines as serine protease inhibitors and anticoagulants)

RN 787631-06-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-2'-[[5-(aminoiminomethyl)-3-(phenylmethyl)-1H-indol-1-yl]methyl]-5'-[(methylamino)carbonyl]- (CA INDEX NAME)



L6 ANSWER 11 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:872774 CAPLUS

DOCUMENT NUMBER: 141:349930

TITLE: Preparation of biphenylcarboxylic amide derivatives as p38 kinase inhibitors

INVENTOR(S): Aston, Nicola Mary; Bamborough, Paul; Jones, Katherine Louise; Patel, Vipulkumar Kantibhai; Swanson, Stephen; Walker, Ann Louise

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

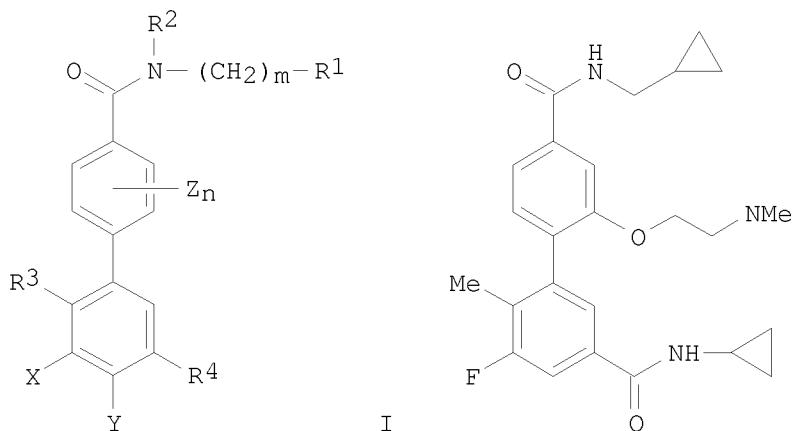
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2004089874 | A1 | 20041021 | WO 2004-EP3774 | 20040407 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, | | | | |

| | | | | | | |
|------------------------|-----------------------------|---------------------------------|---|---------|----------|---------|
| SK, TR, BF, TD, | BJ, CF, CI, | CG, CM, | GA, GN, | GQ, GW, | ML, MR, | NE, SN, |
| AU 2004228199 | A1 | 20041021 | AU 2004-228199 | | 20040407 | |
| CA 2521228 | A1 | 20041021 | CA 2004-2521228 | | 20040407 | |
| EP 1608616 | A1 | 20051228 | EP 2004-726134 | | 20040407 | |
| R: AT, IE, SI, LT, | BE, CH, DE, LV, FI, RO, MK, | DK, ES, FR, CY, AL, TR, BG, CZ, | GB, GR, IT, LI, NL, SE, MC, PT, EE, HU, PL, SK, | | PT, HR | |
| BR 2004008727 | A | 20060307 | BR 2004-8727 | | 20040407 | |
| JP 2006523194 | T | 20061012 | JP 2006-505071 | | 20040407 | |
| MX 2005PA10521 | A | 20051214 | MX 2005-PA10521 | | 20050929 | |
| US 20070129354 | A1 | 20070607 | US 2005-551502 | | 20050930 | |
| PRIORITY APPLN. INFO.: | | | GB 2003-8186 | A | 20030409 | |
| | | | WO 2004-EP3774 | A | 20040407 | |

OTHER SOURCE(S): MARPAT 141:349930
GI



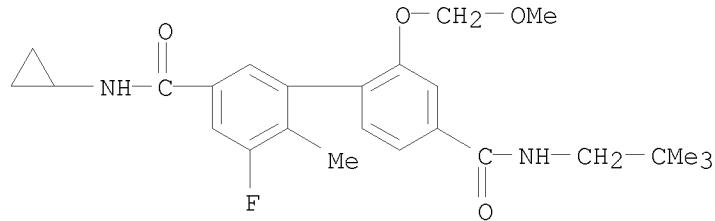
AB Title compds. represented by the formula I [wherein R1 = H, alkenyl, (un)substituted (cyclo)alkyl, Ph, heteroaryl; R2 = H, (un)substituted alkyl, alkylcycloalkyl or R1R2 = (un)substituted (hetero)cyclic ring; R3 = Me or Cl; R4 = (un)substituted carbonylaminoalkyl, carbamoyl(alkyl); X, Y = independently H, Me, halo; Z = alkylhydroxy, alkylamino, alkyl, etc.; m = 0-4; n = 1; and pharmaceutically acceptable derivative thereof] were prepared as p38 kinase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of Me 3-hydroxy-4-iodobenzoate with 2-chloro-N,N-dimethylethylamine. I were tested for p38 inhibition in fluorescence anisotropy kinase binding assay with IC₅₀ values of less than 10 μM. Thus, I and their pharmaceutical compns. are useful as p38 kinase inhibitors for the treatment of a condition or disease state mediated by p38 kinase activity or mediated by cytokines produced by the activity of p38 kinase.

IT 776313-96-3P 776313-97-4P 776313-99-6P
776314-00-2P 776314-01-3P 776314-03-5P
776314-44-4P 776314-68-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of biphenylcarboxylic amide derivs. as p38 kinase inhibitors)

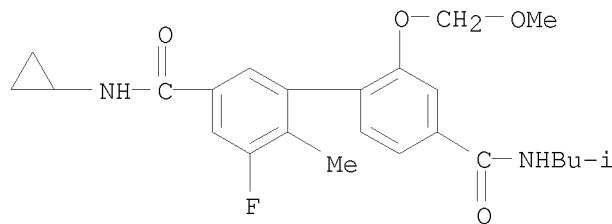
RN 776313-96-3 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-(methoxymethoxy)-6-methyl- (CA INDEX NAME)



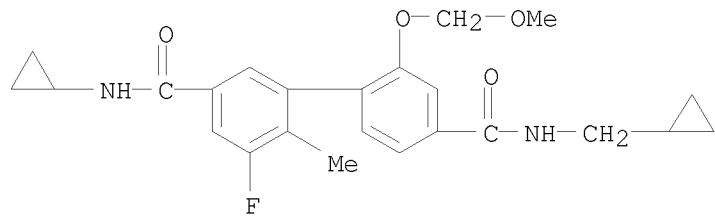
RN 776313-97-4 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-(methoxymethoxy)-6-methyl-N4'-(2-methylpropyl)- (CA INDEX NAME)



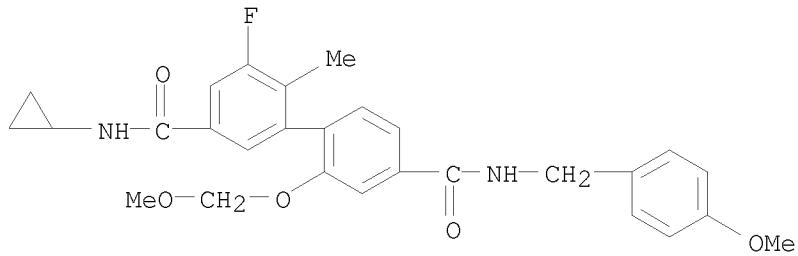
RN 776313-99-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(cyclopropylmethyl)-5-fluoro-2'-(methoxymethoxy)-6-methyl- (CA INDEX NAME)



RN 776314-00-2 CAPLUS

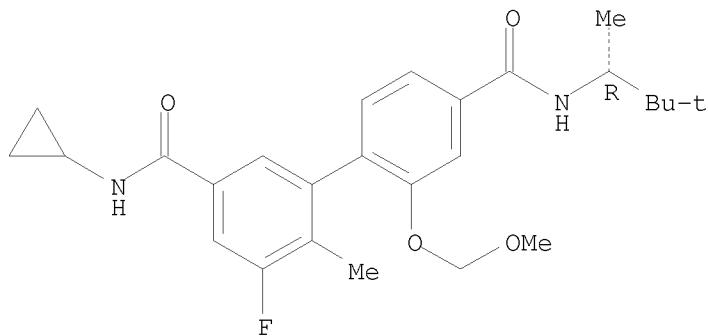
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-(methoxymethoxy)-N4'-[(4-methoxyphenyl)methyl]-6-methyl- (CA INDEX NAME)



RN 776314-01-3 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-(methoxymethoxy)-6-methyl-N4'-(1R)-1,2,2-trimethylpropyl- (CA INDEX NAME)

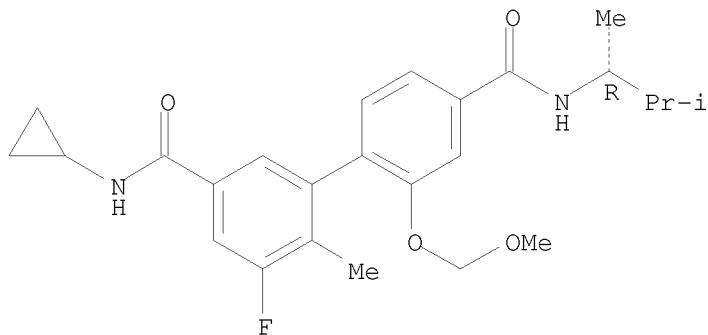
Absolute stereochemistry.



RN 776314-03-5 CAPLUS

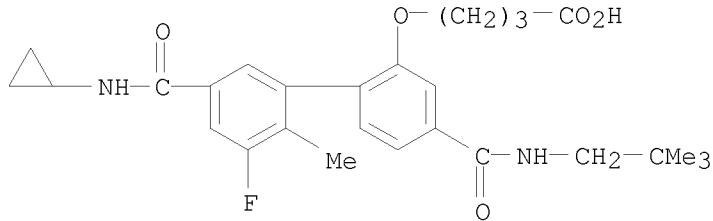
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(1R)-1,2-dimethylpropyl-5-fluoro-2'-(methoxymethoxy)-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



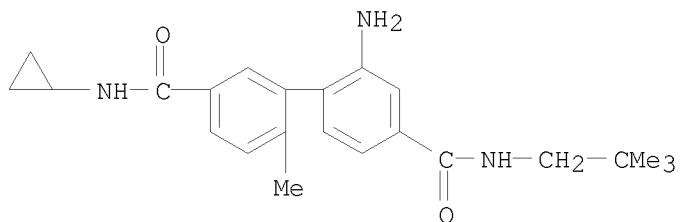
RN 776314-44-4 CAPLUS

CN Butanoic acid, 4-[[5'-(cyclopropylamino)carbonyl]-4-[(2,2-dimethylpropyl)amino]carbonyl]-3'-fluoro-2'-methyl[1,1'-biphenyl]-2-yloxy- (CA INDEX NAME)



RN 776314-68-2 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 2'-amino-N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl- (CA INDEX NAME)



IT 776313-93-0P 776313-95-2P 776314-06-8P

776314-07-9P 776314-09-1P 776314-11-5P

776314-13-7P 776314-15-9P 776314-16-0P

776314-18-2P 776314-20-6P 776314-21-7P

776314-22-8P 776314-23-9P 776314-25-1P

776314-26-2P 776314-27-3P 776314-29-5P

776314-31-9P 776314-33-1P 776314-35-3P

776314-36-4P 776314-38-6P 776314-39-7P

776314-41-1P 776314-46-6P 776314-48-8P

776314-50-2P 776314-52-4P 776314-54-6P

776314-56-8P 776314-58-0P 776314-60-4P

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776314-70-6P 776314-72-8P 776314-74-0P

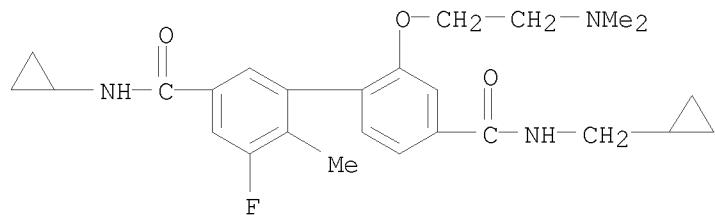
776314-76-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

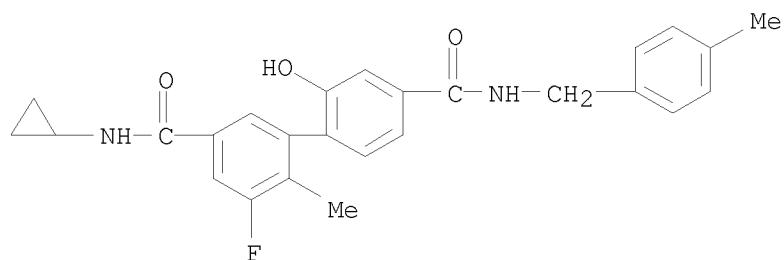
(preparation of biphenylcarboxylic amide derivs. as p38 kinase inhibitors)

RN 776313-93-0 CAPLUS

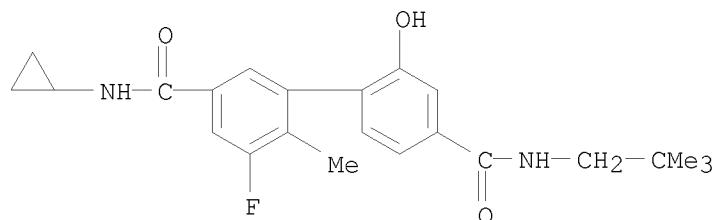
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(cyclopropylmethyl)-2'-[2-(dimethylamino)ethoxy]-5-fluoro-6-methyl- (CA INDEX NAME)



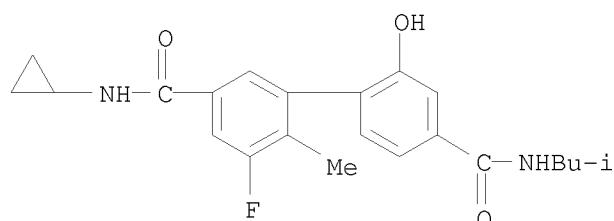
RN 776313-95-2 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-hydroxy-6-methyl-N4'-(4-methylphenyl)methyl- (CA INDEX NAME)



RN 776314-06-8 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-hydroxy-6-methyl- (CA INDEX NAME)

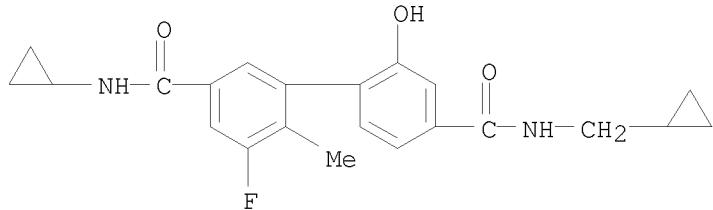


RN 776314-07-9 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-hydroxy-6-methyl-N4'-(2-methylpropyl)- (CA INDEX NAME)



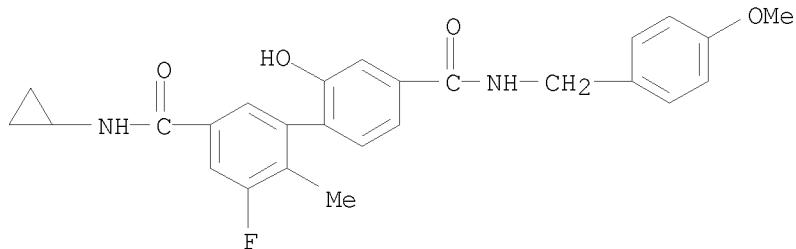
RN 776314-09-1 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(cyclopropylmethyl)-5-fluoro-2'-hydroxy-6-methyl- (CA INDEX NAME)



RN 776314-11-5 CAPLUS

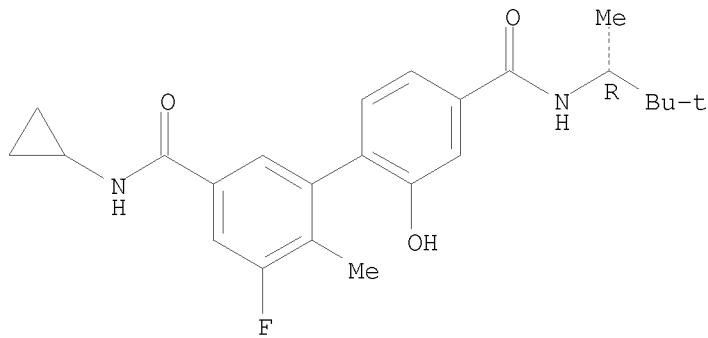
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-hydroxy-N4'-(4-methoxyphenyl)methyl-6-methyl- (CA INDEX NAME)



RN 776314-13-7 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-hydroxy-6-methyl-N4'-(1R)-1,2,2-trimethylpropyl- (CA INDEX NAME)

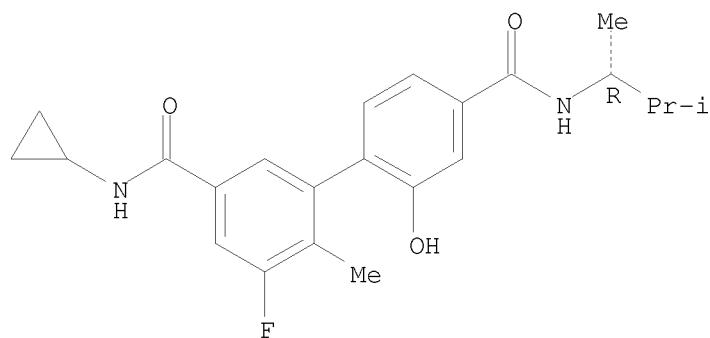
Absolute stereochemistry.



RN 776314-15-9 CAPLUS

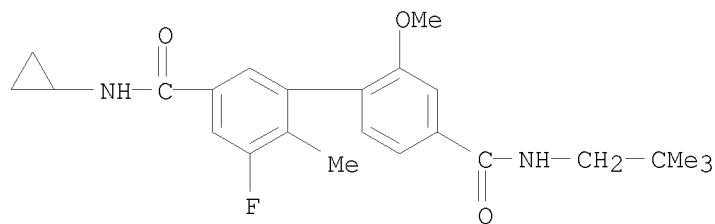
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(1R)-1,2-dimethylpropyl-5-fluoro-2'-hydroxy-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



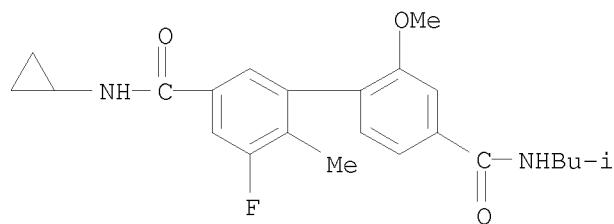
RN 776314-16-0 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-methoxy-6-methyl- (CA INDEX NAME)



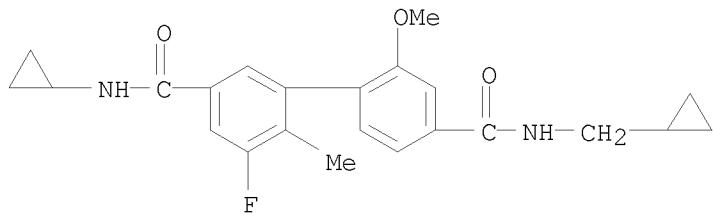
RN 776314-18-2 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-methoxy-6-methyl-N H -N4'-(2-methylpropyl)- (CA INDEX NAME)



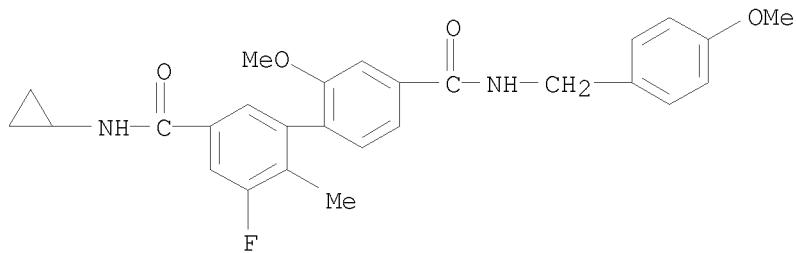
RN 776314-20-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(cyclopropylmethyl)-5-fluoro-2'-methoxy-6-methyl- (CA INDEX NAME)



RN 776314-21-7 CAPLUS

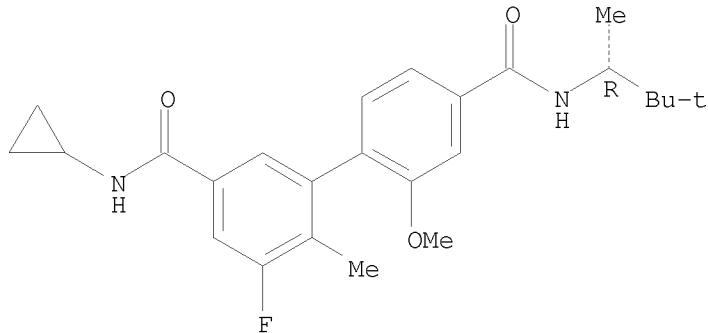
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-methoxy-N4'-(4-methoxyphenyl)methyl]-6-methyl- (CA INDEX NAME)



RN 776314-22-8 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-5-fluoro-2'-methoxy-6-methyl-N4'-(1R)-1,2,2-trimethylpropyl- (CA INDEX NAME)

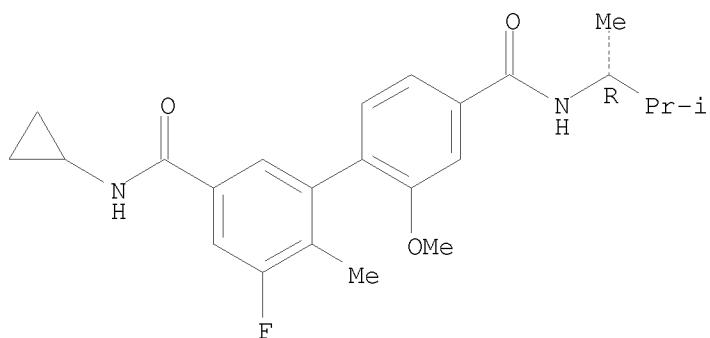
Absolute stereochemistry.



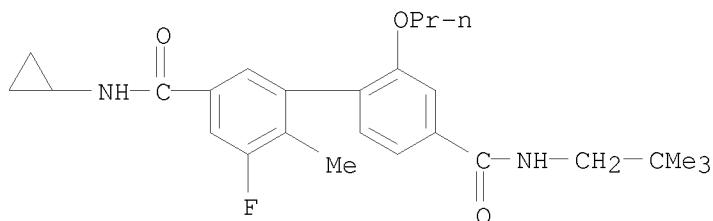
RN 776314-23-9 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(1R)-1,2-dimethylpropyl]-5-fluoro-2'-methoxy-6-methyl- (CA INDEX NAME)

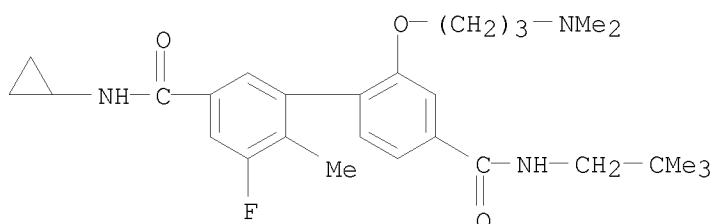
Absolute stereochemistry.



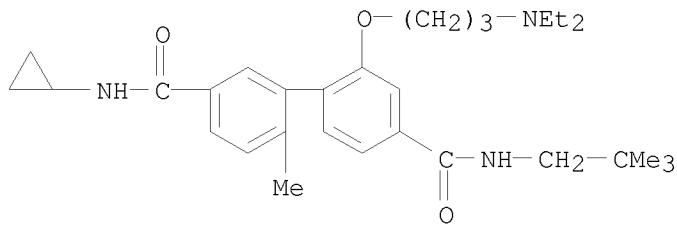
RN 776314-25-1 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methoxy- (CA INDEX NAME)



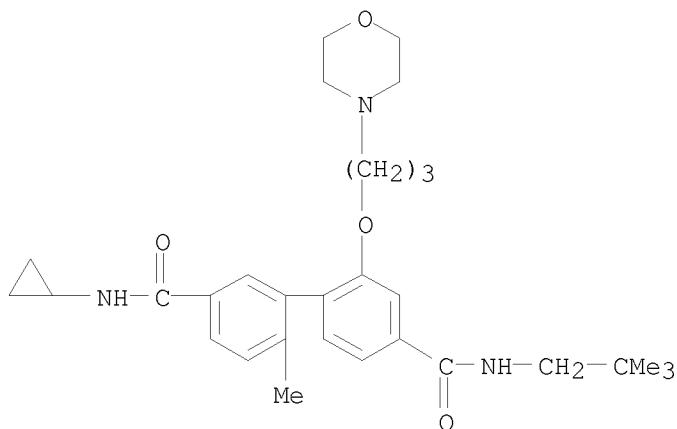
RN 776314-26-2 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-2'-(3-(dimethylamino)propoxy)-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl- (CA INDEX NAME)



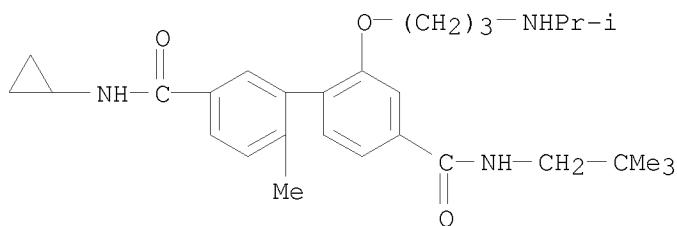
RN 776314-27-3 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-2'-(3-(diethylamino)propoxy)-N4'-(2,2-dimethylpropyl)-6-methyl- (CA INDEX NAME)



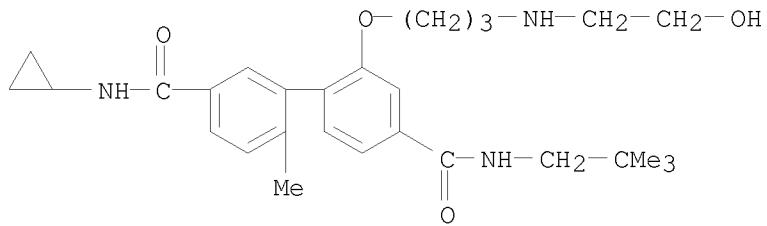
RN 776314-29-5 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl-2'-[3-(4-morpholinyl)propoxy]- (CA INDEX NAME)



RN 776314-31-9 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl-2'-[3-[(1-methylethyl)amino]propoxy]- (CA INDEX NAME)

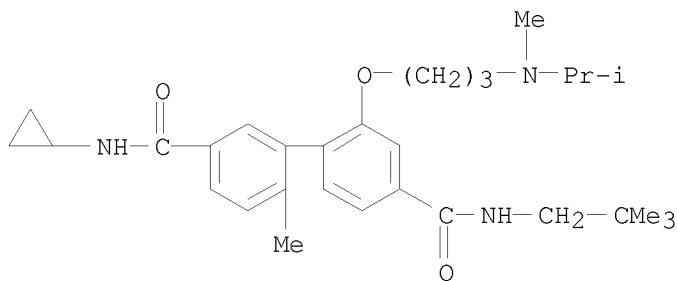


RN 776314-33-1 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-2'-[3-[(2-hydroxyethyl)amino]propoxy]-6-methyl- (CA INDEX NAME)



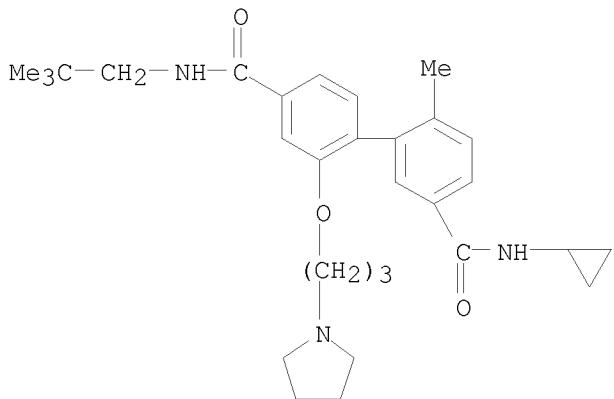
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CN [$1,1'$ -Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl-2'-[3-[methyl(1-methylethyl)amino]propoxy]- (CA INDEX NAME)



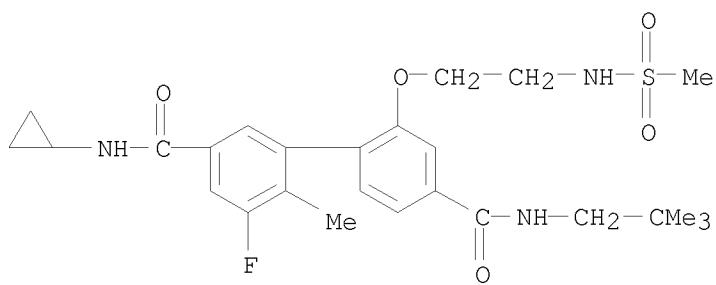
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CN [$1,1'$ -Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl-2'-[3-(1-pyrrolidinyl)propoxy]- (CA INDEX NAME)



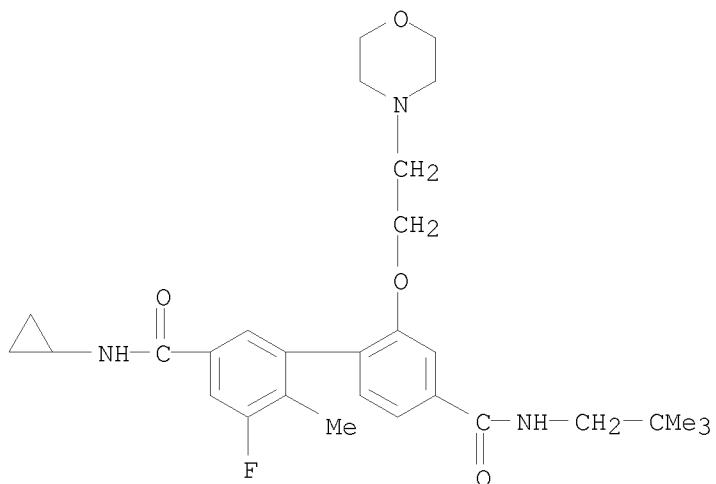
RN 776314-38-6 CAPLUS

CN [$1,1'$ -Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[2-[(methylsulfonyl)amino]ethoxy]- (CA INDEX NAME)



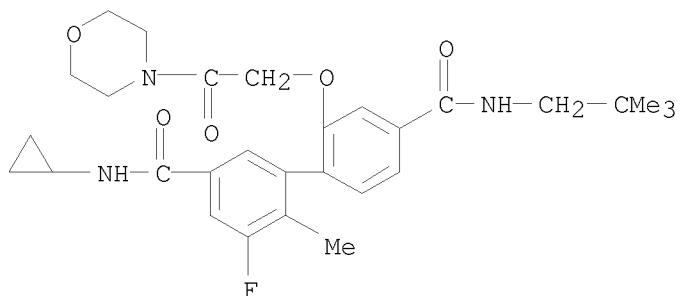
RN 776314-39-7 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[2-(4-morpholinyl)ethoxy]- (CA INDEX NAME)



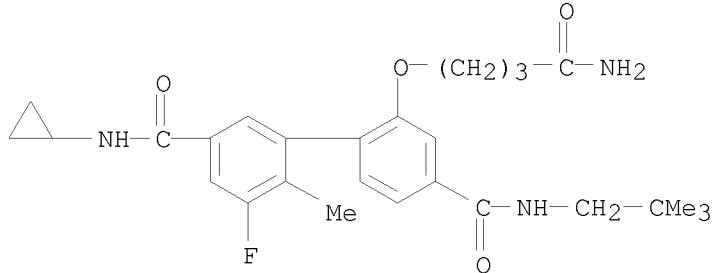
RN 776314-41-1 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[2-(4-morpholinyl)-2-oxoethoxy]- (CA INDEX NAME)



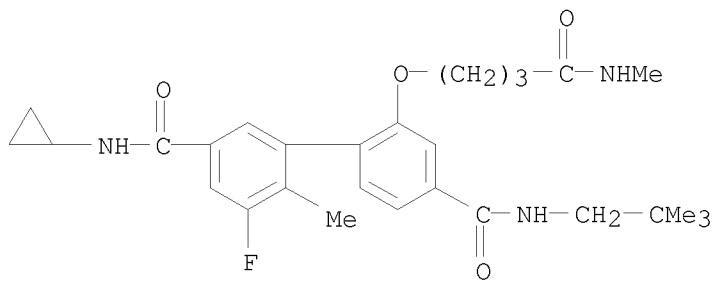
RN 776314-46-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 2'-(4-amino-4-oxobutoxy)-N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl- (CA INDEX NAME)



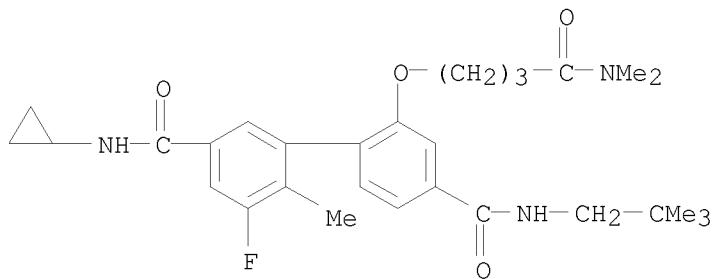
RN 776314-48-8 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[4-(methylamino)-4-oxobutoxy]- (CA INDEX NAME)



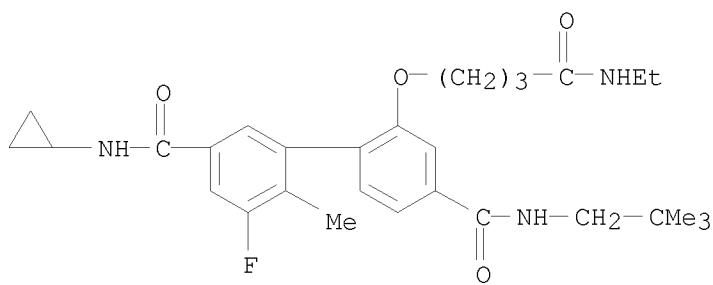
RN 776314-50-2 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-2'-[4-(dimethylamino)-4-oxobutoxy]-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl- (CA INDEX NAME)



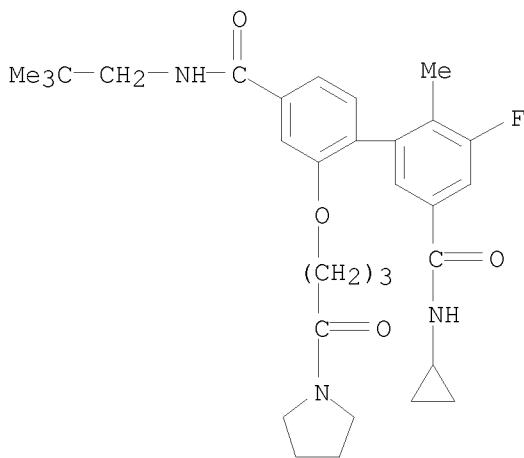
RN 776314-52-4 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-2'-[4-(ethylamino)-4-oxobutoxy]-5-fluoro-6-methyl- (CA INDEX NAME)



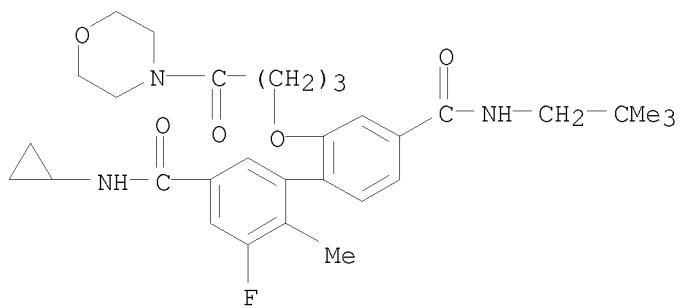
RN 776314-54-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[4-oxo-4-(1-pyrrolidinyl)butoxy]-(CA INDEX NAME)



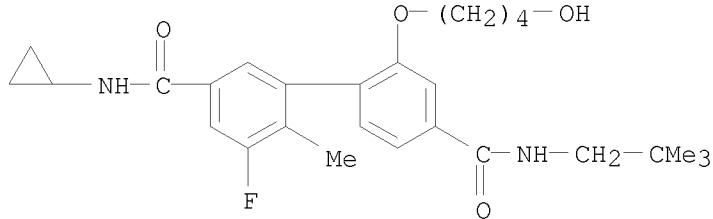
RN 776314-56-8 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[4-(4-morpholinyl)-4-oxobutoxy]-(CA INDEX NAME)



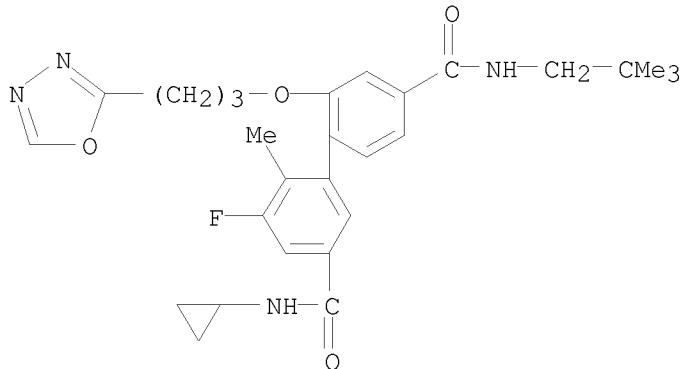
RN 776314-58-0 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-(4-hydroxybutoxy)-6-methyl- (CA INDEX NAME)



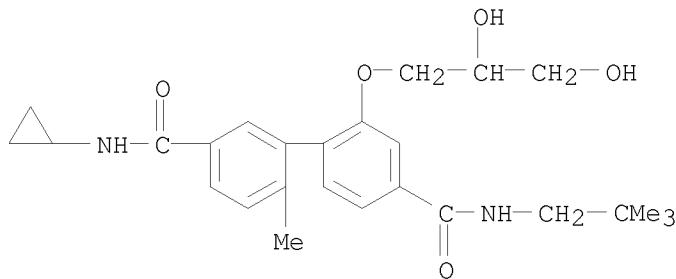
RN 776314-60-4 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-6-methyl-2'-[3-(1,3,4-oxadiazol-2-yl)propoxy]- (CA INDEX NAME)



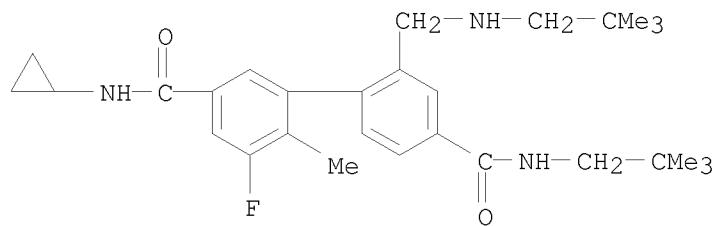
RN 776314-62-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-2'-(2,3-dihydroxypropoxy)-N4'-(2,2-dimethylpropyl)-6-methyl- (CA INDEX NAME)

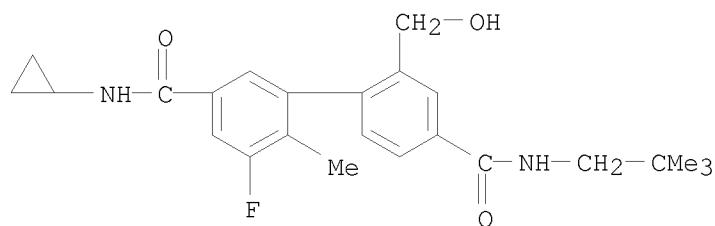


RN 776314-64-8 CAPLUS

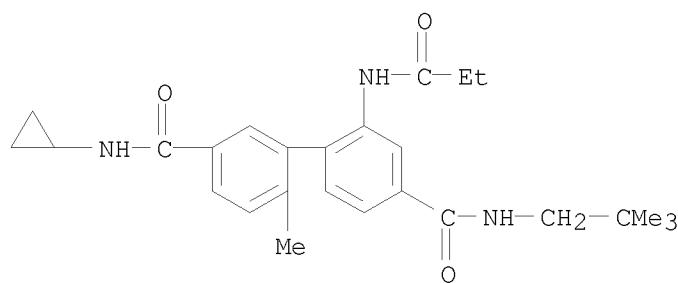
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-2'-[[(2,2-dimethylpropyl)amino]methyl]-5-fluoro-6-methyl- (CA INDEX NAME)



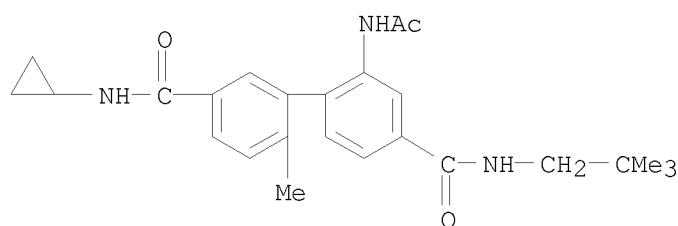
RN 776314-66-0 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-(hydroxymethyl)-6-methyl- (CA INDEX NAME)



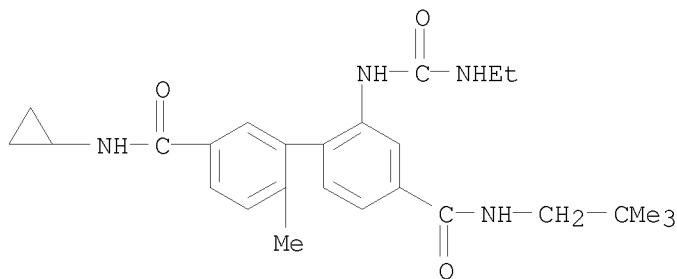
RN 776314-70-6 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl-2'-(1-oxopropylamino)- (CA INDEX NAME)



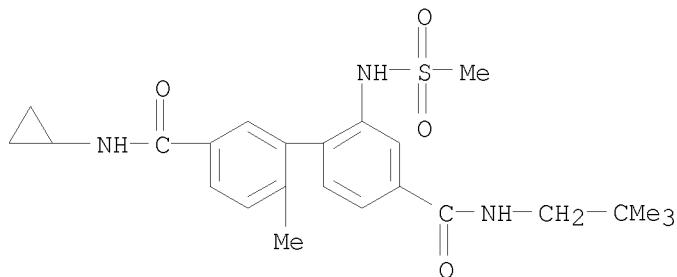
RN 776314-72-8 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 2'-(acetylamino)-N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl- (CA INDEX NAME)



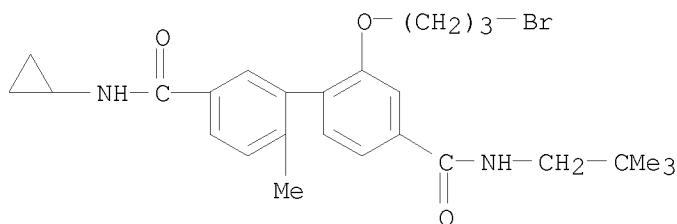
RN 776314-74-0 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-2'-[[(ethylamino)carbonyl]amino]-6-methyl- (CA INDEX NAME)



RN 776314-76-2 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl-2'-(methylsulfonyl)amino- (CA INDEX NAME)

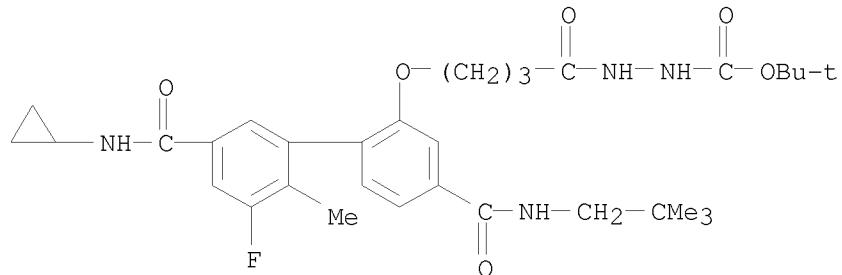


IT 776315-01-6P 776315-20-9P 776315-21-0P
776315-27-6P 776315-29-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of biphenylcarboxylic amide derivs. as p38 kinase inhibitors)
RN 776315-01-6 CAPLUS
CN [1,1'-Biphenyl]-3,4'-dicarboxamide, 2'-(3-bromopropoxy)-N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl- (CA INDEX NAME)



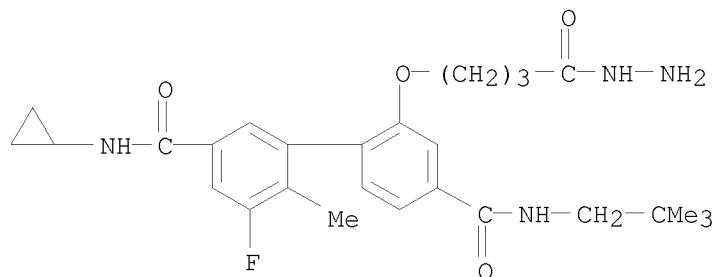
RN 776315-20-9 CAPLUS

CN Hydrazinecarboxylic acid, 2-[4-[[5'-(cyclopropylamino)carbonyl]-4-[[[(2,2-dimethylpropyl)amino]carbonyl]-3'-fluoro-2'-methyl[1,1'-biphenyl]-2-yl]oxy]-1-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



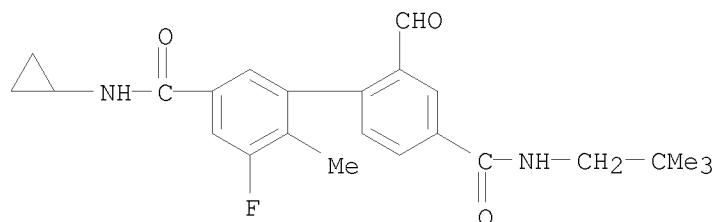
RN 776315-21-0 CAPLUS

CN Butanoic acid, 4-[[5'-(cyclopropylamino)carbonyl]-4-[[[(2,2-dimethylpropyl)amino]carbonyl]-3'-fluoro-2'-methyl[1,1'-biphenyl]-2-yl]oxy]-, hydrazide (CA INDEX NAME)



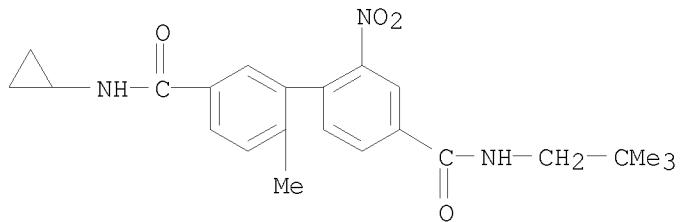
RN 776315-27-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-5-fluoro-2'-formyl-6-methyl- (CA INDEX NAME)



RN 776315-29-8 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(2,2-dimethylpropyl)-6-methyl-2'-nitro- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:780670 CAPLUS

DOCUMENT NUMBER: 141:295874

TITLE: Preparation of tetrahydroquinoline derivatives as inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system.

INVENTOR(S): Quan, Mimi L.; Wang, Cailan; Zhou, Jinglan; Hangeland, Jon J.; Seiffert, Dietmar A.; Knabb, Robert M.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 150 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

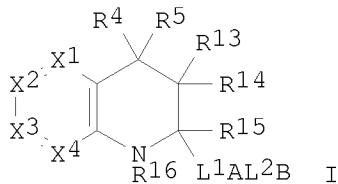
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2004080971 | A1 | 20040923 | WO 2004-US7216 | 20040310 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
TD, TG | | | | |
| US 20040235847 | A1 | 20041125 | US 2004-796396 | 20040309 |
| US 7138412 | B2 | 20061121 | | |
| EP 1601656 | A1 | 20051207 | EP 2004-719245 | 20040310 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| JP 2006519844 | T | 20060831 | JP 2006-507012 | 20040310 |
| US 20060223854 | A1 | 20061005 | US 2006-430588 | 20060509 |
| PRIORITY APPLN. INFO.: | | | US 2003-453812P | P 20030311 |
| | | | US 2004-796396 | A 20040309 |
| | | | WO 2004-US7216 | W 20040310 |

OTHER SOURCE(S): MARPAT 141:295874
GI



AB Title compds. [I; L^1 = bond, CH_2 , CH_2CH_2 , CH_2O , CH_2CO , etc.; L^2 = bond, O, CO , CO_2 , S, SO , SO_2 , $CONR^8$, SO_2NR^8 , etc.; A = (substituted) carbocyclylene, heterocyclylene; B = (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl; X_1 - X_4 = CR^1 , CR^2 , N, etc.; R^1 = H, F, Cl, Br, iodo, OCF_3 , CF_3 , cyano, NH_2 , alkylamino, dialkylamino, $CONH_2$, $CH_2CH_2NH_2$, etc.; R^2 = H, F, Cl, Br, iodo, OCF_3 , CF_3 , cyano, NO_2 , amino, aminocarbonyl, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, etc.; R^4 = H, F, haloalkyl, (substituted) alkyl, alkenyl, alkynyl, carbocyclyl, heterocyclyl, etc.; R^5 = H, F, haloalkyl, (substituted) alkyl, alkenyl, alkynyl, heterocyclyl(alkyl), etc.; R^{13} = H, F, alkyl, aminoalkyl, CF_3 , aminocarbonyl, etc.; R^{14} = H, alkyl, aminoalkyl, F, CF_3 , aminocarbonyl, etc.; $R^{15}R^{14}$ = O; R^{15} = H, alkyl; R^{16} = H, alkyl, $PhCH_2$, alkylcarbonyl, alkylsulfonyl, alkoxy carbonyl], were prepared. Thus, 4-amidinobenzamidine monohydrochloride, styrene, 1'-formyl-1-benzyloxycarbonyl-4-isobutylcarbamoylbiphenyl (preparation given) and indium triflate were heated together at 70° in MeCN for 12 h to give a product which was hydrogenolyzed in MeOH/HOAc over Pd/C to give 2'-(6-carbamimidoyl-4-phenyl-1,2,3,4-tetrahydroquinolin-2-yl)-4-isobutylcarbamoylbiphenyl-2-carboxylic acid. I inhibited Factor XIa with $K_i \leq 15 \mu M$.

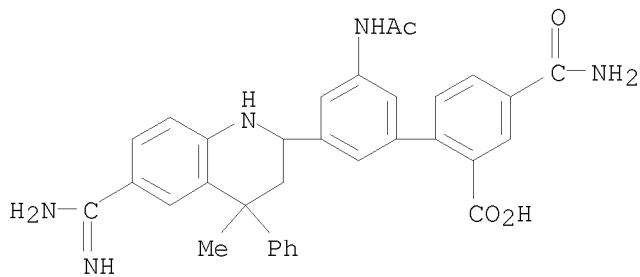
IT 762253-64-5P 762253-65-6P 762253-68-9P
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 762253-73-6P 762253-76-9P 762253-77-0P
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 762253-95-2P 762253-96-3P 762253-97-4P
 762254-16-0P 762254-17-1P 762254-19-3P
 762254-69-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbaminidoyltetrahydroquinoline derivs. as inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system)

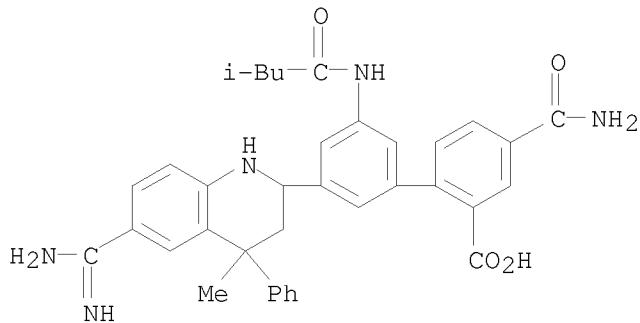
RN 762253-64-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3'-(acetylamino)-4-(aminocarbonyl)-5'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl)-(CA INDEX NAME)



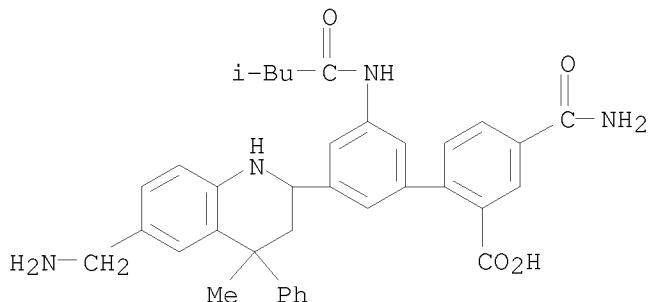
RN 762253-65-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(3-methyl-1-oxobutyl)amino] - (CA INDEX NAME)



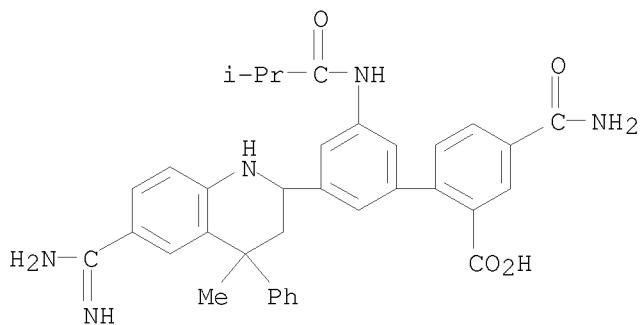
RN 762253-68-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(3-methyl-1-oxobutyl)amino] - (CA INDEX NAME)



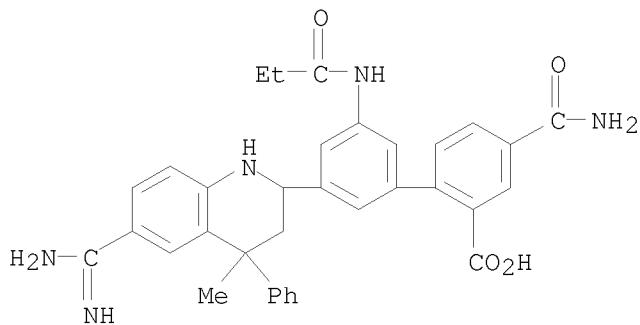
RN 762253-69-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(2-methyl-1-oxopropyl)amino] - (CA INDEX NAME)



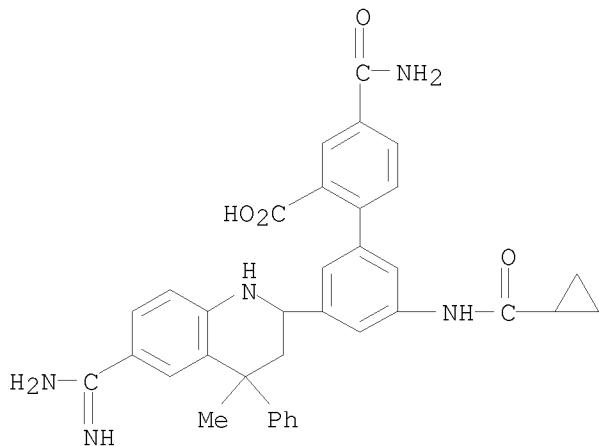
RN 762253-70-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(1-oxopropyl)amino]-(CA INDEX NAME)



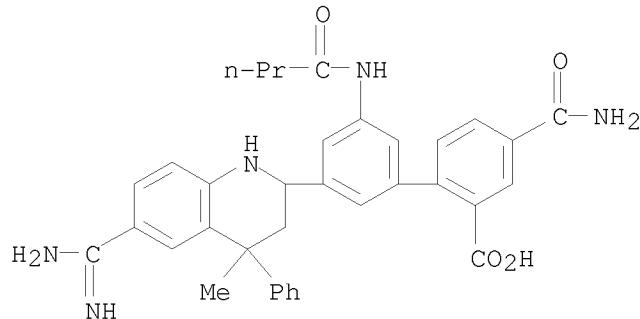
RN 762253-71-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(cyclopropylcarbonyl)amino]-(CA INDEX NAME)



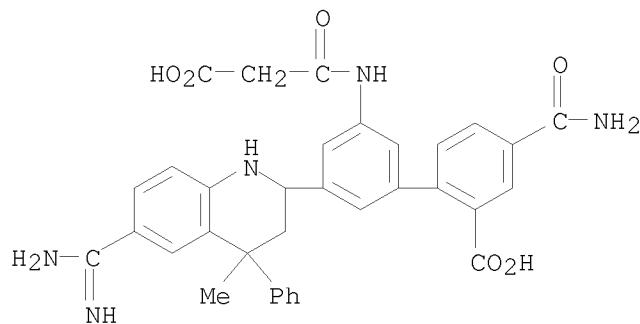
RN 762253-73-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(1-oxobutyl)amino] - (CA INDEX NAME)



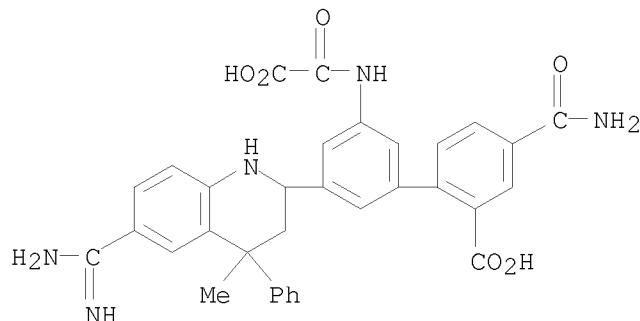
RN 762253-76-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(2-carboxyacetyl)amino] - (CA INDEX NAME)



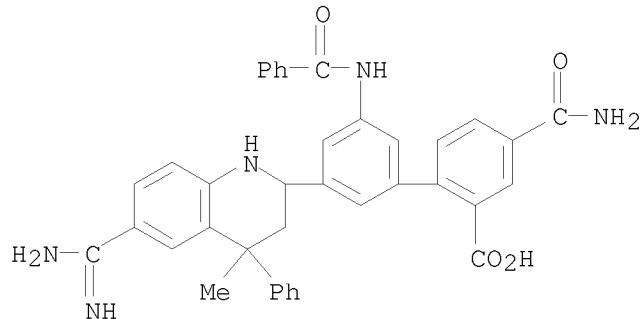
RN 762253-77-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(carboxycarbonyl)amino] - (CA INDEX NAME)



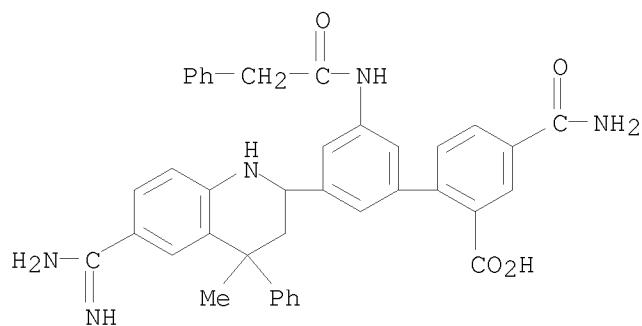
RN 762253-78-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(benzoylamino)- (CA INDEX NAME)



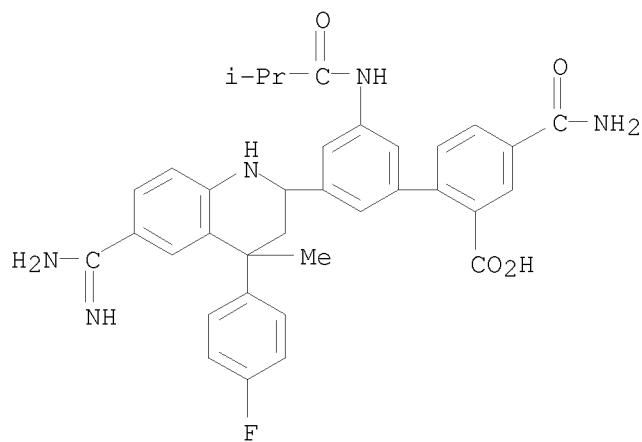
RN 762253-79-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(2-phenylacetyl)amino- (CA INDEX NAME)



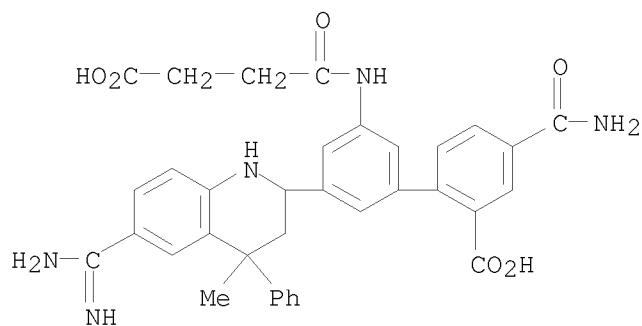
RN 762253-80-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-4-(4-fluorophenyl)-1,2,3,4-tetrahydro-4-methyl-2-quinolinyl]-5'-(2-methyl-1-oxopropyl)amino- (CA INDEX NAME)



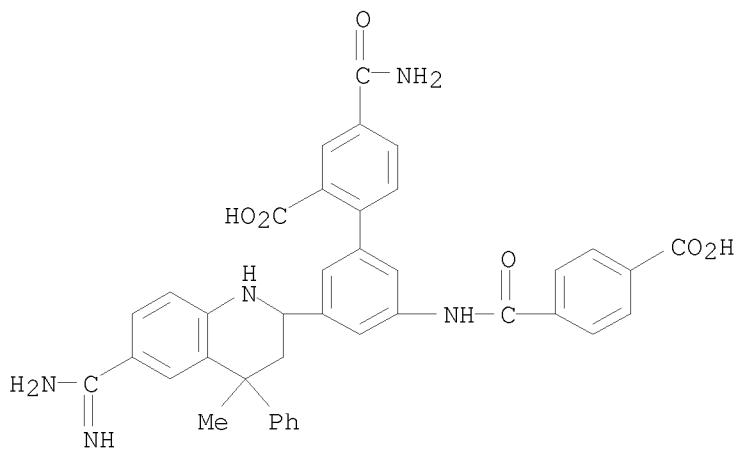
RN 762253-81-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny]-5'-(3-carboxy-1-oxopropyl)amino]- (CA INDEX NAME)



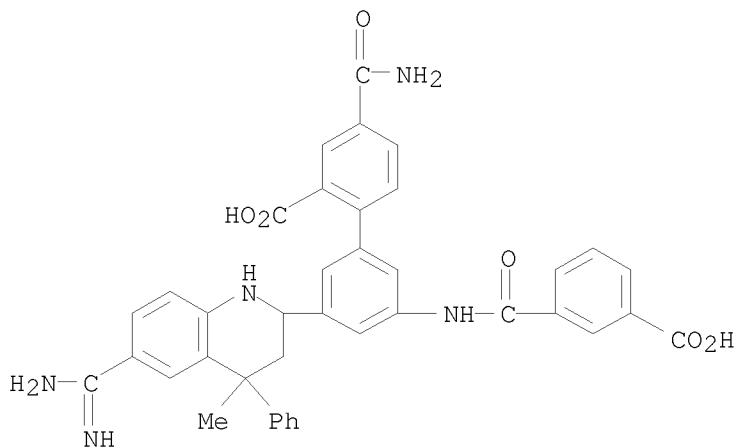
RN 762253-82-7 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny]-5'-(4-carboxybenzoyl)amino]- (CA INDEX NAME)



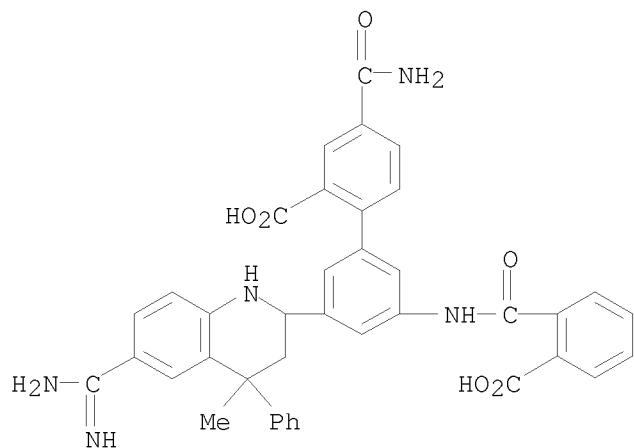
RN 762253-83-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny)-5-(3-carboxybenzoyl)amino]-(CA INDEX NAME)



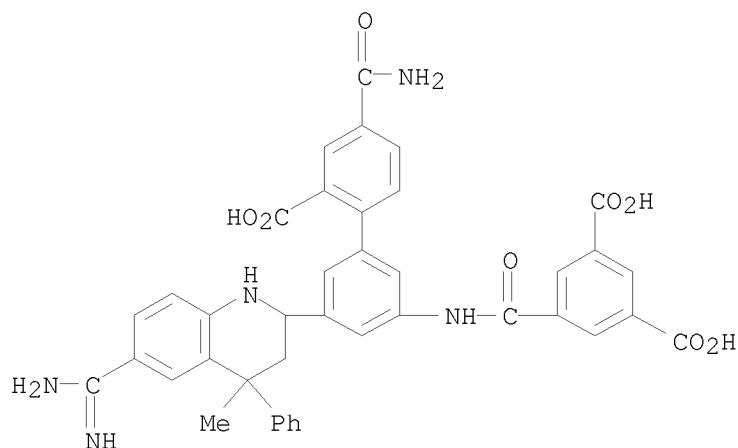
RN 762253-84-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny)-5-(2-carboxybenzoyl)amino]-(CA INDEX NAME)



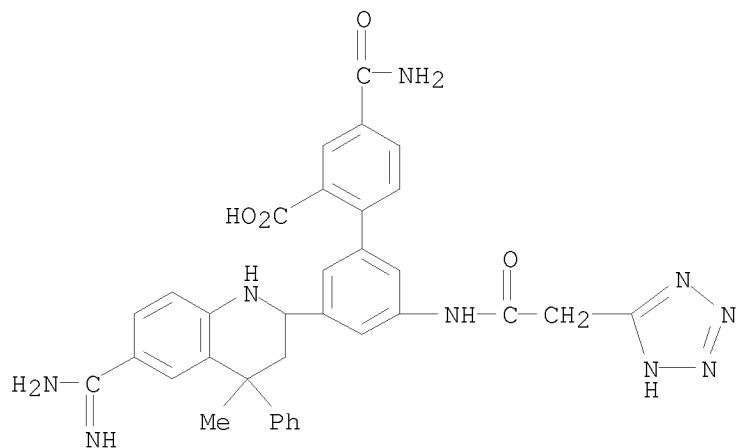
RN 762253-86-1 CAPLUS

CN 1,3-Benzenedicarboxylic acid, 5-[[[4'-(aminocarbonyl)-5-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-2'-carboxy[1,1'-biphenyl]-3-yl]amino]carbonyl]- (CA INDEX NAME)



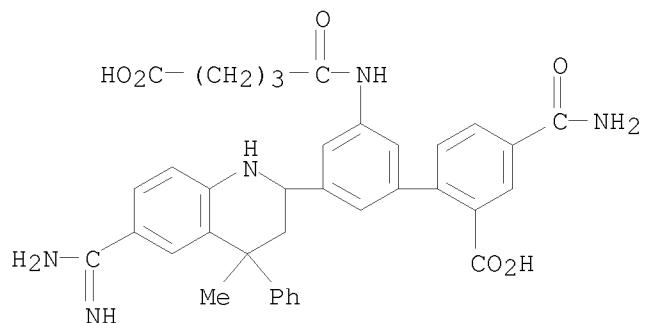
RN 762253-87-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'[[2-(2H-tetrazol-5-yl)acetyl]amino]- (CA INDEX NAME)



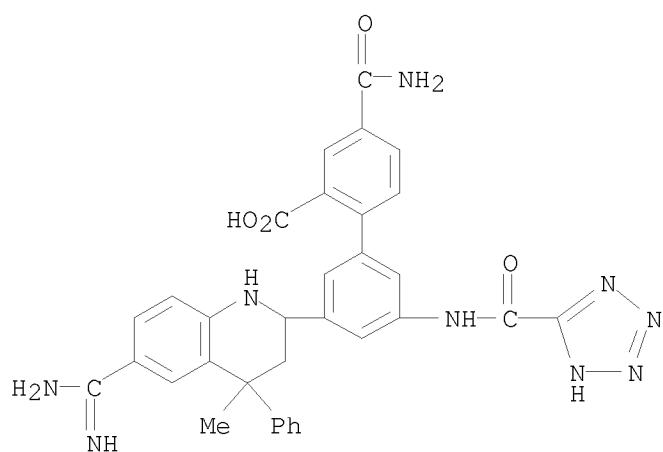
RN 762253-88-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny]-5'-(4-carboxy-1-oxobutylamino)- (CA INDEX NAME)



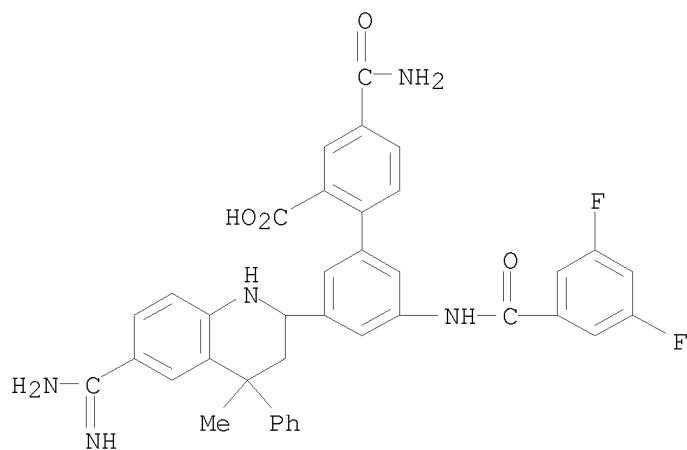
RN 762253-89-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny]-5'-(2H-tetrazol-5-ylcarbonyl)amino- (CA INDEX NAME)



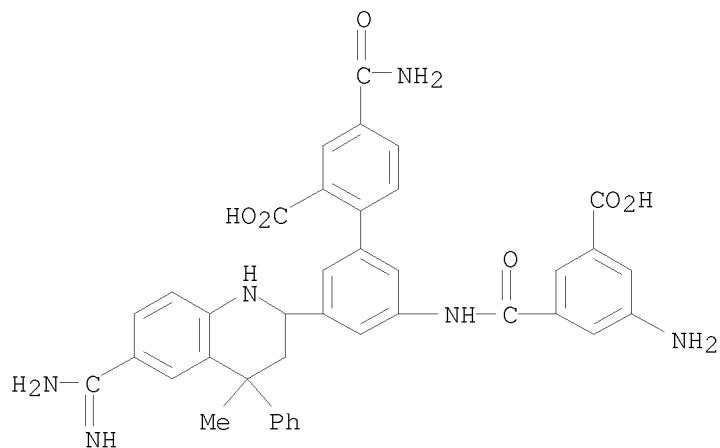
RN 762253-91-8 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny)-5'-(3,5-difluorobenzoyl)amino]-(CA INDEX NAME)



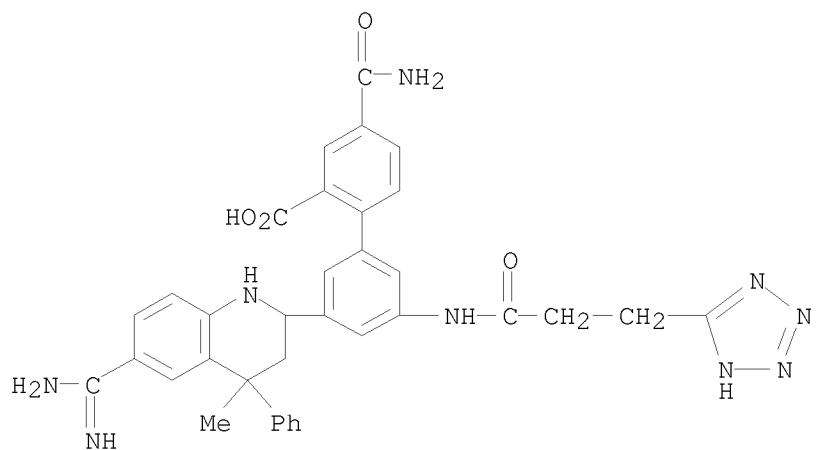
RN 762253-92-9 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(3-amino-5-carboxybenzoyl)amino]-5'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny)-(CA INDEX NAME)



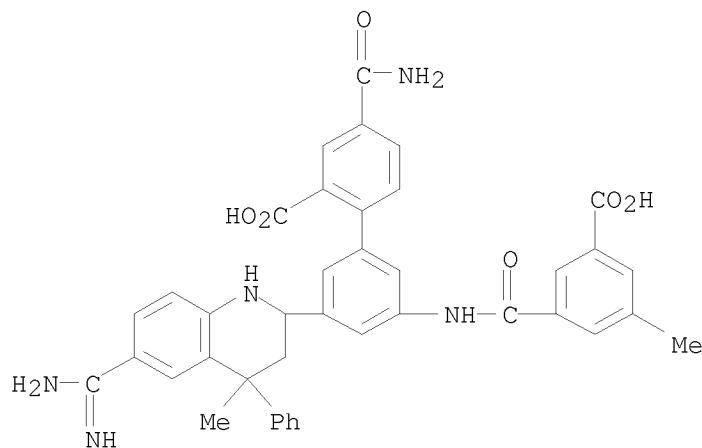
RN 762253-93-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny]-5'-[1-oxo-3-(2H-tetrazol-5-yl)propyl]amino]- (CA INDEX NAME)



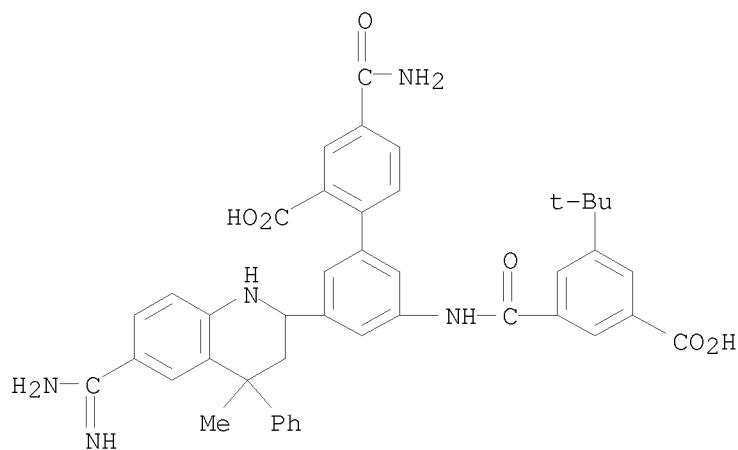
RN 762253-94-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinoliny]-5'-(3-carboxy-5-methylbenzoyl)amino]- (CA INDEX NAME)



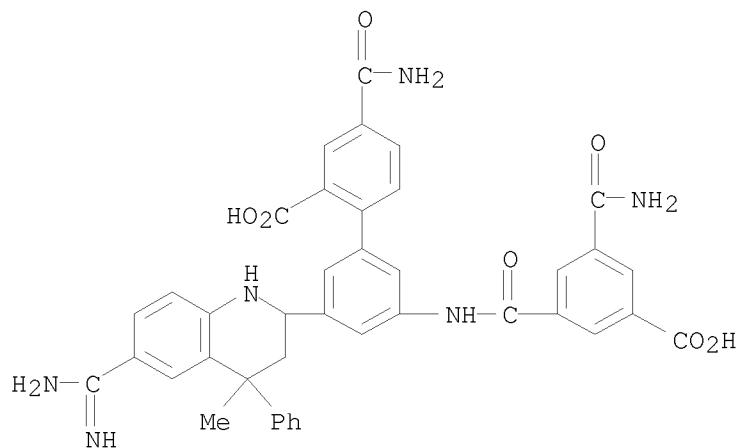
RN 762253-95-2 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl)-5'-(3-carboxy-5-(1,1-dimethylethyl)benzoyl]amino]- (CA INDEX NAME)



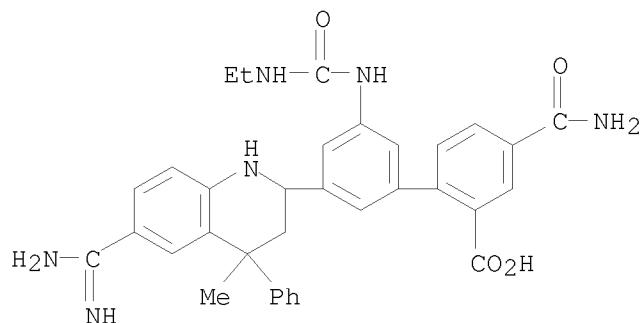
RN 762253-96-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(3-(aminocarbonyl)-5-carboxybenzoyl]amino]-5'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]- (CA INDEX NAME)



RN 762253-97-4 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl)-5-[(ethylamino)carbonyl]amino] - (CA INDEX NAME)



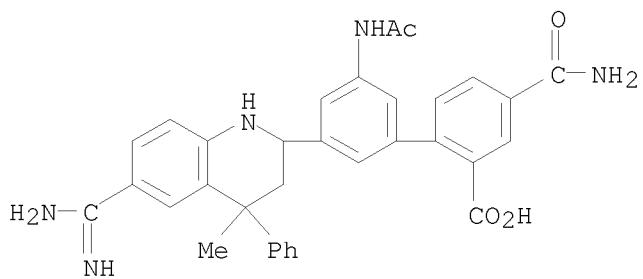
RN 762254-16-0 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3'-(acetylamino)-4-(aminocarbonyl)-5'-(6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl)-2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

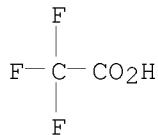
CRN 762253-64-5

CMF C33 H31 N5 O4



CM 2

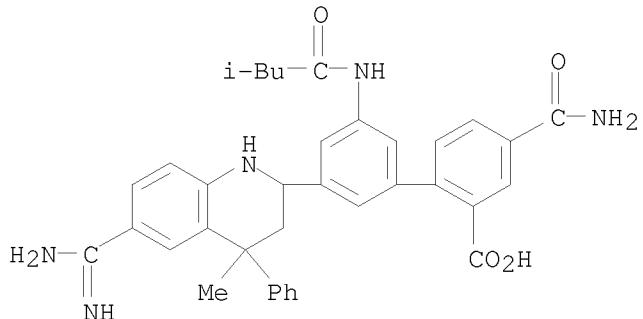
CRN 76-05-1
CMF C2 H F3 O2



RN 762254-17-1 CAPLUS
CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5-[(3-methyl-1-oxobutyl)amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

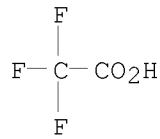
CM 1

CRN 762253-65-6
CMF C36 H37 N5 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2



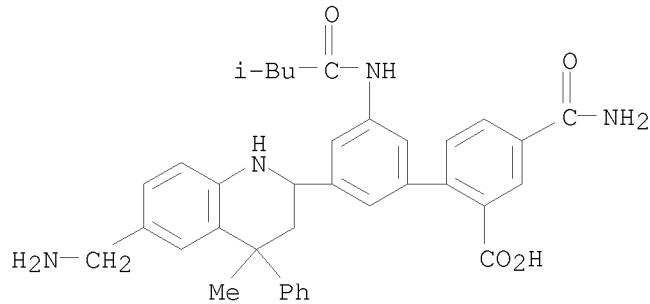
RN 762254-19-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-[6-(aminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(3-methyl-1-oxobutyl)amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 762253-68-9

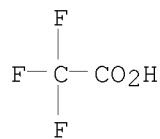
CMF C36 H38 N4 O4



CM 2

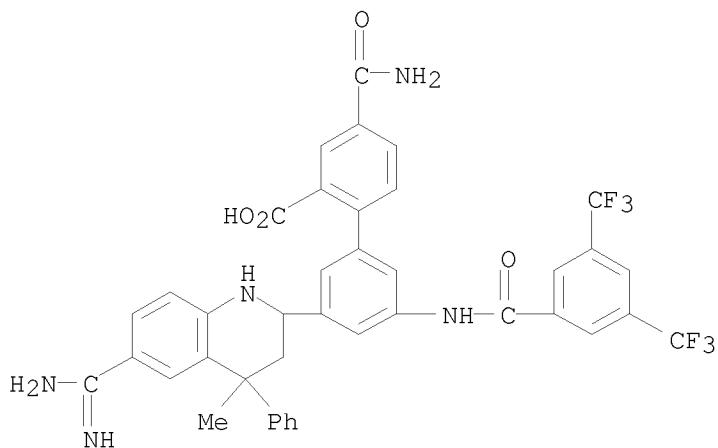
CRN 76-05-1

CMF C2 H F3 O2



RN 762254-69-3 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(6-aminoiminomethyl)-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl]-5'-(3,5-bis(trifluoromethyl)benzoyl)amino]- (CA INDEX NAME)



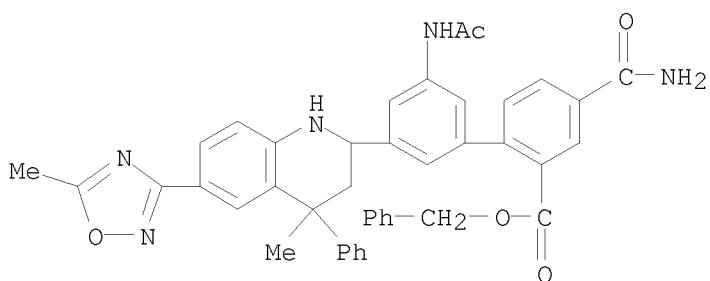
IT 762254-61-5P 762254-62-6P 762254-67-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbaminidoyltetrahydroquinoline derivs. as inhibitors of serine protease enzymes of the coagulation cascade and/or contact activation system)

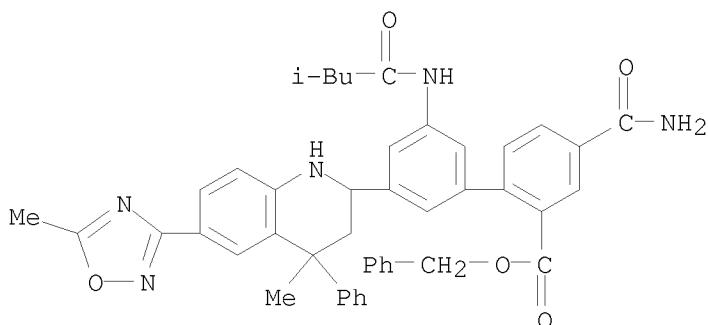
RN 762254-61-5 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 3'-(acetylamino)-4-(aminocarbonyl)-5'-[1,2,3,4-tetrahydro-4-methyl-6-(5-methyl-1,2,4-oxadiazol-3-yl)-4-phenyl-2-quinolinyl]-, phenylmethyl ester (CA INDEX NAME)



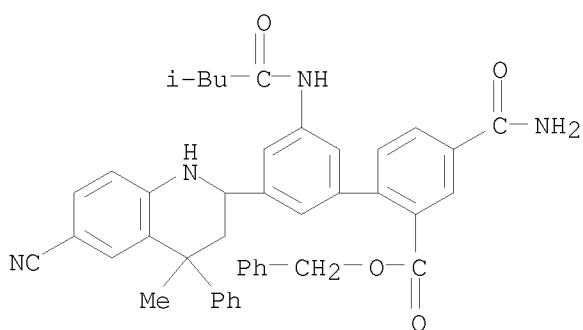
RN 762254-62-6 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(3-methyl-1-oxobutyl)amino)-5'-(1,2,3,4-tetrahydro-4-methyl-6-(5-methyl-1,2,4-oxadiazol-3-yl)-4-phenyl-2-quinolinyl)-, phenylmethyl ester (CA INDEX NAME)



RN 762254-67-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxylic acid, 4-(aminocarbonyl)-3'-(6-cyano-1,2,3,4-tetrahydro-4-methyl-4-phenyl-2-quinolinyl)-5'-[(3-methyl-1-oxobutyl)amino]-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:319702 CAPLUS

DOCUMENT NUMBER: 138:337841

TITLE: Preparation of 5'-carbamoyl-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors

INVENTOR(S): Angell, Richard Martyn; Aston, Nicola Mary; Bamborough, Paul; Bamford, Mark James; Cockerill, George Stuart; Merrick, Suzanne Joy; Smith, Kathryn Jane; Walker, Ann Louise

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

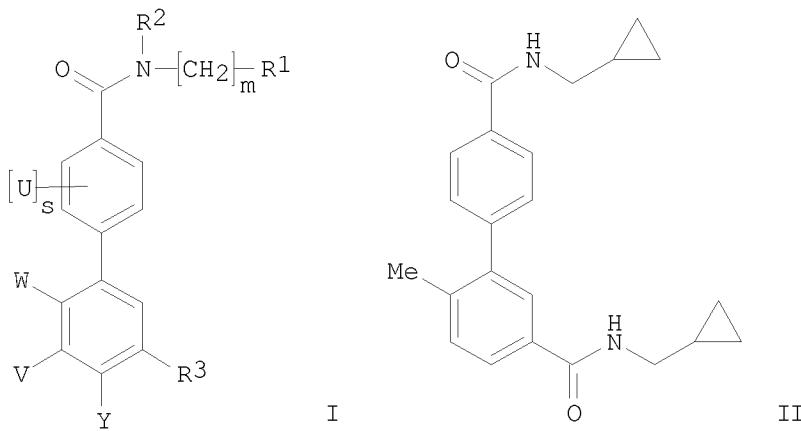
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|-------|-----------------|-------|
| ----- | ---- | ----- | ----- | ----- |

| | | | | |
|------------------------|---|----------|-----------------|------------|
| WO 2003032972 | A1 | 20030424 | WO 2002-EP11577 | 20021016 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2002362895 | A1 | 20030428 | AU 2002-362895 | 20021016 |
| EP 1435936 | A1 | 20040714 | EP 2002-801339 | 20021016 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | |
| JP 2005511532 | T | 20050428 | JP 2003-535776 | 20021016 |
| US 20040267012 | A1 | 20041230 | US 2004-492698 | 20040415 |
| US 7208629 | B2 | 20070424 | | |
| PRIORITY APPLN. INFO.: | | | GB 2001-24941 | A 20011017 |
| | | | WO 2002-EP11577 | W 20021016 |

OTHER SOURCE(S): MARPAT 138:337841
GI



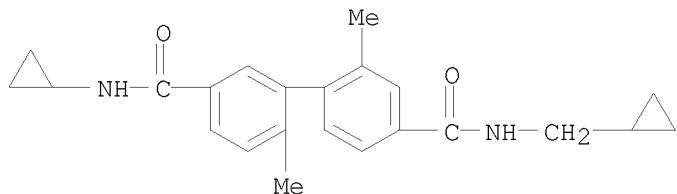
AB The title compds. [I; when $m = 0-4$, R1 = alkyl, cycloalkyl, alkenyl, etc.; and when $m = 2-4$, R1 addnl. = alkoxy, OH, etc.; R2 = H, alkyl, $(CH_2)n$ cycloalkyl; R3 = CONH(CH₂)pR6; R6 = H, alkyl, cycloalkyl, etc.; U = Me, halo; W = Me, Cl; V, Y = H, Me, halo; $m = 0-4$ wherein each carbon atom of the resulting carbon chain may be optionally substituted with one or two groups selected independently from alkyl; n = 0-3; p = 0-2; s = 0-2], useful as pharmaceuticals, particularly as p38 kinase inhibitors, were prepared. E.g., a 3-step synthesis of the carboxamide II, starting from cyclopropylmethylamine and 4-bromobenzoyl chloride, was given.

IT 515135-12-3P 515135-23-6P 515135-24-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5'-carbamoyl-1,1'-biphenyl-4-carboxamides as p38 kinase inhibitors)

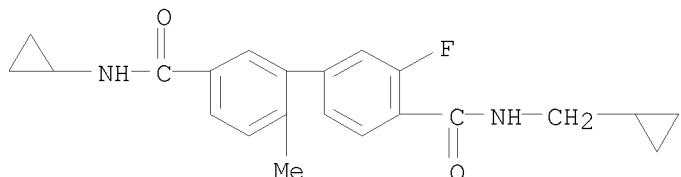
RN 515135-12-3 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(cyclopropylmethyl)-2',6-dimethyl- (CA INDEX NAME)



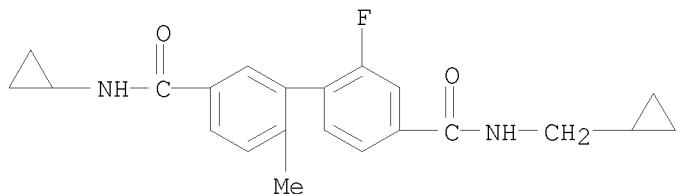
RN 515135-23-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(cyclopropylmethyl)-3'-fluoro-6-methyl- (CA INDEX NAME)



RN 515135-24-7 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxamide, N3-cyclopropyl-N4'-(cyclopropylmethyl)-2'-fluoro-6-methyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:107059 CAPLUS

DOCUMENT NUMBER: 136:151182

TITLE: Antimicrobial biaryl compounds

INVENTOR(S): Jefferson, Elizabeth Ann; Swayze, Eric

PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

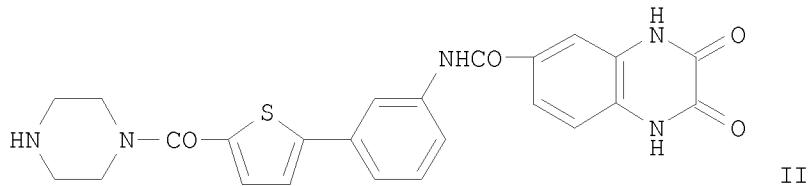
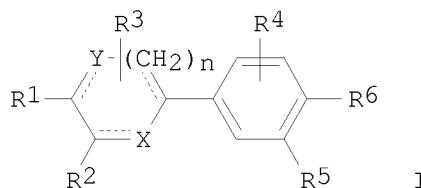
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|---|--------------------------------------|
| WO 2002009648 | A2 | 20020207 | WO 2001-US24067 | 20010801 |
| WO 2002009648 | A3 | 20020627 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6849660 | B1 | 20050201 | US 2000-630122 | 20000801 |
| CA 2418121 | A1 | 20020207 | CA 2001-2418121 | 20010801 |
| AU 2001080944 | A | 20020213 | AU 2001-80944 | 20010801 |
| EP 1305028 | A2 | 20030502 | EP 2001-959380 | 20010801 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004519421 | T | 20040702 | JP 2002-515203
US 2000-630122
WO 2001-US24067 | 20010801
A 20000801
W 20010801 |
| PRIORITY APPLN. INFO.: | | | | |

OTHER SOURCE(S): MARPAT 136:151182

GI



AB Biaryls I [X = CH, O, S, N, NH; Y = CH, N; n = 0, 1; one of R1 and R2 = (un)substituted CONRH₂, COQNH₂, CH₂NH₂, SO₂NH₂ and the other is H or R₃; one of R₅ and R₆ = NHCOR₇, NHSO₂R₇, NHS(O)R₇ and the other is H, R₄; Q = amino acid or peptide residue; R₃ = H, halogen, (un)substituted NH₂, NHCOR₇; R₄ = H, halogen, hydroxyl, amino, carboxyl, alkyl, alkenyl, alkynyl; R₇ = H, amino, (un)substituted alkyl, alkenyl, alkynyl, 5-16 member carbocycle or heterocycle] were prep'd for use as antimicrobial agents. Thus, polymer-supported piperazine was acylated with 5-bromo-2-thiophenecarboxylic acid, coupled with 3-H₂NC₆H₄B(OH)₂, and

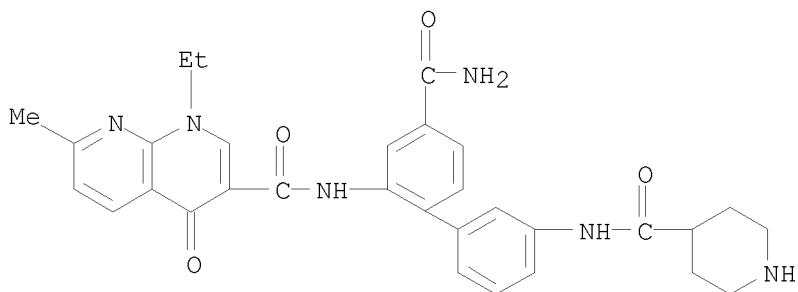
acylated with 2,3-dioxobenzopyrazine-6-carboxylic acid to give the biaryl II. In a coupled bacterial transcription-translation assay II had an IC₅₀ of 25 μM.

IT 395647-86-6P 395647-90-2P 395647-95-7P
395647-97-9P 395647-98-0P 395648-04-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminobiarylcarboxamides as bactericides)

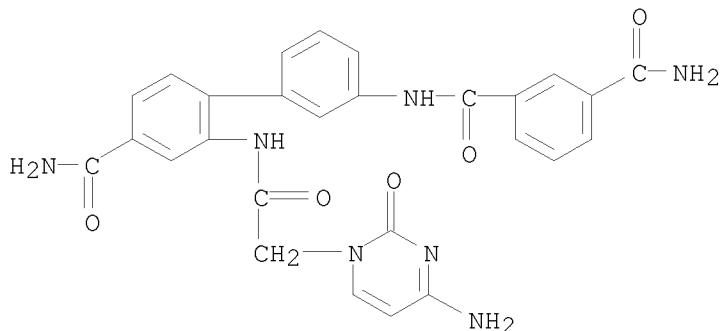
RN 395647-86-6 CAPLUS

CN 1,8-Naphthyridine-3-carboxamide, N-[4-(aminocarbonyl)-3'-(4-piperidinylcarbonyl)amino][1,1'-biphenyl]-2-yl]-1-ethyl-1,4-dihydro-7-methyl-4-oxo- (CA INDEX NAME)



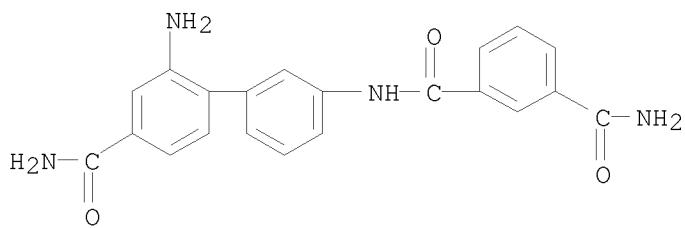
RN 395647-90-2 CAPLUS

CN 1,3-Benzenedicarboxamide, N1-[4'-(aminocarbonyl)-2'-(2-(4-amino-2-oxo-1(2H)-pyrimidinyl)acetyl)amino][1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



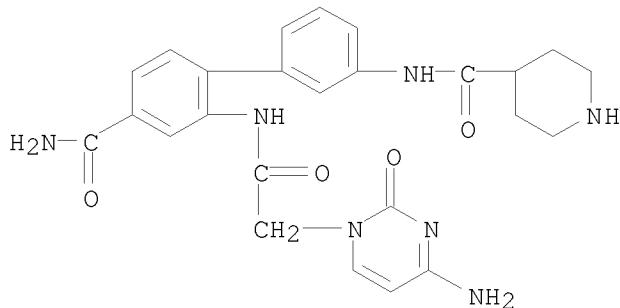
RN 395647-95-7 CAPLUS

CN 1,3-Benzenedicarboxamide, N1-[2'-amino-4'-(aminocarbonyl)[1,1'-biphenyl]-3-yl]- (CA INDEX NAME)



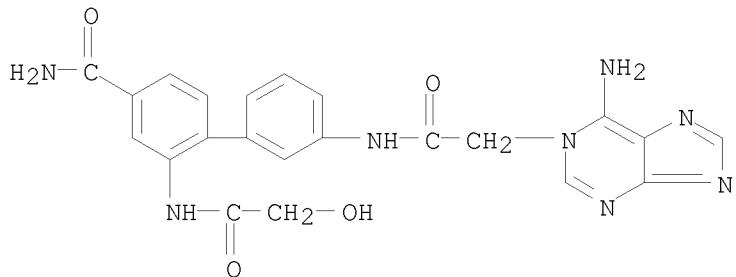
RN 395647-97-9 CAPLUS

CN 1(2H)-Pyrimidineacetamide, 4-amino-N-[4-(aminocarbonyl)-3'-(4-piperidinylcarbonyl)amino][1,1'-biphenyl]-2-oxo- (CA INDEX NAME)



RN 395647-98-0 CAPLUS

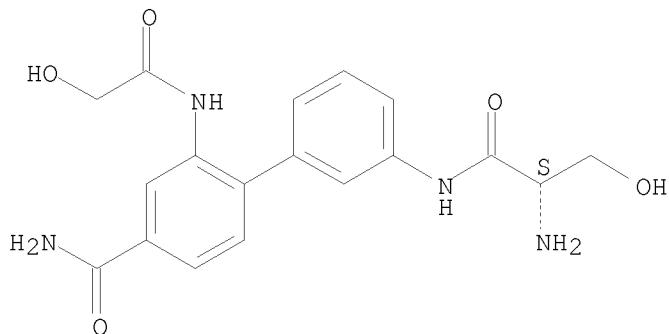
CN 1H-Purine-1-acetamide, 6-amino-N-[4'-(aminocarbonyl)-2'-(2-hydroxyacetyl)amino][1,1'-biphenyl]-3-yl- (CA INDEX NAME)



RN 395648-04-1 CAPLUS

CN [1,1'-Biphenyl]-4-carboxamide, 3'-([(2S)-2-amino-3-hydroxy-1-oxopropyl]amino)-2-(2-hydroxyacetyl)amino- (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 15 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:767018 CAPLUS

Correction of: 1996:672852

DOCUMENT NUMBER: 135:273074

Correction of: 126:31466

TITLE: Boronic acid and ester inhibitors of thrombin

INVENTOR(S): Amparo, Eugene C.; Miller, William H.; Pacofsky, Gregory J.; Wityak, John; Weber, Patricia C.; Duncia, John J. V.; Santella, Joseph B., III

PATENT ASSIGNEE(S): The DuPont Merck Pharmaceutical Company, USA

SOURCE: U.S., 170 pp., Cont.-in-part of U.S. Ser. No. 348,029.
 CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|-------------|
| US 5563127 | A | 19961008 | US 1994-364338 | 19941227 |
| CA 2208971 | A1 | 19960711 | CA 1995-2208971 | 19951213 |
| CA 2208971 | C | 20010116 | | |
| WO 9620689 | A2 | 19960711 | WO 1995-US16248 | 19951213 |
| WO 9620689 | A3 | 19961024 | | |
| | W: AU, CA, JP, MX, NZ
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | |
| AU 9646404 | A | 19960724 | AU 1996-46404 | 19951213 |
| EP 810858 | A2 | 19971210 | EP 1995-944331 | 19951213 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | |
| ZA 9510978 | A | 19970627 | ZA 1995-10978 | 19951227 |
| US 5698538 | A | 19971216 | US 1996-690220 | 19960726 |
| PRIORITY APPLN. INFO.: | | | US 1993-36377 | B2 19930324 |
| | | | US 1994-318029 | B2 19941004 |
| | | | US 1994-348029 | A2 19941201 |
| | | | US 1994-364338 | A 19941227 |
| | | | WO 1995-US16248 | W 19951213 |

AB Novel boronic acid and ester and carboxyl-modified amino acid compds.
 R1-Z-CHR2-A (A = organoboryl, BY1Y2; Y1, Y2 = independently OH, F, organoamino, C1-8 alkoxy, Y1Y2 = cyclic boron ester, amide containing N, S, O; etc.; Z = (CH₂)_mCX, X = amido, thioamido, etc., substituted C1-12 alkyl, alkenyl, etc.; R1 = arylalkenyl, aryl = substituted Ph, naphthyl,

biphenyl, etc.; R2 = substituted C1-12 alkyl, alkenyl, etc.), which are inhibitors of trypsin-like enzymes, are disclosed. Thus, amino acid modified boronic ester (Y1Y2 = (+)-pinanediol) was prepared in multiple steps starting from (+)-pinanediol 4-bromo-1(R)-(4-phenylbenzoyl)aminobutane-1-boronate. Thrombin inhibition activity of some of the compds. prepared is described.

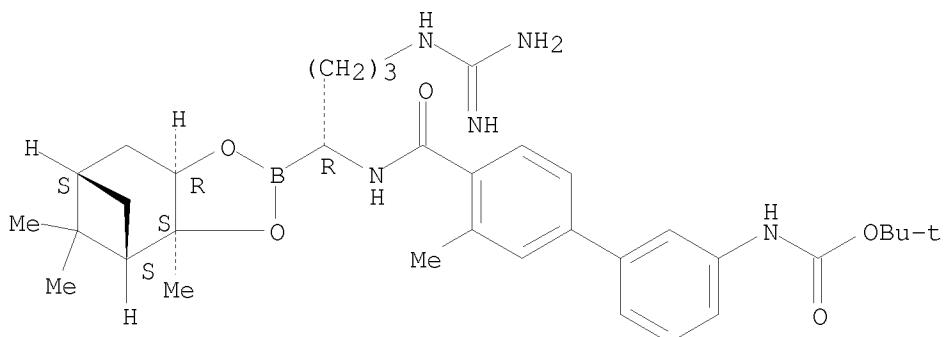
IT 180897-16-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid-modified boronic acids and esters as inhibitors of thrombin)

RN 180897-16-9 CAPLUS

CN Carbamic acid, [4'-([(1R)-4-[(aminoiminomethyl)amino]-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]amino]carbonyl]-3'-methyl[1,1'-biphenyl]-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 16 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:693143 CAPLUS
 DOCUMENT NUMBER: 135:238672
 TITLE: Functional radiographic imaging methods and agents
 INVENTOR(S): Salb, Jesse; Cairns, Nick
 PATENT ASSIGNEE(S): Veritas Pharmaceuticals, USA
 SOURCE: PCT Int. Appl., 85 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2001068151 | A2 | 20010920 | WO 2001-US8612 | 20010315 |
| WO 2001068151 | A3 | 20021010 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, | | | | |

| | | | | |
|---|----|----------|-----------------|-------------|
| VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6226352 | B1 | 20010501 | US 1998-149734 | 19980908 |
| WO 2000013590 | A2 | 20000316 | WO 1999-US20298 | 19990831 |
| WO 2000013590 | A3 | 20000720 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2002524126 | T | 20020806 | JP 2000-568401 | 19990831 |
| US 20010001011 | A1 | 20010510 | US 2000-752619 | 20001229 |
| US 6923950 | B2 | 20050802 | | |
| US 20010031035 | A1 | 20011018 | US 2001-809870 | 20010315 |
| US 6723746 | B2 | 20040420 | | |
| EP 1263478 | A2 | 20021211 | EP 2001-920486 | 20010315 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 20030091508 | A1 | 20030515 | US 2002-279811 | 20020614 |
| US 20040170561 | A1 | 20040902 | US 2004-792155 | 20040302 |
| PRIORITY APPLN. INFO.: | | | US 2000-190323P | P 20000316 |
| | | | US 1998-149734 | A 19980908 |
| | | | WO 1999-US20298 | W 19990831 |
| | | | US 2000-752619 | A1 20001229 |
| | | | US 2001-809870 | A1 20010315 |
| | | | WO 2001-US8612 | W 20010315 |

OTHER SOURCE(S): MARPAT 135:238672

AB Systems and methods for radiog. imaging of tissue using a radio-opaque imaging agent that in one embodiment accumulates intracellularly in tissue in proportion to its functional, or physiol., activity. In one embodiment, the imaging agent is a cell membrane-permeable, radio-opaque, high affinity ligand for an intracellular target. The imaging agent is administered to a patient, and after an accumulation interval, radiog. images are acquired. The imaging agent preferentially accumulates in certain types of tissue and increases its radio-opacity. The tissue being examined is transilluminated by X-ray beams with preselected different mean energy spectra, and a sep. radiog. image is acquired during transillumination by each beam. An image processing system may perform a weighted combination of the acquired images to produce a single displayed image. The system and method thus provides a functional image displayed with the anatomical detail and spatial resolution of a radiog. image. Functional and anatomical information are displayed in complete registration, facilitating localization of abnormal tissue in relation to nearby anatomical structures. An example of an application is the use of 123I-labeled derivs. of triiodophenylbenzoyl-D-glucosamine for targeting hexokinase and localization of malignant tissue, and in particular, breast cancer. The application may be further extended to imaging of nucleic acids and fatty acids in malignant tissues as well as other abnormal tissues.

IT 360779-16-4P 360779-17-5P 360779-20-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

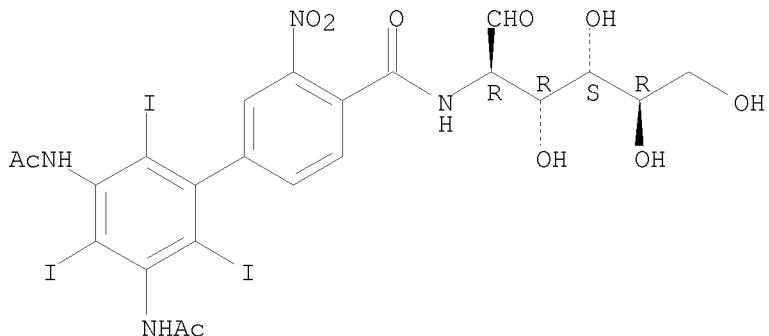
(Reactant or reagent)

(functional radiog. imaging: 123I-labeled derivs. of
triiodophenylbenzoyl-D-glucosamine for targeting hexokinase)

RN 360779-16-4 CAPLUS

CN D-Glucose, 2-[[[3',5'-bis(acetylamino)-2',4',6'-triodo-3-nitro[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy- (CA INDEX NAME)

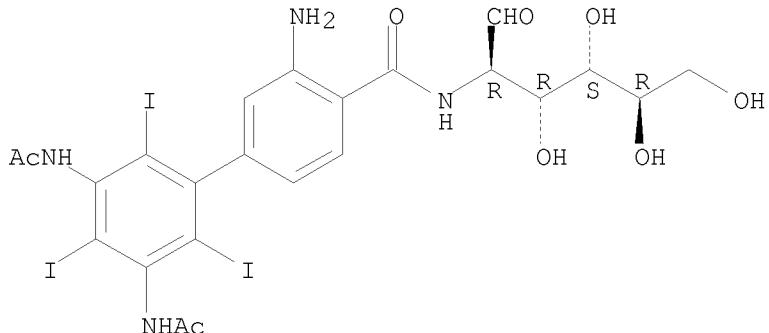
Absolute stereochemistry.



RN 360779-17-5 CAPLUS

CN D-Glucose, 2-[[[3',5'-bis(acetylamino)-3-amino-2',4',6'-triodo[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy- (CA INDEX NAME)

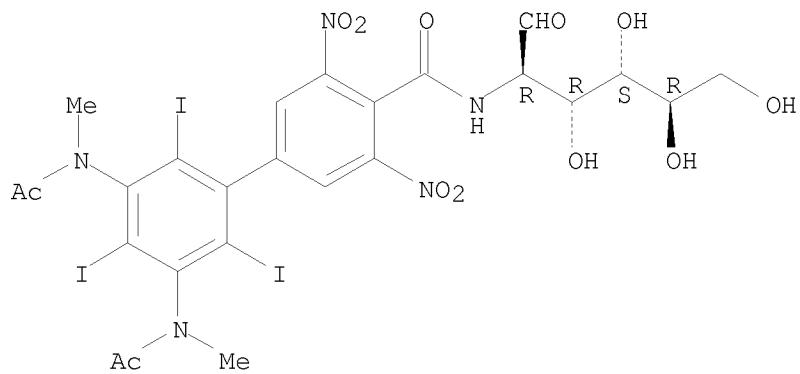
Absolute stereochemistry.



RN 360779-20-0 CAPLUS

CN D-Glucose, 2-[[[3',5'-bis(acetylmethylamino)-2',4',6'-triodo-3,5-dinitro[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy- (CA INDEX NAME)

Absolute stereochemistry.



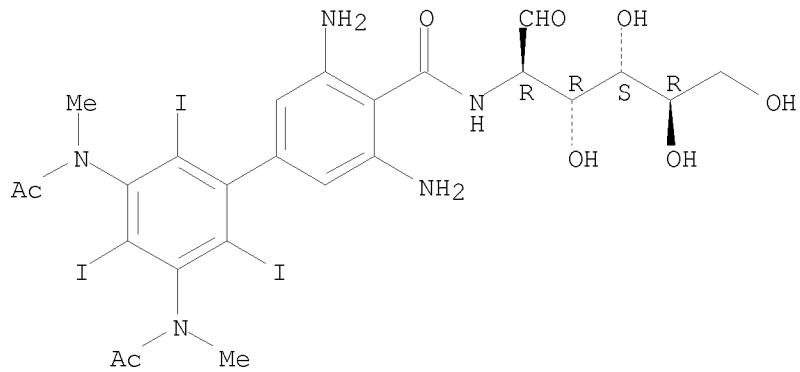
IT 360779-21-1P 360779-25-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(functional radiog. imaging: ^{123}I -labeled derivs. of triiodophenylbenzoyl-D-glucosamine for targeting hexokinase)

RN 360779-21-1 CAPLUS

CN D-Glucose, 2-[[[3',5'-bis(acetyl methylamino)-3,5-diamino-2',4',6'-triodo[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy- (CA INDEX NAME)

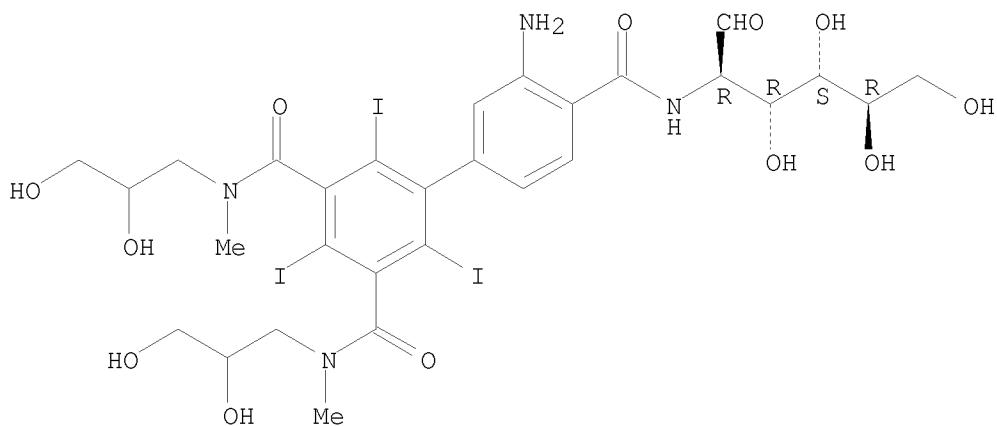
Absolute stereochemistry.



RN 360779-25-5 CAPLUS

CN D-Glucose, 2-[[[3-amino-3',5'-bis([(2,3-dihydroxypropyl)methylamino]carbonyl]-2',4',6'-triodo[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy- (CA INDEX NAME)

Absolute stereochemistry.



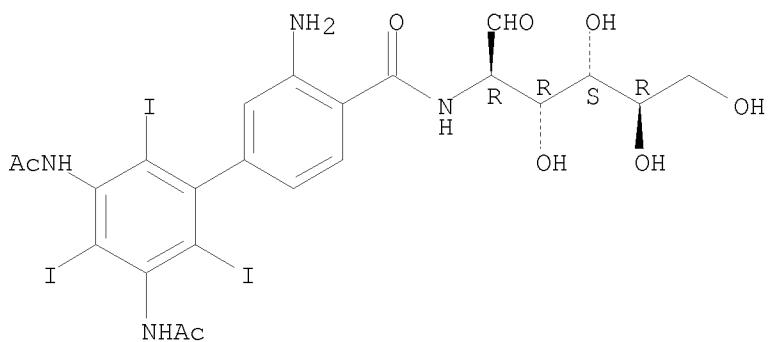
IT 360779-26-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (functional radiog. imaging: ¹²³I-labeled derivs. of triiodophenylbenzoyl-D-glucosamine for targeting hexokinase)

RN 360779-26-6 CAPLUS

CN D-Glucose, 2-[[[3',5'-bis(acetylamino)-3-amino-2',4',6'-triodo[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy-, labeled with iodine-123 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



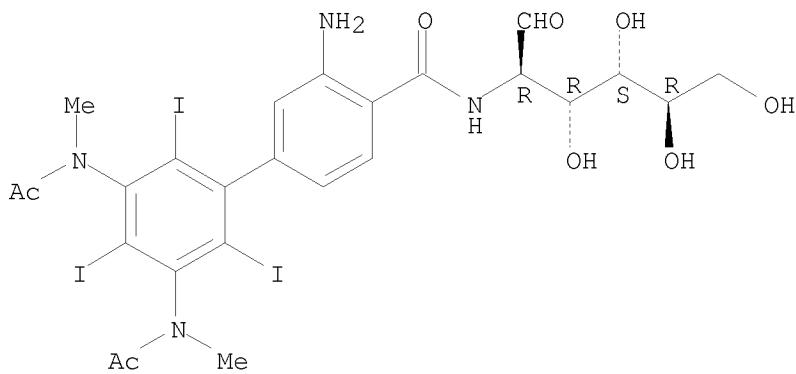
IT 360779-27-7 360779-28-8

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (functional radiog. imaging: ¹²³I-labeled derivs. of triiodophenylbenzoyl-D-glucosamine for targeting hexokinase)

RN 360779-27-7 CAPLUS

CN D-Glucose, 2-[[[3',5'-bis(acetyl methylamino)-3-amino-2',4',6'-triodo[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy-, labeled with iodine-123 (9CI) (CA INDEX NAME)

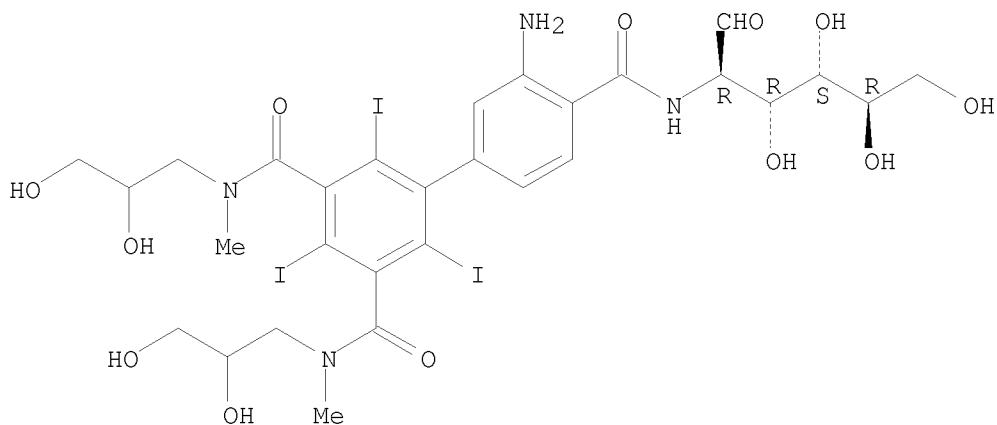
Absolute stereochemistry.



RN 360779-28-8 CAPLUS

CN D-Glucose, 2-[[[3-amino-3',5'-bis([(2,3-dihydroxypropyl)methylamino]carbon yl]-2',4',6'-triodo[1,1'-biphenyl]-4-yl]carbonyl]amino]-2-deoxy-, labeled with iodine-123 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 17 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:529128 CAPLUS

DOCUMENT NUMBER: 131:184864

TITLE: Preparation of amidinophenylcarbamoylbiphenyl derivatives and heterocyclic analogs thereof as inhibitors of blood coagulation factor VIIa

INVENTOR(S): Senokuchi, Kazuhiko; Ogawa, Koji

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 665 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

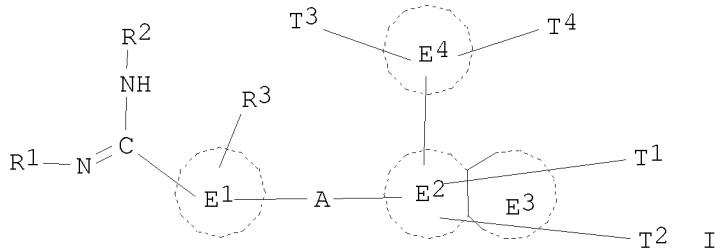
KIND

DATE

APPLICATION NO.

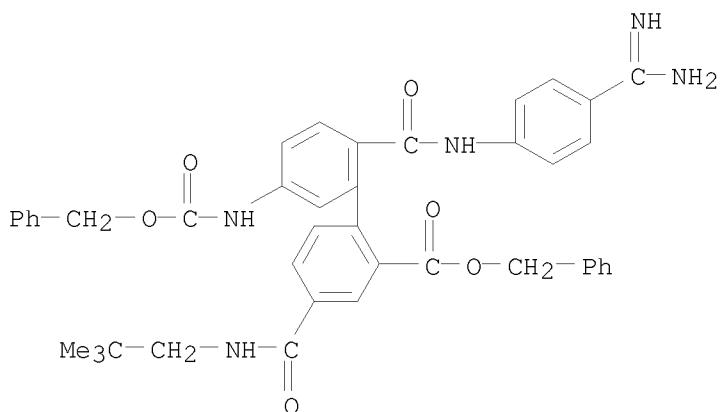
DATE

| | | | |
|---|--|----------------|------------|
| ----- | ----- | ----- | ----- |
| WO 9941231 | A1 19990819 | WO 1999-JP622 | 19990212 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
UG, US, UZ, VN, YU, ZW | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | |
| AU 9923006 | A 19990830 | AU 1999-23006 | 19990212 |
| EP 1078917 | A1 20010228 | EP 1999-902896 | 19990212 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | |
| ZA 9901273 | A 19990825 | ZA 1999-1273 | 19990217 |
| US 6358960 | B1 20020319 | US 2000-601998 | 20000811 |
| PRIORITY APPLN. INFO.: | | JP 1998-76815 | A 19980217 |
| | | WO 1999-JP622 | W 19990212 |
| OTHER SOURCE(S): | MARPAT 131:184864 | | |
| GI | | | |



AB The title compds. I [T1 = (R5)q; T2 = (R7)n; T3 = (R6)m; T4 = (R4)p; R1, R2 = H, alkoxy carbonyl, etc.; a proviso is given; R3 = H, alkyl, etc.; ring E1 = unsatd. heterocyclic ring, etc.; ring E2 = unsatd. heterocyclic ring, etc.; ring E3 = unsatd. or saturated heterocyclic ring, etc.; ring E4 = unsatd. heterocyclic ring, etc.; R4, R5 = CO₂R₈, etc.; R8 = H, alkyl, etc.; p, q = 0, or 1, 2; p + q = 1 or 2; R6, R7 = H, alkyl, etc.; m = 1 - 3; n = 1 - 3] are prepared I are useful as preventives and/or remedies for various vascular lesions associating accelerated coagulation activity, for example, universal intravascular coagulation syndrome, coronary thrombosis, brain infarction, brain embolism, transient cerebral ischemic attack, diseases associating cerebral vascular disorders, deep vein thrombosis, peripheral embolism, thrombus formation following artificial blood vessel operation or artificial valve replacement, diseases associating postoperative thrombus formation, reobstruction and reconstriction following coronary artery bypass, reobstruction and reconstriction following PTCA or PTCR, thrombus formation during extracorporeal circulation and glomerulonephritis. Formulations containing a compound of this invention are given. In an in vitro test, 2-[2-(4-amidinophenylcarbamoyl)-6-methoxy-3-pyridyl]-5-[(1(S)-hydroxymethyl-2,2-dimethylpropyl)carbamoyl]benzoic acid methanesulfonic acid salt showed IC₅₀ of 0.013 μM against factor VIIa.

IT 239458-88-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amidinophenylcarbamoylbiphenyl derivs. and heterocyclic analogs thereof as inhibitors of blood coagulation factor VIIa)
 RN 239458-88-9 CAPLUS
 CN [1,1'-Biphenyl]-2-carboxylic acid, 2'-[[[4-(aminoiminomethyl)phenyl]amino] carbonyl]-4-[(2,2-dimethylpropyl)amino]carbonyl]-5'-[(phenylmethoxy)carbonyl]amino]-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:299335 CAPLUS
 DOCUMENT NUMBER: 129:28282
 ORIGINAL REFERENCE NO.: 129:6037a,6040a
 TITLE: Preparation and absorption spectrum studies of aromatic and alicyclic poly(amide acid) ammonium salts in water and DMF and in films
 AUTHOR(S): Li, Qinghua; Yamashita, Takashi; Horie, Kazuyuki; Yoshimoto, Hiroshi; Miwa, Takao; Maekawa, Yasunari
 CORPORATE SOURCE: Department of Chemistry and Biotechnology, Graduate School of Engineering, University of Tokyo, Tokyo, 113-8656, Japan
 SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (1998), 36(8), 1329-1340
 CODEN: JPACEC; ISSN: 0887-624X
 PUBLISHER: John Wiley & Sons, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of ammonium salts of poly(amide acid)s (PAS) were prepared from various poly(amide acid)s (PAA) with tertiary amines. The solubility of poly-(amide acid) ammonium salts prepared from PAA(PMDA/ODA) in water is related to the ion concentration of tertiary amines. In order to elucidate the influence of the chemical structures of poly(amide acid)s and poly(amide acid) ammonium salts on their absorption spectra, pyromellitic dianhydride (PMDA), 3,3',4,4'-biphenyltetracarboxylic dianhydride (BPDA), and

3,3',4,4'-benzophenonetetracarboxylic dianhydride (BTDA) were chosen to react with p-phenylenediamine (PDA) and (4,4'-diaminodicyclohexyl)methane (DCHM) to give three kinds of aromatic PAAs and three kinds of alicyclic PAAs. The corresponding PAs were prepared by the reaction of PAAs with triethanolamine (TEA). Their UV-visible (UV-vis) absorption spectra were investigated compared to those of model compds. A transparent film without absorption above 320 nm was obtained for PAS (PMDA/DCHM). The difference in absorption spectra of PAS(PMDA/PDA) from that of PAS(PMDA/DCHM) can be related to the existence of intra- and intermol. charge transfer (CT) for PAS(PMDA/PDA). The absorption spectra of PAs with PDA in films are red shifted compared to those of corresponding PAAs in films, while the absorption spectra of PAs in water are blue shifted compared to those of corresponding PAAs in DMF. No differences in the absorption spectra of PAAs and PAs were found in DMF/H₂O (9/1) mixed solvent.

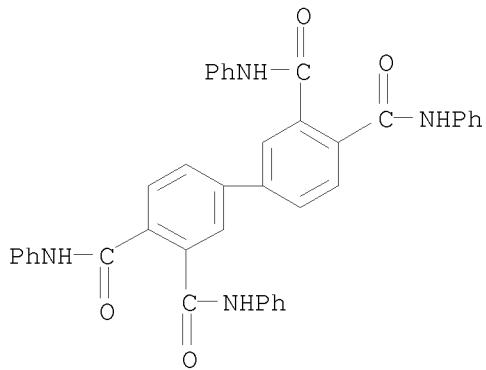
IT 207921-92-4P 207921-93-5P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(model compound; preparation and absorption spectrum studies of aromatic and alicyclic polyamic acid ammonium salts in water and DMF and in films)

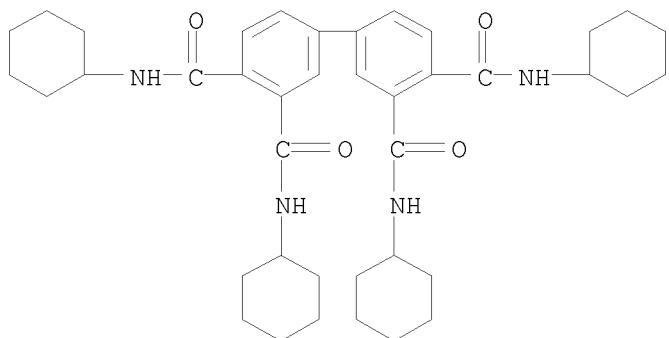
RN 207921-92-4 CAPLUS

CN [1,1'-Biphenyl]-3,3',4,4'-tetracarboxamide, N3,N3',N4,N4'-tetraphenyl-
(CA INDEX NAME)



RN 207921-93-5 CAPLUS

CN [1,1'-Biphenyl]-3,3',4,4'-tetracarboxamide, N3,N3',N4,N4'-tetracyclohexyl-
(CA INDEX NAME)

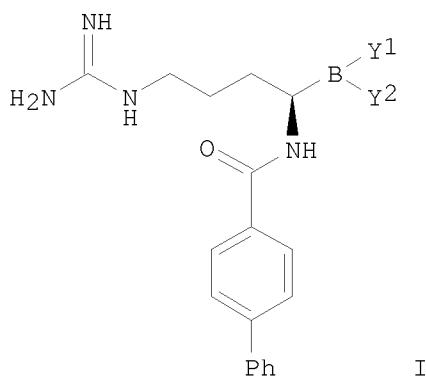


REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:672852 CAPLUS
 DOCUMENT NUMBER: 126:31466
 ORIGINAL REFERENCE NO.: 126:6405a,6408a
 TITLE: Boronic acid and ester inhibitors of thrombin
 INVENTOR(S): Amparo, Eugene C.; Miller, William H.; Pacofsky, Gregory J.; Wityak, John; Weber, Patricia C.; Duncia, John J. V.; Santella, Joseph B., III
 PATENT ASSIGNEE(S): The Dupont Merck Pharmaceutical Company, USA
 SOURCE: U.S., 170 pp., Cont.-in-part of U.S. Ser. No. 348,029.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------|----------|-----------------|----------|
| ----- | ----- | ----- | ----- | ----- |
| US 5563127 A | | 19961008 | US 1994-364338 | 19941227 |
| PRIORITY APPLN. INFO.: | | | US 1993-36377 | 19930324 |
| | | | US 1994-318029 | 19941004 |
| | | | US 1994-348029 | 19941201 |

OTHER SOURCE(S): MARPAT 126:31466
 GI



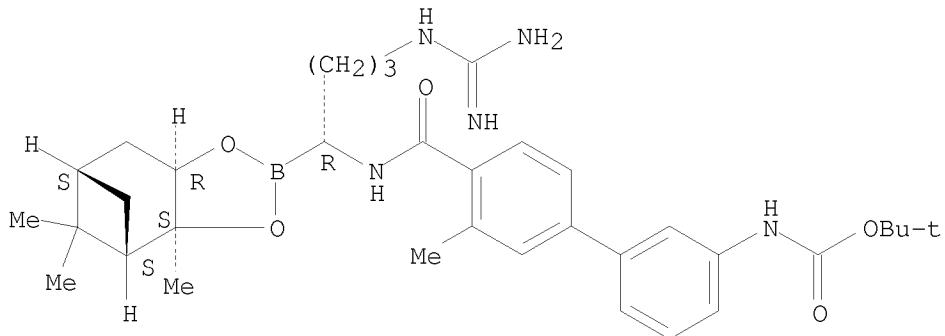
AB Novel boronic acid and ester and carboxyl-modified amino acid compds.
 R1-Z-CHR2-A (A = organoboryl, BY1Y2; Y1, Y2 = independently OH, F, organoamino, C1-8 alkoxy, Y1Y2 = cyclic boron ester, amide containing N, S, O; etc.; Z = (CH₂)_mCX, X = amido, thioamido, etc., substituted C1-12 alkyl, alkenyl, etc.; R1 = arylalkenyl, aryl = substituted Ph, naphthyl, biphenyl, etc.; R2 = substituted C1-12 alkyl, alkenyl, etc.), which are inhibitors of trypsin-like enzymes, are disclosed. Thus, amino acid modified boronic ester I (Y1Y2 = (+)-pinanediol) was prepared in multiple steps starting from (+)-pinanediol 4-bromo-1(R)-(4-phenylbenzoyl)aminobutane-1-boronate. Thrombin inhibition activity of some of the compds. prepared is described.

IT 180897-16-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of amino acid-modified boronic acids and esters as inhibitors of thrombin)

RN 180897-16-9 CAPLUS

CN Carbamic acid, [4'-([(1R)-4-[(aminoiminomethyl)amino]-1-[(3aS,4S,6S,7aR)-hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]amino]carbonyl]-3'-methyl[1,1'-biphenyl]-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 20 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:557907 CAPLUS
 DOCUMENT NUMBER: 125:222432
 ORIGINAL REFERENCE NO.: 125:41597a, 41600a
 TITLE: Preparation of α -aminoboronic acid and ester as inhibitors of thrombin
 INVENTOR(S): Amparo, Eugene Cruz; Miller, William Henry; Pacofsky, Gregory James; Wityak, John; Weber, Patricia Carol; Duncia, John Jonas Vytautas; Santella, Joseph Basil, III
 PATENT ASSIGNEE(S): The Du Pont Merck Pharmaceutical Company, USA
 SOURCE: PCT Int. Appl., 416 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9620689 | A2 | 19960711 | WO 1995-US16248 | 19951213 |
| WO 9620689 | A3 | 19961024 | | |
| W: AU, CA, JP, MX, NZ
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5563127 | A | 19961008 | US 1994-364338 | 19941227 |
| AU 9646404 | A | 19960724 | AU 1996-46404 | 19951213 |
| EP 810858 | A2 | 19971210 | EP 1995-944331 | 19951213 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| PRIORITY APPLN. INFO.: | | | US 1994-364338 | A 19941227 |
| | | | US 1993-36377 | B2 19930324 |
| | | | US 1994-318029 | B2 19941004 |
| | | | US 1994-348029 | A2 19941201 |
| | | | WO 1995-US16248 | W 19951213 |

OTHER SOURCE(S): MARPAT 125:222432

AB Novel boronic acid and ester and carboxyl-modified amino acid compds. of formula R1-Z-CHR1-A [A = BY1Y2, CO CF3, CO2R3, COCO2R3, COCOR3, PO3H2, CHO, etc.; wherein Y1, Y2 = OH, F, NR3R4, C1-8 alkoxy; or Y1 and Y2 are taken together to form a cyclic boron ester, cyclic boron amide, or cyclic boron amide ester containing 2-20 C atoms and 0-3 heteroatoms selected from N, S, or Se; R3 = H, C1-8 alkyl, aryl-C1-4 alkyl, C5-7 cycloalkyl, Ph; R4 = group listed in R3, phenylsulfonyl; Z = (CH2)_m CON R8, (CH2)_m C(S)NR8, (CH2)_m CO2, (CH2)_m C(S)O, (CH2)_m SO2O; wherein m = 0-6 and R8 = H, ring-(un)substituted phenylalkyl, C3-7 cycloalkyl, C1-8 alkyl; R1 = ring-(un)substituted arylalkyl or heteroaryl, etc.; R2 = substituted C1-12 alkyl or C2-12 alkenyl, (substituted alkyl)phenylalkyl], which are inhibitors of trypsin-like enzymes, notably blood coagulation proteases such as human thrombin, factor VIIa, factor IXa, factor Xa, plasma kallikrein, and plasmin, and are useful for the treatment of thrombosis and inflammation or as anticoagulants for the processing of blood for therapeutic or diagnostic purposes or for the production of blood products or fragments, are prepared Thus, (+)-pinanediol 4-bromo-1(R)-aminobutane-1-boronate hydrochloride was acylated by 4-phenylbenzoyl chloride in the presence of N-methylmorpholine in CH2C12 to give (+)-pinanediol 4-bromo-1(R)-(4-phenylbenzoylamino)butane-1-boronate, which underwent azidolysis with NaN3 in DMF at 70° for 2 h to give (+)-pinanediol 4-azido-1(R)-(4-phenylbenzoylamino)butane-1-boronate, and catalytic hydrogenation in the presence of Pd(OH)2/C in a mixture of MeOH and 1 M aqueous HCl to give (+)-pinanediol 4-amino-1(R)-(4-phenylbenzoylamino)butane-1-boronate, i.e., N1-(4-phenylbenzoyl)bornoornithine (+)-pinanediol ester hydrochloride, followed by condensation with aminoiminomethanesulfonic acid in the presence of 4-dimethylaminopyridine in ethanol at reflux of 3 h to give N-(4-phenylbenzoyl)bornoarginine (+)-pinanediol ester, bisulfite. The latter compound in vitro inhibited human thrombin and factor Xa with Ki value of <500 and 50,000 nM, resp.

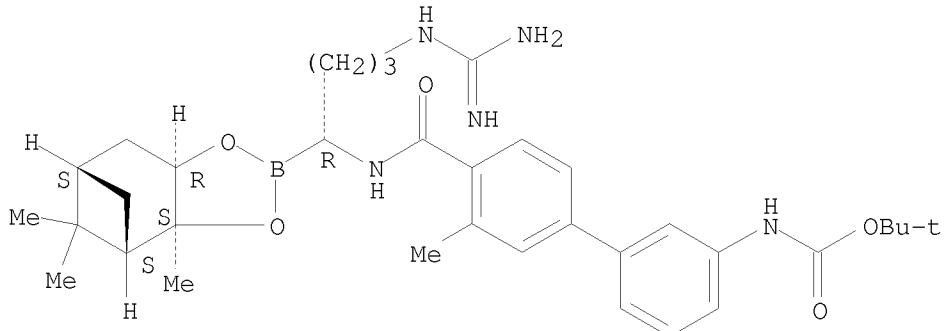
IT 180897-16-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-aminoboronic acids and esters as inhibitors of blood coagulation proteases for disease therapy)

RN 180897-16-9 CAPLUS

CN Carbamic acid, [4'-([(1R)-4-[(aminoiminomethyl)amino]-1-[(3aS,4S,6S,7aR)-

hexahydro-3a,5,5-trimethyl-4,6-methano-1,3,2-benzodioxaborol-2-yl]butyl]amino]carbonyl]-3'-methyl[1,1'-biphenyl]-3-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 21 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:340537 CAPLUS

DOCUMENT NUMBER: 122:134119

ORIGINAL REFERENCE NO.: 122:25027a, 25030a

TITLE: Manufacture of silicon-containing polyfunctional carboxylic acid amides and their curing materials with good hardness and adhesion

INVENTOR(S): Kunimune, Koichi; Aono, Toshiharu; Watanabe, Eiji

PATENT ASSIGNEE(S): Chisso Corp, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------|------|----------|-----------------|----------|
| JP 06157556 | A | 19940603 | JP 1992-255571 | 19920831 |
| JP 3626214 | B2 | 20050302 | | |

PRIORITY APPLN. INFO.: JP 1992-255571 19920831

AB The amides are prepared by the reaction of silanes and polyfunctional carboxylic acids. Reaction of aminophenyltrimethoxysilane and 3,3'4,4'-benzophenonetetracarboxylic dianhydride, dehydration, coating on a wafer, and curing gave samples showed good adhesion and pencil hardness ≥ 9 H.

IT 160767-12-4P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(manufacture of silicon-containing polyfunctional carboxylic acid amides and their curing materials with good hardness and adhesion)

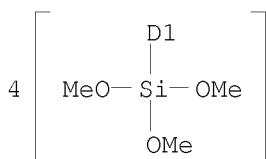
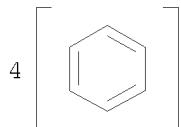
RN 160767-12-4 CAPLUS

CN [1,1'-Biphenyl]-3,3',4,4'-tetracarboxamide, N,N',N'',N'''-tetrakis[(trimethoxysilyl)phenyl]-, homopolymer (9CI) (CA INDEX NAME)

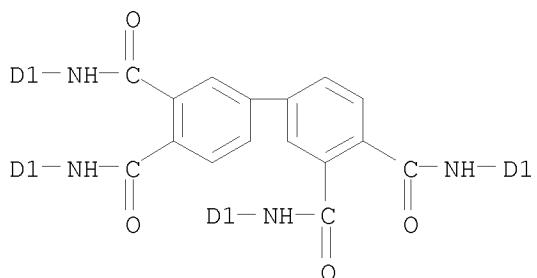
CM 1

CRN 344800-32-4
CMF C52 H62 N4 O16 Si4
CCI IDS

PAGE 1-A



PAGE 2-A



L6 ANSWER 22 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:76159 CAPLUS
DOCUMENT NUMBER: 108:76159
ORIGINAL REFERENCE NO.: 108:12615a, 12618a
TITLE: Proton NMR spectroscopic study of the isomeric composition of aromatic polyamic acids
AUTHOR(S): El'mesov, A. N.; Bogachev, Yu. S.; Zhuravleva, I. L.; Kardash, I. E.
CORPORATE SOURCE: Fiz.-Khim. Inst. im. Karpova, Moscow, USSR
SOURCE: Vysokomolekulyarnye Soedineniya, Seriya A (1987), 29(11), 2333-9
CODEN: VYSAAF; ISSN: 0507-5475
DOCUMENT TYPE: Journal
LANGUAGE: Russian
AB NMR spectroscopy of a series of polyamic acids prepared by polycondensation of dianhydrides of different aromatic tetracarboxylic acids (3,3',4,4'-diphenyl sulfone tetracarboxylic dianhydride,

3,3',4,4'-benzophenonetetracarboxylic dianhydride, 3,3',4,4'-diphenyltetracarboxylic dianhydride, 3,3',4,4'-diphenyl oxide tetracarboxylic dianhydride) with different diamines (p-phenylenediamine, p-benzidine, 4,4'-diaminodiphenylmethane, 4,4'-diaminodiphenyl oxide, 4,4'-diaminodiphenyl sulfone) showed that the isomer composition of the products depended on the nature of the bridge unit in the dianhydride. The presence of electron-acceptor units in the dianhydride led to enrichment of the polymer by m-isomer structures, whereas units with electron-donor properties increased the concentration of p-isomer in the polymer.

In the case of lack of a bridge unit, approx. equal. amts. of both isomers were formed. The chemical structure of the diamines did not affect significantly the isomer composition of the polyamic acids.

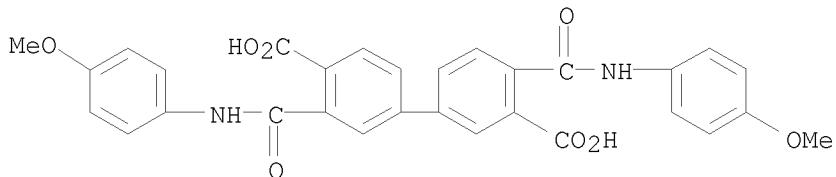
IT 112824-09-6

RL: PRP (Properties)

(structure of, as model for aromatic polyamic acids)

RN 112824-09-6 CAPLUS

CN [1,1'-Biphenyl]-3,4'-dicarboxylic acid, 3',4-bis[[(4-methoxyphenyl)amino]carbonyl]- (CA INDEX NAME)



L6 ANSWER 23 OF 23 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1986:600516 CAPLUS

DOCUMENT NUMBER: 105:200516

ORIGINAL REFERENCE NO.: 105:32195a, 32198a

TITLE: Fine insulator pattern formation

INVENTOR(S): Kataoka, Fumio; Shoji, Fusaji

PATENT ASSIGNEE(S): Hitachi, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

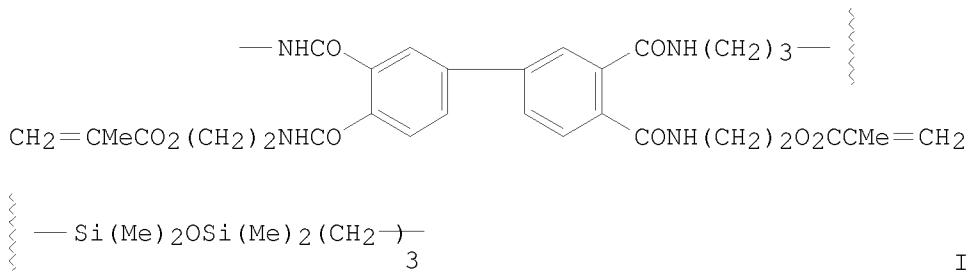
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------|----------|-----------------|----------|
| ----- | ----- | ----- | ----- | ----- |
| JP 61067228 | A | 19860407 | JP 1984-187916 | 19840910 |
| PRIORITY APPLN. INFO.: | | | JP 1984-187916 | 19840910 |
| GI | | | | |



AB The claimed patterning process involves the following steps: (1) formation of a polyimide insulator layer on a substrate; (2) coating of the insulator layer with a Si-containing polyimide precursor type photosensitive (or radiation-sensitive) layer; (3) drying of the photosensitive layer at 50-120°; (4) patternwise exposure of the photosensitive layer; (5) development; (6) hardening of the pattern at 150-500° to form a polyimide pattern; and (7) O plasma treatment to improve the plasma etching resistance of the polyimide pattern and to etch the polyimide insulator layer to give a 2-layer structured insulator pattern. Thus, a Si substrate was coated with P/Q (a polyimide), then coated with a polyimide precursor having repeating units of the formula I, dried at 70°, imagewise exposed to deep UV, developed, heated at 350°, and etched in an O plasma to give a fine polyimide pattern.

IT 105062-28-0

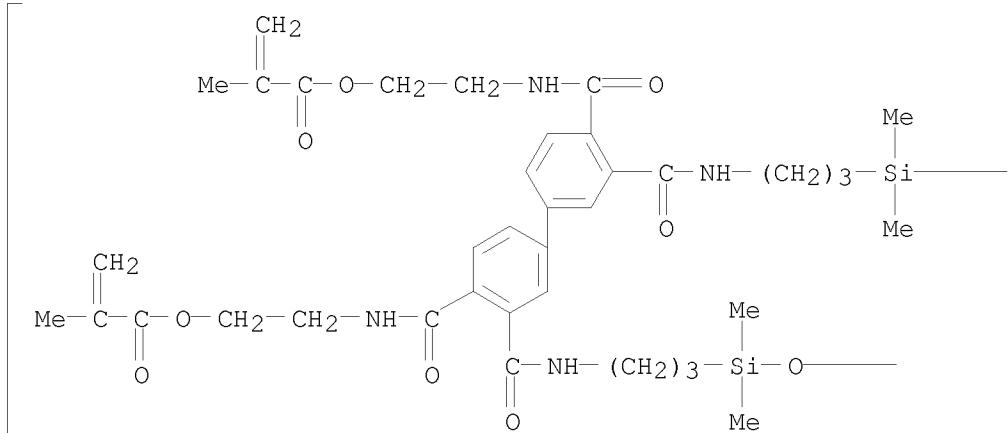
RL: USES (Uses)

(resist composition containing, for polyimide insulator pattern formation)

RN 105062-28-0 CAPLUS

CN Poly[oxy(dimethylsilylene)-1,3-propanediyliminocarbonyl[4,4'-bis[[2-[(2-methyl-1-oxo-2-propenyl)oxy]ethyl]amino]carbonyl][1,1'-biphenyl]-3,3'-diyl]carbonylimino-1,3-propanediyl(dimethylsilylene)] (9CI) (CA INDEX NAME)

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COST IN U.S. DOLLARS

| SINCE FILE
ENTRY | TOTAL
SESSION |
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| 126.31 | 307.64 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE
ENTRY | TOTAL
SESSION |
|---------------------|------------------|
| -18.40 | -18.40 |

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 13:42:34 ON 27 AUG 2008